

10/802,292

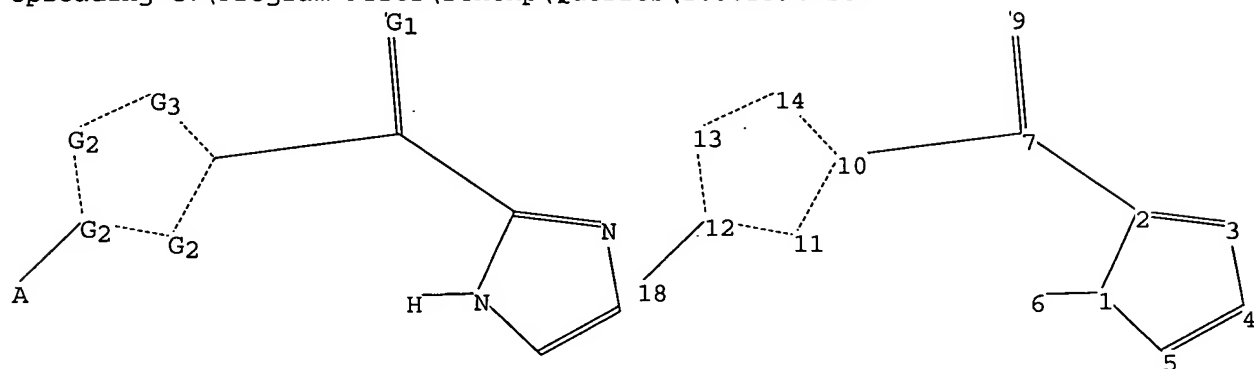
***** STN Columbus *****

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=> file reg

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Uploading C:\Program Files\Stnexp\Queries\10802292.str



chain nodes :

6 7 9 18

ring nodes :

1 2 3 4 5 10 11 12 13 14

chain bonds :

1-6 2-7 7-9 7-10 12-18

ring bonds :

1-2 1-5 2-3 3-4 4-5 10-11 10-14 11-12 12-13 13-14

exact/norm bonds :

1-2 1-5 1-6 2-3 2-7 3-4 4-5 7-9 7-10 10-11 10-14 11-12 12-13 12-18
13-14

G1:O,S,N

G2:C,N

G3:C,O,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 9:CLASS 10:Atom 11:Atom
12:Atom 13:Atom 14:Atom 18:CLASS

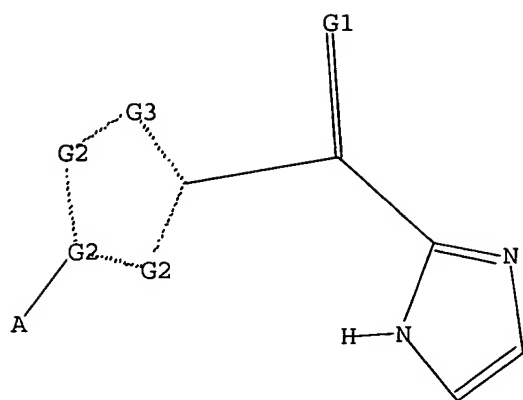
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/802,292



G1 O,S,N

G2 C,N

G3 C,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

L3 70 SEA SSS FUL L1

=> file ca

=> s l3

L4 5 L3

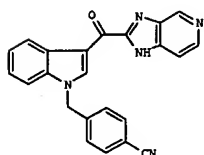
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10/802,292

L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 138:238183 CA
 TITLE: Preparation of 2-aryl-imidazole compounds as
 antitumor agents
 INVENTOR(S): Koya, Kaizoi Sun, Lijun; Ono, Mitsunori; James, David;
 Ying, Wiewen; Chen, Shoujun
 PATENT ASSIGNEE(S): SBR Pharmaceuticals Corp., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXND
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022274	A2	20030320	WO 2002-US27514	20020828
WO 2003022274	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LU, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2460345	AA	20030320	CA 2002-2460345	20020828
EP 1427413	A2	20040616	EP 2002-757458	20020828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504789	T2	20050217	JP 2003-526403	20020828
US 2003096836	A1	20030522	US 2002-233371	20020829
US 6743919	B2	20040601		
US 2004186129	A1	20040923	US 2004-802292	20040316
			US 2001-322105P	P 20010913
			WO 2002-US27514	W 20020828
			US 2002-233371	A1 20020829

PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): MARPAT 138:238183
 GI

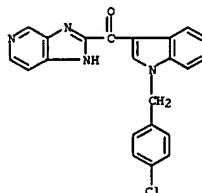


I

L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS ON STN (Continued)
 501660-13-5P 501660-14-5P 501660-16-5P
 501660-18-5P 501660-19-5P 501660-20-4P
 501660-21-5P 501660-22-5P 501660-23-7P
 501660-24-8P 501660-25-9P 501660-26-0P
 501660-30-6P 501660-31-7P 501660-33-9P
 501660-57-7P 501660-58-8P 501660-59-9P
 501660-60-2P 501660-61-3P 501660-62-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of aroyl-imidazole compds. as antitumor agents)
 IT 501660-55-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of aroyl-imidazole compds. as antitumor agents)

L4 ANSWER 1 OF 5 CA COPYRIGHT 2005 ACS ON STN (Continued)

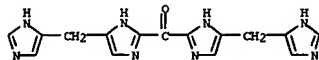
AB Disclosed is a compound represented by structural formula RC(=Z1)R1, wherein R1 is a substituted or unsubstituted 2-imidazolyl group which is optionally fused to a substituted or unsubstituted aryl group; R is heterocycle; Z1 is O, S, oxime, imine, were prepared and tested in vitro as antitumor agents for human cancer cell lines such as MDA435 (human breast cancer), MIP101 (human colon cancer), HL-60 (human myeloid leukemia), U937 (human leukemia), p388 (murine leukemia), DU-145 (human prostate cancer), MES-SA (human uterine sarcoma). Thus, aroyl-imidazole I was prepared and tested in vitro as antitumor agent. In vitro anti-cancer activity of title compds. against multi drug resistant cell lines MES-SA/DX5 and HL-60/TX1000 is reported. These compds. demonstrated significant anti-cancer activity (IC50: 0.04 - 0.5 µM) against MES-SA/DX5 and HL60/TX1000, while Taxol showed very weak anti-cancer activity (IC50: 5 µM) against the multi-drug resistant cell lines.
 IT 501659-67-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of aroyl-imidazole compds. as antitumor agents)
 RN 501659-67-2 CA
 CN Methanone, [1-[(4-chlorophenyl)methyl]-1H-indol-3-yl]-1H-imidazole[4,5-c]pyridin-2-yl- (9CI) (CA INDEX NAME)



IT 501659-67-2P 501659-68-3P 501659-69-4P
 501659-70-7P 501659-71-8P 501659-72-9P
 501659-73-0P 501659-74-1P 501659-75-2P
 501659-76-3P 501659-77-4P 501659-78-5P
 501659-79-6P 501659-80-5P 501659-81-0P
 501659-82-1P 501659-83-2P 501659-84-3P
 501659-85-4P 501659-86-5P 501659-87-6P
 501659-88-7P 501659-89-8P 501659-90-1P
 501659-91-2P 501659-92-3P 501659-93-4P
 501659-94-5P 501659-95-6P 501659-96-7P
 501659-97-8P 501659-98-9P 501659-99-0P
 501660-00-0P 501660-02-2P 501660-03-3P
 501660-04-4P 501660-05-5P 501660-06-6P
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 501660-10-2P 501660-11-3P 501660-12-4P

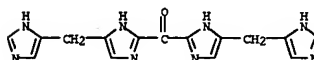
L4 ANSWER 2 OF 5 CA COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 129:239062 CA
 TITLE: Crystallographic, electrochemical, and pulsed EPR study of copper(II) polyimidazole complexes relevant to the metal sites of copper proteins
 AUTHOR(S): Place, Christophe; Zimmermann, Jean-Luc; Mulliez, Etienne; Guillot, Genevieve; Bois, Claudette; Chottard, Jean-Claude
 CORPORATE SOURCE: Laboratoire de Chimie et Biochimie Pharmacologiques et Toxicologiques, Université René Descartes, Paris, 75270, Fr.
 SOURCE: Inorganic Chemistry (1998), 37(16), 4030-4039
 CODEN: INOCHJ ISSN: 0020-1669
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB Cu(II) complexes of the following polyimidazole ligands were synthesized: bis[(imidazol-2-yl)methane (BIM)], bis[(imidazol-2-yl) ketone (BIK)], 4-(imidazol-4-ylmethyl)-2-(imidazol-2-ylmethyl)imidazole (TRIM), bis[4-(imidazol-4-ylmethyl)imidazol-2-yl]methane (TIM), and bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone (TIK). Their crystal structures were determined using x-ray diffraction. [Cu(CIO4)2(BIM)2], 1, belongs to the triclinic space group P.hivin.1 system, a 7.161(4), b 7.986(6), c 9.865(3) Å, α 76.73(5), β 71.18(3), γ 76.44(5)°, Z = 1, T = 291 K; R = 0.035, Rw = 0.036 for 1668 reflections; Cu-N = 1.998(3) and 2.001(2) Å, Cu-O = 2.574(4) Å, in a tetragonal geometry. [Cu(BIK)2](CIO4)2, 2, belongs to the monoclinic space group C2/c system, a 9.025(3), b 12.497(2), c 19.197(2) Å, β 94.59(2)°, Z = 4, T = 291 K; R = 0.056, Rw = 0.061 for 1052 reflections; Cu-N = 1.961(7) and 1.954(7) Å, in a distorted tetrahedral geometry. [CuCl(TRIM)(MeOH)]Cl, 6, belongs to the monoclinic space group P21/n system, a 14.192(5), b 13.832(5), c 7.913(3) Å, β 90.55(4)°, Z = 4, T = 291 K; R = 0.062, Rw = 0.057 for 1377 reflections; Cu-N = 1.987(7), 2.007(7) and 2.007(6) Å, Cu-O = 2.521(7) Å, Cu-Cl = 2.298(2) Å, in a square pyramidal geometry. [Cu(CIO4)(TIM)](CIO4), 4, belongs to the triclinic space group P.hivin.1 system, a 9.604(4), b 11.508(6), c 12.003(8) Å, α 58.79(4)°, β 94.59(2), γ 67.43(3)°, Z = 2, T = 291 K; R = 0.057, Rw = 0.062 for 2084 reflections; Cu-N = 1.985(7), 1.964(7), 1.967(7), and 1.966(7) Å, Cu-O = 2.553(8) Å, in a distorted square pyramidal geometry. [CuCl(TIK)](CIO4), 7, belongs to the triclinic space group P.hivin.1 system, a 7.432(3), b 12.573(3), c 12.945(2) Å, α 114.94(4), β 92.46(2), γ 103.49(3)°, Z = 2, T = 291 K; R = 0.043, Rw = 0.049 for 2305 reflections; Cu-N = 1.984(5), 1.989(5), 2.012(5), and 1.979(5) Å, Cu-Cl = 2.796(2) Å, in a distorted bipyramidal geometry. In MeOH solution, the perchlorate complexes 1, 2, Cu(TRIM)(CIO4)2 (3), 4, and Cu(TIK)(CIO4)2 (5) exhibited redox potentials from -215 to +284 mV vs. normal H electrode together with a visible absorption from 604 to 728 nm. Electron spin-echo envelope modulation (ESEEM) spectroscopy data, particularly the nuclear quadrupole interaction (NQI) parameters e2qQ and η of the remote N (NIH), were analyzed and interpreted according to the model devised by Jiang et al. with reference to Cu(HIM)4(CIO4)2. The results are the following: (i) C2 substitution of the imidazole ring, next to the remote N (1, 2) decreases the asymmetry parameter η to .apprx.0.75 compared to 1.00 for Cu(HIM)4(CIO4)2; this effect of C2 substitution on the symmetry of the elec. field gradient at NIH appears similar for both the electron-donating methylene substituents (1) and the electron-withdrawing carbonyl group(2). (ii) The electron-donating or -withdrawing properties of the substituent are reflected by the variation of the e2qQ parameter, increasing from 1.43 to 1.75 MHz (1) or decreasing to 1.38 MHz (2), and by the νr transition

L4 ANSWER 2 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)
 shifiting toward higher frequencies from 1.49 to 1.65 MHz (1, 3, 4) or to
 lower frequencies to 1.29 MHz (2, 5). The use of the η and ν
 parameters to assign the N5 vs. N6 coordination of histidine
 to the metal and to detect modified histidine in Cu-binding proteins is
 discussed.
 IT 143201-21-2, Bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of)
 RN 143201-21-2 CA
 CN Methanone, bis[4-(1H-imidazol-4-ylmethyl)-1H-imidazol-2-yl]- (9CI) (CA
 INDEX NAME)



IT 143201-21-2, Bis[4-(imidazol-4-ylmethyl)imidazol-2-yl] ketone
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of)
 REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 CA COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 117:142285 CA
 TITLE: Iron complexes of a tetraimidazole ligand. On the way
 to model the lipoxigenase iron binding site
 AUTHOR(S): Mulliez, E.; Guillot-Edelheit, G.; Leduc, P.;
 Chottard, J. C.; Bois, C.; Boussekrou, A.; Mitschke,
 W.
 CORPORATE SOURCE: Lab. Chim. Biochim. Pharmacol. Toxicol., Univ. Rene
 Descartes, Paris, 75270, Fr.
 SOURCE: New Journal of Chemistry (1992), 16(4), 435-7
 CODEN: NJCHES; ISSN: 0398-9836
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 AB [FeCl(TIM)]Cl (TIM = bis[4-(imidazol-4'-ylmethyl)imidazol-2-yl]methane) is
 described. In the solid state, the high spin Fe(II) is pentacoordinated
 within a distorted trigonal bipyramid (Moessbauer, x-ray crystal
 structure). In MeOH solution, the coordinated Cl- is displaced and the
 complex becomes hexacoordinated with solvent mols. as auxiliary ligands
 (Moessbauer, 1H NMR). The Fe redox potential of this complex together
 with the EPR characteristics of the Fe(III) form, present close analogies
 with those of the purple form of L-1 and Fe(III) form of L-2a soybean
 lipoxigenases.
 IT 143201-21-2ZDP, iron 1-methylimidazole complex
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 143201-21-2 CA
 CN Methanone, bis[4-(1H-imidazol-4-ylmethyl)-1H-imidazol-2-yl]- (9CI) (CA
 INDEX NAME)

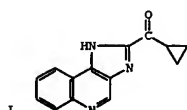
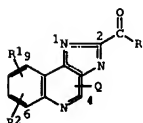


IT 143201-21-2ZDP, iron 1-methylimidazole complex 143201-21-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)

L4 ANSWER 4 OF 5 CA COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 112:77188 CA
 TITLE: 2-(Substituted carbonyl)imidazo[4,5-c]quinolines with
 benzodiazepine receptor affinity, and their
 pharmaceutical compositions and use as
 psychostimulants
 INVENTOR(S): Takada, Susumu; Fujishita, Toshio; Sasatani, Takashi;
 Matsushita, Akira; Eigyo, Masami
 Shionogi and Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 83 pp.
 CODEN: EPXKXW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

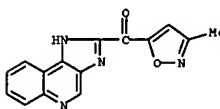
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 329073	A2	19890823	EP 1989-102499	19890214
EP 329073	A3	19910313		
EP 329073	B1	19941228		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 1335996	A1	19950620	CA 1989-590159	19890203
JP 01308280	A2	19891212	JP 1989-33488	19890213
JP 07116186	B4	19951213		
AU 8929934	A1	19890817	AU 1989-29934	19890214
AU 615260	B2	19910926		
US 4940714	A	19900710	US 1989-309868	19890214
ES 2068841	T3	19950501	ES 1989-102499	19890214
DK 8900698	A	19890817	DK 1989-698	19890215
KR 9705301	B1	19970415	KR 1989-1792	19890216
			JP 1988-33270	A 19880216

PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): CASREACT 112:77188; MARPAT 112:77188
 GI



AB Imidazoquinolines I [R = H, OH, alkoxy, OPh, (un)substituted alkyl,
 cycloalkyl, alkenyl, amino, Ph, heterocyclyl; Q = H, alkyl, CH2Ph, CHPh2,
 CPh3, acyl, alkylsulfonyl, arylsulfonyl, all located on ring N; R1, R2 =
 H, alkyl, alkoxy, halo; dotted lines = 3 double bonds, specifically
 2(3), 3a(9b), 4(5); or 1(9b), 2(3), 3a(4); or 1(2), 3a(9b), 4(5)], useful for
 treatment of depression, convulsion, anxiety, amnesia, senile dementia,
 and cerebral disorders, were prepared. Thus, 3-trityl-3H-imidazo[4,5-
 c]quinoline (preparation given) was lithiated by BuLi in THF, treated with
 cyclopropanecarbonyl chloride, and deprotected with CF3CO2H to give
 2-cyclopropylcarbonyl-1H-imidazo[4,5-c]quinoline (II). The KI of II for
 inhibition of specific binding of [3H]-diazepam to rat cerebral cortex
 benzodiazepine receptors was 0.357 nM. Various of either antagonized or
 potentiated pentylenetetrazole-induced convulsions in mice.

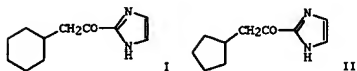
L4 ANSWER 4 OF 5 CA COPYRIGHT 2005 ACS on STN (Continued)
 IT 125027-94-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as psychostimulant)
 RN 125027-94-3 CA
 CN Methanone, 1H-imidazo[4,5-c]quinolin-2-yl (3-methyl-5-isoxazolyl)- (9CI)
 (CA INDEX NAME)



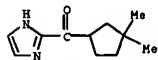
IT 125027-94-3P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as psychostimulant)

10/802,292

L4 ANSWER 5 OF 5 CA COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 90:103032 CA
TITLE: Photochemical reactions. 99. Photochemistry of
N-acylimidazoles. IV. Structural factors leading to
Norris type II elimination and to cyclobutanol
formation in the photolysis of acylimidazoles
AUTHOR(S): Iwasaki, Shigeo
CORPORATE SOURCE: Org.-Chem. Lab., ETH, Zurich, Switz.
SOURCE: Helvetica Chimica Acta (1978), 61(8), 2831-42
CODEN: HCACAV; ISSN: 0018-019X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 90:103032
GI



AB In the title photolysis, the best conformation for Type II cleavage is one in which the p-orbitals of the intermediate biradical are parallel to the C-2'-C-3' bond; a biradical intermediate with unfavorable conformation for cleavage can yield a cyclobutanol derivative. E.g., photolysis of I gave cyclobutanol and fragmentation products in comparable yields. On the other hand, irradiation of II gave only elimination products.
69393-28-8P
IT RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photolysis of)
RN 69393-28-8 CA
CN Methanone, (3,3-dimethylcyclopentyl)-1H-imidazol-2-yl- (9CI) (CA INDEX NAME)



IT 69393-28-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and photolysis of)

10/802,292

=> file marpat

=> s l1 full

L5 155 SEA SSS FUL L1

=> s l5/com

L6 153 L5/COM

=> s l6 and pharm?

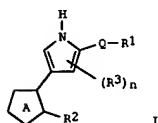
29361 PHARM?

L7 95 L6 AND PHARM?

=> d ibib abs fqhit 1-95

L7 ANSWER 1 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 142:261539 MARPAT
 TITLE: Preparation of pyrrole-containing heterocyclic compounds as inhibitors of c-Met
 INVENTOR(S): Aronov, Alex; Bandarage, Upul K.; Lauffer, David J.
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA; Li, Pan; Tomlinson, Ronald C.
 SOURCE: PCT Int. Appl., 61 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

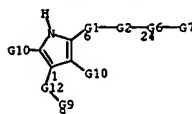
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005016920	A1	20050224	WO 2004-US26749	20040816
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US 2005101650	A1	20050512	US 2004-919774	20040816
PRIORITY APPL. INFO.:			US 2003-49535P	20030815



AB The title compds. I [Q is an alkylidene chain wherein one methylene unit of Q is replaced by CO, O, etc.; R1 = H, optionally substituted ring, etc.; R2 = optionally substituted 6-membered aryl ring having 0 - 5 nitrogens; n = 0 - 2; ring A = imidazole ring, etc.; R3 = CN, etc.] are prepared. Thus, 4-[5-(2,3-difluorophenyl)isoxazol-4-yl]-1H-pyrrole-2-carboxylic acid (S-tetrahydrofuran-2-ylmethyl)amide was prepared in a multistep process from 1-(1-benzenesulfonyl-1H-pyrrol-3-yl)ethanone. In an in vitro test for the inhibition of c-Met kinase, compds. of this invention showed Ki values of 1 to > 10 μ M.

MSTR 1

L7 ANSWER 1 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = C(0)
 G7 = 131

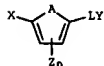


G10 = CN
 MPL: claim 1
 NTE: substitution is restricted
 NTE: additional derivatization also disclosed
 NTE: or pharmaceutically acceptable salts

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 142:198081 MARPAT
 TITLE: Preparation of (hetero)arylcarboxamides and related compounds as inhibitors of immune cell activation.
 INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsunori; Sun, Lijun; Chen, Shoujun; Zhang, Shihie; Jiang, Jun; Chinmanasada, Dinesh
 PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
 SOURCE: PCT Int. Appl., 222 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

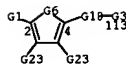
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009539	A2	20050203	WO 2004-US23895	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005107436	A1	20050519	US 2004-897681	20040722
PRIORITY APPL. INFO.:			US 2003-489711P	20030723



AB A method of inhibiting immune cell activation comprises administration of title compds. [I: X = (substituted) Ph, triazolyl, pyridyl, indolindinyl, Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO2, NH, N2, CH:CH, CH:N, CZ:N, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, etc.; L = NRCH2, CO, NRCH2, CS, NRCH2, etc.; R = H, alkyl, Ac, Boc, Z; n = 0-4], were prepared. Thus, 4'-amino-2,5-bis(trifluoromethyl)biphenyl (preparation given) and 4-methyl-1,2,3-thiadiazole-5-carboxylic acid were stirred 24 h with EDC and DMAP in CH2Cl2 to give 85% 4-methyl-1,2,3-thiadiazole-5-carboxylic acid (2',5'-bis(trifluoromethyl)biphen-4-yl)amide. The latter inhibited IL-2 production in PHA-activated Jurkat cells with IC50 < 100 nM.

MSTR 1

L7 ANSWER 2 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G3 = imidazolyl
 G6 = O
 G18 = 197

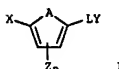


G22 = O
 G23 = CONH2 (SO)
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

10/802,292

L7 ANSWER 3 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 142:197897 MARPAT
 TITLE: Method for modulating calcium ion release-activated calcium ion channels using (hetero)arene-carboxamides and preparation thereof.
 INVENTOR(S): Xie, Yu; Holmqvist, Mats; Mahiou, Jerome; Ono, Mitsonori; Sun, Lijun; Chen, Shoujun; Zhang, Shihie; Jiang, Jun; Chinmananada, Dinesh; Fleig, Andrea
 PATENT ASSIGNEE(S): Synta Pharmaceuticals, Corp., USA
 SOURCE: PCT Int. Appl., 170 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

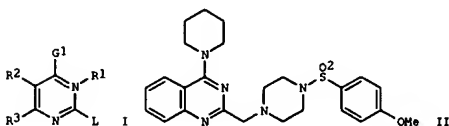
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005009954	A2	20050203	WO 2004-US23797	20040722
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005107436	A1	20050519	US 2004-897681	20040722
PRIORITY APPLN. INFO.: US 2003-489711P 20030723				



AB A method for modulating calcium ion release-activated calcium (CRAC) ion channels comprises administration of title compds. [I; X = (substituted) Ph, pyridyl, triazolyl, indolizyl, Y = (substituted) amino, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl; A = O, S, SO, SO₂, NH, CH₂, CH, N, CH, etc.; Z = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, heterocyclyl, aryl, heteroaryl, aralkyl, heteroaralkyl, haloalkyl, halo, cyano, NO₂, haloalkoxy, amino, etc.; L = NRCH₂, CO, NRCO, NRCS, etc.; R = H, alkyl, Ac, tert-butoxycarbonyl, benzyloxycarbonyl]. Thus, 2,5-bis(trifluoromethyl)bromobenzene, 4-nitrophenylboronic acid, trans-benzyl(chloro)bis(triphenylphosphine)palladium(II), K₂CO₃, and NMP were heated together at 110° for 2 days to give 994
 4'-nitro-2,5-bis(trifluoromethyl)biphenyl. This was stirred 2 days with SnCl₂ in CH₂Cl₂/EtOH/H₂O to give 854 4'-amino-2,5-bis(trifluoromethyl)biphenyl. The latter was stirred with

L7 ANSWER 4 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 142:74614 MARPAT
 TITLE: Preparation of pyrimidine derivatives as modulators of ATP-binding cassette transporters
 INVENTOR(S): Makings, Lewis R.; Singh, Ashvani K.; Miller, Mark T.; Hadidi, Ruah, Sarah S.; Grootenhuys, Peter; Hamilton, Matthew; Hazelwood, Anna R.; Huang, Liming
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 432 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

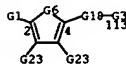
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004111014	A1	20041223	WO 2004-US17673	20040604
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059687	A1	20050317	US 2004-862909	20040607
PRIORITY APPLN. INFO.: US 2003-476698P 20030606				
US 2003-500132P 20030904				
US 2003-520181P 20031114				
WO 2004-US17673 20040604				



AB The present invention relates to compds. I [G1 = O, RA, ORA, SRA, NRARB (wherein RA, RB = VRV, or NRARB = (un)substituted 3-12 membered (un)saturated monocyclic or bicyclic ring having 0-4 heteroatoms selected from N, O, or S; V = a bond, alkylidene wherein up to two methylene units of V are optionally replaced by CO, CS, COCO, etc.; RV = halo, NO₂, CN, etc.); R1 = absent, YRY (Y = a bond, alkylidene wherein up to two methylene units of Y are optionally replaced by CO, O, S, etc.); RY = halo, NO₂, CN, etc.); R2, R3 = TRZ, or R2 and R3, taken together, form (un)substituted 5-6 membered monocyclic aryl having 0-5 heteroatoms selected from N, O, or S, 5-6 membered (un)saturated monocyclic ring having 0-3 heteroatoms selected from N,

L7 ANSWER 3 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 2,3-difluorobenzoyl chloride and Et₃N in CH₂Cl₂ to give 544
 N-(2',5'-bistrifluoromethylbiphen-4-yl)benzamide. This inhibited IL-2 prodn. in PHA-activated Jurkat cells with IC₅₀ <100 nM.

MSTR 1



G3 = imidazolyl
 G6 = O
 G18 = 197



G22 = O
 G23 = CONH₂ (SO)
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts, solvates, clathrates or prodrugs

L7 ANSWER 4 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 O, or S (T = a bond, alkylidene wherein up to two methylene units of T are optionally replaced by CO, CS, COCO, etc. RZ = halo, NO₂, CN, etc.); L = G2BGAr1 (G2, G3 = absent, alkylidene wherein up to two methylene units are optionally replaced by CO, CS, SO, etc.; B = absent, (un)substituted aryl, heteroaryl, cycloalkyl, etc.; Ar1 = absent, (un)substituted 3-8 membered (un)satd. monocyclic ring having 0-3 heteroatoms, 8-12 membered (un)satd. bicyclic ring having 0-5 heteroatoms)] as modulators of ATP-Binding Cassette ("ABC") transporters or fragments thereof, including Cystic Fibrosis Transmembrane Regulator ("CFTR"), compns. thereof, and methods therewith. E.g., a multi-step synthesis of the quinazoline II, is described. The compds. I are useful as modulators of ATP binding cassette transporters (the EC50 and relative efficacy for 405 compds. I were given). The present invention also relates to methods of treating ABC transporter mediated diseases such as cystic fibrosis using the modulators I.

MSTR 1A



G14 = imidazolyl
 G15 = 532-5 534-143



G22 = C(O)
 G23 = 555-532 552-534



MPL: claim 1
 NTE: or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: heteroatom functional group interruptions also claimed

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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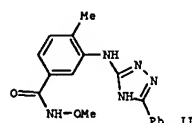
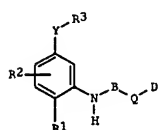
L7 ANSWER 5 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 142:56293 MARPAT
TITLE: P-38 inhibitors
INVENTOR(S): Dong, Qing; Pierre, Fabrice; Wang, Jianqiang
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 76 pp.
CODEN: USKKCO
DOCUMENT TYPE: Patent
LANGUAGES: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004254236	A1	20041216	US 2004-860768	20040602
WO 2005000298	A2	20050106	WO 2004-US17580	20040602
WO 2005000298	A3	20050303		

[illegible]

PRIORITY APPLN. INFO.:	US 2003-475662P	20030603
	US 2003-531541P	20031219

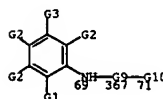
GI



AB 5-Membered heterocycle-based p38 kinase inhibitors I (**R1** = H, Me, halogen, OH, lower alkyl, lower cycloalkyl, lower alkenyl, CF₃, OMe, OC(=O), CN, NH₂, alkylamine, alkoxy; **R2** = alkyl, substituted alkyl, lower cycloalkyl, halo, CF₃, OC(=O), alkoxy, alkylamine, sulfonyl, sulfone, amide, and n = 0, 1, or 2); **R3** = H, alkyl, alkoxy, substituted alkyl, cycloalkyl, heteroaryl.
C 6-membered heterocycle-based p38 kinase inhibitors I (**R1** = H, Me, halogen, OH, lower alkyl, lower cycloalkyl, lower alkenyl, CF₃, OMe, OC(=O), CN, NH₂, alkylamine, alkoxy; **R2** = alkyl, substituted alkyl, lower cycloalkyl, halo, CF₃, OC(=O), alkoxy, alkylamine, sulfonyl, sulfone, amide, and n = 0, 1, or 2); **R3** = H, alkyl, alkoxy, substituted alkyl, cycloalkyl, heteroaryl.
D B = a 5-membered heterocyclic ring system optionally substituted; Q = a single bond, O, S, alkylamine, SO, SO₂, C(O), CO(O), C(O)NH, CH₂; D = a monocyclic or bicyclic ring system) are prepared for the treatment of inflammatory and autoimmune diseases. Thus, to 3-amino-N-methoxy-4-methyl-5-thiazolidinecarboxamide hydrochloride (1) was added 1,1-dichloroethane, N-diisopropylethylamine followed by treatment with hydrazine monohydrate

L7 ANSWER 5 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
to give II. II had an IC50 of less than 50 nM against p38 α .

MSTR 1



G11 - benzimidazolyl
G12 - C(O)
G32 - 360



G33 = alkyl<(1-20)> (S0)
G35 = 362-69 366-72 365-71



MPL: claim 1
NTE: or pharmaceutically acceptable derivatives

L7 ANSWER 6 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 142:49264 MARPAT
TITLE: aryl compounds and uses in modulating amyloid β
INVENTOR(S): Cheng, Soan; Comer, Daniel D.; Mao, Long; Balow, Guity
PATENT ASSIGNEE(S): P; Playnet, David
SOURCE: Neurogenetics, Inc., USA
FCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004110350	A2	20041223	WO 2004-US15239	20040514

2004110350	A3	20050303	
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, IE, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, LY, MA, MD, MG, MK, MN, MU, MZ, NA, NI, NL, NO, OM, OS, PA, PE, PF, PG, PH, PK, PL, PM, PT, PY, RE, RW, SA, SB, SC, SD, SE, SG, SH, SI, SK, SL, SM, SN, SR, ST, SV, SW, SY, TD, TH, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW		
RW:	BA, BG, GH, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TR, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MK, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, HT, ML, MR, NE, NG, SN, TG, TN		

US 2005070538	A1	20050331	US 2004-846941	20040514
PRIORITY APPLN. INFO.:			US 2003-470884P	20030514
			US 2003-532260P	20031222

US 2003-032260P 20031222

AB Aryl compds., compns., and kits are provided. Methods of modulating A β levels, and methods of treating a disease associated with aberrant A β levels, are also provided. Preparation of compds., e.g. (I, (A)La(B)Lb(C)Lc(D)) is included.

MSTR 1



G3 = C(0)
G6 = 238-7 236-6



G7 = C(O)
G18 = NH (SO)
G32 = 452-1 450-3

L7 ANSWER 6 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



MPL: claim 27
NTE: and pharmaceutically acceptable salts and prodrugs
NTE: additional ring formation also claimed

10/802,292

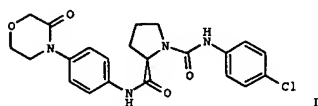
L7 ANSWER 7 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 141:350179 MARPAT
 TITLE: Preparation of azolidinedicarboxamides and related compounds as Factor Xa and Factor VIIa inhibitors
 INVENTOR(S): Tsaklakidis, Christos; Dorach, Dieter; Mederski, Werner; Cezanne, Bertram; Gleitz, Johannes
 PATENT ASSIGNEE(S): Merck Patent GmbH, Germany
 SOURCE: PCT Int. Appl., 162 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087646	A2	20041014	WO 2004-EP2350	20040308
WO 2004087646	A3	20050106		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, GU, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 KW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10315377 A1 20041014 DE 2003-10315377 20030403
 DE 10329295 A1 20050203 DE 2003-10329295 20030630
 PRIORITY APPL. INFO.: DE 2003-10315377 20030403
 DE 2003-10329295 20030630
 US 2003-483897P 20030702

GI



AB R1R2(TYX)EWCODG [R1, R2 = H, O, halo, A, ethynyl, OR3, N(R3)2, NO2, cyano, N3, CO2R3, CON(R3)2, etc.; R3 = H, A, HC.tplbond.CCH2, MeC.tplbond.CCH2, CH2CH(OH)CH2OH, etc.; R4 = H, A, W = N, C, CR3; E = atoms to form a 3-7 membered (heterocyclic) ring optionally containing a double bond; D = mono-

or dinuclear (substituted) (hetero)aryl; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS, etc.; n = 0-2; X = [C(R4)2]nCO[C(R4)2]n, [C(R4)2]nNR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, heterocyclylene, arenediyl; T = substituted mono- or dinuclear carbocyclyl, heterocyclyl; A = (fluoro-substituted) alkyl

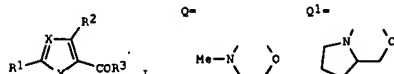
L7 ANSWER 8 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 141:140463 MARPAT
 TITLE: Preparation of heterocyclic compounds as selective phosphodiesterase V inhibitors
 INVENTOR(S): Yamada, Koichiro; Matsuki, Kenji; Omori, Kenji; Kikkawa, Kohei
 PATENT ASSIGNEE(S): Japan
 SOURCE: U.S. Pat. Appl. Publ., 116 pp., Cont.-in-part of U.S. Ser. No. 258,545.
 CODEN: USXKCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004142930	A1	20040722	US 2003-699804	20031104
JP 2002012587	A2	20020115	JP 2000-277652	20000913
JP 3637961	B2	20050413		
WO 2001083460	A1	20011108	WO 2001-JP2034	20010315

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG

US 2003229089 A1 20031211 US 2002-258545 20021025
 JP 2000-130371 20000428
 JP 2000-277652 20000913
 WO 2001-JP2034 20010315
 US 2002-258545 20021025
 JP 1999-261852 19990916

GI



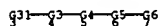
AB The title compds. (I) [X = CH, N; Y = NH, NR, S, O, CH=N, N=CH, N=N, CH=CH(R5)N, CH=C(R5), N=C(R7)]; R1 = each (un)substituted lower alkoxy, amino, heterocyclyl containing N atom(s), HO, or heterocyclyloxy containing

N atom(s), cyano; R2 = lower alkylamino or lower alkoxy each optionally substituted by an (un)substituted aryl, lower alkoxy group substituted by an aromatic heterocyclic ring containing N atom(s), lower alkylamino group substituted by a (un)substituted heterocyclic ring, (un)substituted arylamino; R3 = each (un)substituted aryl, heterocyclyl containing N atom(s), lower alkyl, lower alkoxy, lower cycloalkoxy, heterocyclyloxy containing N atom(s), or NH2; R4-R7 = each (un)substituted aryl, heterocyclyl containing

N

L7 ANSWER 7 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 optionally interrupted by O, S, CH=CH, were prepd. Thus, title compd. (I) [prepn. from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate given] bound to Factor Xa receptors with IC50 = 1.8 × 10⁻⁸ M.

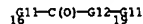
MSTR 1



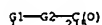
G1 = imidazolyl (SO G17)
 G3 = 108-3 112-5



G4 = 16-4 19-6



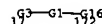
G31 = 215



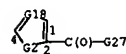
MPL: claim 1
 NTE: also incorporates claim 26
 NTE: and pharmaceutically acceptable derivatives, solvates, and salts
 STE: and stereoisomers and mixtures

L7 ANSWER 8 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 atom(s), lower alkoxy, or NH2; R4, R5, R6 or R7 may combine with R3 to form a lactone ring Q or Q1; when X = N, Y = CH=N, or N=CH, R2 = an amino group monosubstituted by an (un)substituted arylmethyl, and R3 = (un)substituted lower alkyl, amino monosubstituted by an (un)substituted heterocyclyl-lower alkyl contg. N atom(s) in the ring, heterocyclylamino contg. N atom(s) in the ring, or (un)substituted lower cycloalkylamino, R1 = each (un)substituted lower alkoxy, amino, heterocyclyloxy contg. N atom(s) in the ring, or cyano group) or pharmacol. acceptable salts thereof are prepd. These compds. have excellent selective PDE V inhibitory activity and therefore, are useful as therapeutic or prophylactic drugs for treating various diseases due to functional disorders on cGMP-signaling, such as erectile dysfunction, pulmonary hypertension, and diabetic gastroparesis. Thus, 2-(hydroxymethyl)pyridine was treated with NaH in THF and etherified with 2-chloro-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine to give 2-(2-pyridylmethoxy)-5-(3,4,5-trimethoxyphenylcarbonyl)-4-(3-chloro-4-methoxybenzylamino)pyrimidine.

MSTR 1



G1 = 4-17 1-172



G2 = 6



G3 = CN
 G18 = CH
 G27 = imidazolyl
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 NTE: or pharmacologically acceptable salts

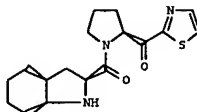
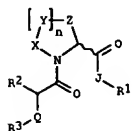
10/802,292

L7 ANSWER 9 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 140:407113 MARPAT
 TITLE: Preparation of 2-pyrrolidinecarboxylic acid derivatives and related compounds as novel inhibitors of dipeptidyl peptidase IV
 INVENTOR(S): Belyakov, Sergei; Kalish, Vincent; Ferraris, Dana
 PATENT ASSIGNEE(S): Guilford Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/01795	A1	2004/05/21	WO 2003-US34388	2003/10/30

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPL. INFO.: US 2002-422452P 20021030
 GI



AB The invention relates to novel inhibitors I [n = 0-2, forming a four-, five- or six-membered nitrogen-containing ring which is saturated or optionally contains one double bond; X and Y, if present, are CH₂, CF₂, CH, S, O, NH, N, C=O, CH-W or C-W (W is halo, hydroxy, sulphydryl, alkyl or alkoxy)], provided that the nitrogen-containing ring may contain no more than one heteroatom in addition to nitrogen; Z is CH₂, CF₂, CH, C-W or CH-W; J is a single bond, C=O or CH₂; R1 is halo, cyano, -OR₄, -SR₄ or -NHR₄ (R₄ is (un)substituted Ph or benzyl and R₅ is aryl- or heteroaryl-substituted alkyl or alkanoyl), (un)substituted Ph, mono-, bi-, or tricyclic heteroaryl; Q is NH or CH₂; one of R₂ and R₃ is H and the other is alkyl or a saturated mono-, bi- or tricyclic hydrocarbon or R₂ and R₃ form a ring (with provisos)] of dipeptidyl peptidase IV (DPP IV) and their

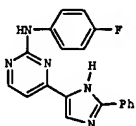
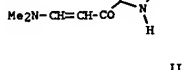
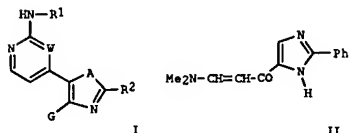
L7 ANSWER 10 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 140:111414 MARPAT
 TITLE: Preparation of imidazopyrimidines and related compounds as JNK protein kinase inhibitors
 INVENTOR(S): Ledebner, Mark; Wang, Jian; Moon, Young Choon
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 129 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004/005293	A1	2004/01/15	WO 2003-US21524	2003/07/09

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2491895
 US 2004/097531
 A1 2004/05/20
 US 2003-616560
 US 2002-395202P
 WO 2003-US21524
 2003/07/09
 2002/07/09
 2003/07/09

PRIORITY APPL. INFO.:
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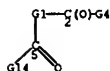


III

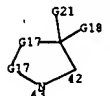
AB Title compds. I [W = N, CH; G = H, alkyl with provisos; A = O, S, N-Tn-R; R = H, (un)substituted aliphatic; T = alkylidene chain wherein one methylene unit is optionally replaced by CO, CO₂, CONH, etc.; n = 0, 1; R1 = Tn-R,

L7 ANSWER 9 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 pharmaceutical compds. for the treatment of medical conditions such as neural disorders, diabetes, arthritis, obesity, and osteoporosis. Thus, II.HCl was prepd. by arylation of Boc-L-proline (Boc = tert-butoxycarbonyl) with thiazolylithium, coupling with Boc-octahydro-2-indolecarboxylic acid, oxidn. with oxalyl chloride, and deprotection. DPP IV inhibitory IC₅₀ values expressed in nanomolar concns. are tabulated for compds. I (IC₅₀ = 44 for II.HCl).

MSTR 1



G1 = 43-5 42-2



G4 = 2-imidazolyl

G17 = 44



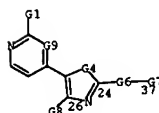
G18 = alkyl<(1-12)>

MPL: claim 1

NTE: or pharmaceutically acceptable derivatives
 NTE: substitution is restricted

L7 ANSWER 10 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 Tn-Ar1: Ar1 = 3-7 membered monocyclic satd., partially satd. or arom. ring; R2 = Qn-Ar2; Q = alkylidene chain with provisos; Ar2 = 3-7 membered monocyclic satd., partially satd. or arom. ring] and their pharmaceutically acceptable salts and formulations were prepd. For example, condensation of enone II, e.g., prepd. from 4-methoxybut-3-en-2-one in 3-steps, and N-(4-fluorophenyl)guanidine afforded imidazopyrimidine III in 56% yield. In human JNK3 protein kinase inhibition assays, 36-examples of compds. I exhibited Ki values ranging from 0.1->1.0 μM. Compds. I are claimed useful as inhibitors of JNK, a mammalian protein kinase involved cell proliferation, cell death and response to extracellular stimuli.

MSTR 1

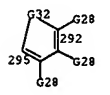


G4 = 358



G6 = C(O)

G7 = 295

G29 = CH₂

G32 = O

MPL: claim 1

NTE: substitution is restricted

NTE: or pharmaceutically acceptable derivatives

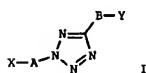
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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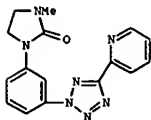
L7 ANSWER 11 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 139:276903 MARPAT
 TITLE: Preparation of diaryltetrazoles as modulators of metabotropic glutamate receptor-5
 INVENTOR(S): Smith, Nicholas D.; Cosford, Nicholas D. P.; Reger, Thomas R.; Roppe, Jeffrey R.; Poon, Steven F.; Huang, Dehua; Chen, Chixu; Eastman, Brian W.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 170 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077918	A1	20030925	WO 2003-US7074	20030307
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2478799	AA	20030925	CA 2003-2478799	20030307
EP 1485093	A1	20041215	EP 2003-711474	20030307
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.: US 2002-363456P 20020312 WO 2003-US7074 20030307				

GI



I



II

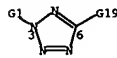
L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 139:101023 MARPAT
 TITLE: Preparation of (aroyl)pyrrolyl heteroaryl methanones and methanols as central nervous system agents
 INVENTOR(S): Carson, John R.; Codd, Ellen E.; Pitis, Philip M.
 PATENT ASSIGNEE(S): Ortho-Mcneil Pharmaceutical Inc., USA
 SOURCE: PCT Int. Appl., 71 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057219	A1	20030717	WO 2002-US39487	20021210
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, HL, MR, NE, SN, TD, TG				
US 2003181481	A1	20030925	US 2002-315585	20021210
US 6897319	B2	20050524		
EP 1458386	A1	20040922	EP 2002-799923	20021210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005514412	T2	20050519	JP 2003-557577	20021210
US 2004058980	A1	20040325	US 2002-328317	20021223
PRIORITY APPLN. INFO.: US 2001-343768P 20011227 WO 2002-US39487 20021210				

GI

L7 ANSWER 11 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 AB Tetrazoles I [A, B = alkylene, optionally interrupted by heteroatoms; X, Y = (un)substituted heteroaryl, at least one of which has H adjacent to the attachment to A or B] are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, panic, and bipolar disorder, as well as in the treatment of pain, Parkinson's disease, cognitive dysfunction, epilepsy, circadian rhythm disorders, drug addiction, drug abuse, drug withdrawal, obesity and other diseases. I IC50 ≤ 10 μM in the calcium flux assay and ≤ 100 μM in the phosphatidylinositol hydrolysis assay. Thus, 1-(3-aminophenyl)-3-methyl-2-imidazolidinone was diazotized and treated with 2-pyridinecarboxaldehyde and 4-MeC6H4SO2NHNH2 to give the tetrazole II.

MSTR 1



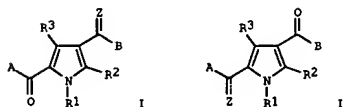
G13 = C(0)
 G20 = C(0)
 G24 = 448-80 451-141



G30 = NH
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts, or N-oxides

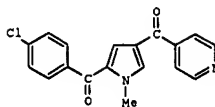
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE AB FORMAT

L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



I

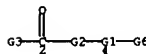
II



III

AB Title compds. I and II (wherein A = (un)substituted aryl, heteroaryl; B = (un)substituted heteroaryl; Z = oxo, hydroxy; R1 = (un)substituted alkyl, cycloalkyl, aryl; R2, R3 = independently H, alkyl, halogen and their pharmaceutically acceptable acid addition salts, quaternary ammonium salts and N-oxides) were prepared as sodium channel antagonists. Examples include the synthesis for nineteen invention compds. and six biol. assays. For example, compound III was prepared by Friedel-Crafts acylation of (4-chlorophenyl) (1-methyl-1H-pyrrol-2-yl)methanone with isonicotinoyl chloride in the presence of AlCl3 in DCE for 16 h. The latter exhibited ED50 = 156.97 mpk according to the mouse anticonvulsant model. Thus, I and II are useful as treating or modulating central nervous systems disorders.

MSTR 1



G1 = CHOH
 G2 = 10-2 7-4



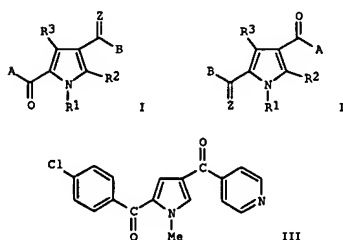
G3 = imidazolyl (SO)
 HPL: claim 1

L7 ANSWER 12 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 NTE: and pharmaceutically acceptable acid addition salts, quaternary ammonium salts, and N-oxides
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 139:101022 MARPAT
 TITLE: Preparation of (aracyl)pyrrolyl heteroaryl methanones and methanols as central nervous system agents
 INVENTOR(S): Carson, John R.; Codd, Ellen E.; Pitts, Philip M.
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 68 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003057147	A2	20030717	WO 2002-US41417	20021223
WO 2003057147	A3	20031023		
W:	AS, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR			
US 2003181481	A1	20030925	US 2002-315585	20021210
US 6897319	B2	20050524		
US 2004058980	A1	20040325	US 2002-328317	20021223
			US 2001-343768P	20011227

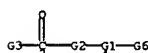
PRIORITY APPLN. INFO.:
 GI



AB Title compds. I and II [wherein A = (un)substituted aryl, heteroaryl; B = (un)substituted heteroaryl; Z = oxo, hydroxy; R1 = (un)substituted alkyl, cycloalkyl, aryl; R2, R3 = independently H, alkyl, halogen; and their

L7 ANSWER 13 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 pharmaceutically acceptable acid addn. salts, quaternary ammonium salts and N-oxides] were prepd. as sodium channel antagonists. Examples include the synthesis for nineteen invention compds. and six biol. assays. For example, compd. III was prepd. by Friedel-Crafts acylation of (4-chlorophenyl) (1-methyl-1H-pyrrol-2-yl)methanone with isonicotinoyl chloride in the presence of AlCl3 in DCE for 16 h. The latter exhibited ED50 = 156.97 mpk according to the mouse anticonvulsant model. Thus, I and II are useful as treating or modulating central nervous systems disorders.

MSTR 1



G1 = CHOH
 G2 = 10-2 7-4



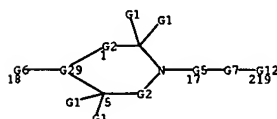
G3 = imidazolyl (50)
 MPL: claim 1
 NTE: and pharmaceutically acceptable acid addition salts, quaternary ammonium salts, and N-oxides

L7 ANSWER 14 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 138:379271 MARPAT
 TITLE: Method using imidazole derivatives to treat cystic fibrosis
 INVENTOR(S): Higgins, Linda S.; Liu, David Y.; Protter, Andrew A.
 PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003041644	A2	20030522	WO 2002-US35939	20021108
WO 2003041644	A3	20031113		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
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CA 2466665	AA	20030522	CA 2002-246665	20021108
US 2004009990	A1	20040115	US 2002-291243	20021108
EP 1453515	A2	20040908	EP 2002-778799	20021108
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002014020	A	20041013	BR 2002-14020	20021108
TR 200401028	T2	20041122	TR 2004-200401028	20021108
JP 2005511616	T2	20050428	JP 2003-543531	20021108
			US 2001-338209P	20011109
			WO 2002-US35939	20021108

PRIORITY APPLN. INFO.:
 AB The invention is directed to methods to treat cystic fibrosis by administering certain imidazole derivs.

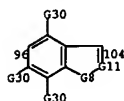
MSTR 1



G7 = 96-17 104-219

10/802,292

L7 ANSWER 14 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G8 = 31



G9 = 210



G11 = 143



G12 = 369



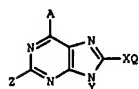
G15 = imidazolyl
 MPL: claim 1
 NTE: and pharmaceutically acceptable salts, prodrugs, or compositions
 NTE: substitution is restricted
 NTE: additional ring, oxo, oxime and ketal formation also claimed

L7 ANSWER 15 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138368900 MARPAT
 TITLE: Preparation of purine analogs as heat shock protein 90 (HSP90) inhibitors.
 INVENTOR(S): Kasibhatla, Srinivas Rao; Hong, Kevin; Zhang, Lin; Biamonte, Marco Antonio; Boehm, Marcus F.; Shi, Jiandong; Fan, Junhua
 PATENT ASSIGNEE(S): Conforma Therapeutics Corporation, USA
 SOURCE: PCT Int. Appl., 98 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037860	A2	20030508	WO 2002-US35069	20021030
WO 2003037860	A3	20040325		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2464031	AA	20030508	CA 2002-2464031	20021030
EP 1440072	A2	20040728	EP 2002-780559	20021030
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005511565	T2	20050428	JP 2003-540142	20021030
US 2005049263	A1	20050303	US 2004-494414	20041004
PRIORITY APPLN. INFO.:			US 2001-335391P	20011030
			WO 2002-US35069	20021030

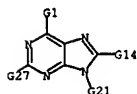
GI



AB Title compds. [1: A = H, halo, cyano, N2, amino, alkyl, guanidino, amidino, perhaloalkyl, OR3, SR3, etc.; Q = (substituted) alkyl, cycloalkyl, aralkyl, aryl, heteroaryl; X = S, SO, SO2; Y = H, COR2, SO2R2, CO2R2, (substituted) alkyl, alkenyl, alkynyl, aryl, aryloxyalkyl, allyloxyalkyl, etc.; 2 = H, halo, cyano, OR3, SR3, perhaloalkyl, (substituted) alkyl, alkenyl, alkynyl, aryl, allyloxyalkyl, aryloxyalkyl, alkoxyalkyl, heterocyclyl, COR2, SO2R2, guanidino, amidino, etc.; R2 = (substituted) alkyl, heteroalkyl, cycloalkyl, heterocyclyl, heteroaryl,

L7 ANSWER 15 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 aryl; R3 = H, (substituted) alkyl, cycloalkyl, heteroalkyl, aryl, heterocyclyl, etc.), were prepd. Thus, 6-chloro-8-(2,5-dimethoxybenzyl)-N9-butylpurine (prepn. given) was heated in a sealed tube with aq. NH3 at 100° for 48 h to give 8-(2,5-dimethoxybenzyl)-9-butyladenine. The latter showed HSP90 binding ability with IC50 = 10 µM.

MSTR 1



G15 = 97



G31 = C(O)
 MPL: claim 1
 NTE: or tautomers or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: also incorporates broader disclosure

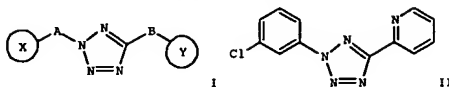
L7 ANSWER 16 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138287681 MARPAT
 TITLE: Preparation of heteroaryl substituted tetrazole modulators of metabotropic glutamate receptor-5
 INVENTOR(S): Cosford, Nicholas D.; Roppe, Jeffrey; Chen, Chixu; Smith, Nicholas; Regar, Thomas
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 119 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 5
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003029210	A2	20030410	WO 2002-US31294	20021001
WO 2003029210	A3	20031120		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2462289	AA	20030410	CA 2002-2462289	20021001
EP 1434773	A2	20040707	EP 2002-776076	20021001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005508344	T2	20050331	JP 2003-532460	20021001
WO 2004030637	A2	20040415	WO 2003-US9717	20030331
WO 2004030637	A3	20040923		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004186295	A1	20040923	US 2004-491613	20040402
PRIORITY APPLN. INFO.:			US 2001-327132P	20011004
			WO 2002-US31294	20021001
			WO 2002-US40147	20021213
			WO 2002-US41720	20021213
			WO 2002-US40237	20021216
			WO 2002-US40486	20021217

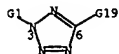
GI

L7 ANSWER 16 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [X, Y = (un)substituted (hetero)aryl; A, B = alkyl, alkyl-SO-alkyl, alkyl-SO₂-alkyl, etc.] are prepared. For instance, 2-formylpyridine is condensed with toluenesulfonyl hydrazide to form the hydrazone. 3-Chloroaniline is converted to the diazonium salt and reacted with the hydrazone to form 2-(2-(3-chlorophenyl)-2H-tetrazol-5-yl)pyridine (II) as a pale orange solid. Compds. of the invention have IC₅₀ < 10 μM for mGluR5 in the calcium flux assay. I are mGluR5 modulators useful in the treatment of psychiatric and mood disorders such as, schizophrenia, anxiety, depression, and panic, as well as in the treatment of pain and other diseases.

MSTR 1



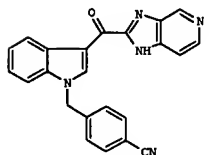
G13 = C(0)
 G20 = C(0)
 G24 = imidazolyl
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts, or N-oxides

L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 138:238183 MARPAT
 TITLE: Preparation of 2-aryl-imidazole compounds as antitumor agents
 INVENTOR(S): Koya, Keizo; Sun, Lijun; Ono, Mitsunori; James, David; Ying, Wiewen; Chen, Shoujun
 PATENT ASSIGNEE(S): SBR Pharmaceuticals Corp., USA
 SOURCE: PCT Int. Appl., 87 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022274	A2	20030320	WO 2002-US27514	20020828
WO 2003022274	A3	20030710		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2460345	AA	20030320	CA 2002-2460345	20020828
EP 1427413	A2	20040616	EP 2002-757458	20020828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005504789	T2	20050217	JP 2003-526403	20020828
US 2003096836	A1	20030522	US 2002-233371	20020829
US 6743919	B2	20040601		
US 2004186129	A1	20040923	US 2004-802292	20040316
PRIORITY APPLN. INFO.:				
			US 2001-322105P	20010913
			WO 2002-US27514	20020828
			US 2002-233371	20020829

GI



L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB Disclosed is a compound represented by structural formula RC(=Z)R1, wherein R1 is a substituted or unsubstituted 2-imidazolyl group which is optionally fused to a substituted or unsubstituted aryl group; R is heterocycle; Z1 is O, S, oxime, imine, were prepared and tested in vitro as antitumor agents for human cancer cell lines such as MDA435 (human breast cancer), MIP101 (human colon cancer), HL-60 (human myeloid leukemia), U937 (human leukemia), p388 (murine leukemia), DU-145 (human prostate cancer), MES-SA (human uterine sarcoma). Thus, aryl-imidazole I was prepared and tested in vitro as antitumor agent. In Vitro anti-cancer activity of title compds. against multi drug resistant cell lines MES-SA/DX5 and HL-60/TX1000 is reported. These compds. demonstrated significant anti-cancer activity (IC₅₀: 0.04 - 0.5 μM) against MES-SA/DX5 and HL60/TX1000, while Taxol showed very weak anti-cancer activity (IC₅₀: 5 μM) against the multi-drug resistant cell lines.

MSTR 1



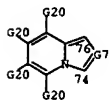
G1 = 5



G3 = 0
 G7 = 30



G10 = 76-2 74-59



G11 = 99



L7 ANSWER 17 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MPL: claim 1
 NTE: and pharmaceutically acceptable salts
 NTE: additional ring formation also claimed
 NTE: substitution is restricted

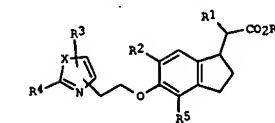
L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 139:153524 MARPAT
 TITLE: Preparation of indaneacetic acid derivatives for treating diabetes, obesity, hyperlipidemia, and atherosclerotic diseases
 INVENTOR(S): Lowe, Derek B.; Wickens, Philip L.; Ma, Xin; Zhang, Mingbao; Bullock, William H.; Colish, Philip D. G.; Mugge, Ingo A.; Stolle, Andreas; Wang, Ming; Wang, Yamin; Zhang, Chengzhi; Zhang, Hai-Jun; Zhu, Lei; Tsutsumi, Manami; Livingston, James N.
 PATENT ASSIGNEE(S): Bayer Corporation, USA
 SOURCE: PCT Int. Appl., 189 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

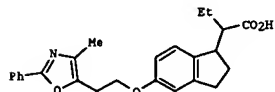
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003011842	A1	20030213	WO 2002-US23614	20020725
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2455620	AA	20030213	CA 2002-2455620	20020725
US 2003216391	A1	20031120	US 2002-205839	20020725
US 6828335	B2	20041207		
EP 1414809	A1	20040506	EP 2002-750297	20020725
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR, BG, CZ, EE, SK				
JP 200508308	T2	20050331	JP 2003-517034	20020725
US 2005075338	A1	20050407	US 2004-949119	20040922
PRIORITY APPL. INFO.: US 2001-308500P 20010727				
US 2002-373048P 20020416				
US 2002-205839 20020725				
WO 2002-US23614 20020725				

G1

L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



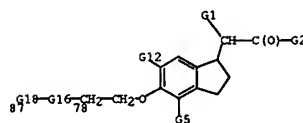
I



II

AB The title compds. I [R = H, alkyl; R1 = H, CO2R, cycloalkyl, etc.; R2 = H, halo, alkyl, etc.; R3 = H, alkyl, (un)substituted Ph; X = O, S; R4 = alkyl, cycloalkyl, Ph, etc.; R5 = H, halo, alkyl optionally substituted with oxo], useful in the treatment of diseases such as diabetes, obesity, hyperlipidemia, and atherosclerotic diseases, were prepared and formulated. Thus, reacting 2-(4-methyl-2-phenyl-1,3-oxazol-5-yl)ethanol with Me 5-hydroxy-2,3-dihydroindene-1-yl-2-butanate (prepn. given) in the presence of DEAD and PPh3 in THF followed by hydrolysis of the ester afforded the acid II.

MSTR 1



G16 = 86-87 82-78



G17 = O

G20 = imidazolyl

L7 ANSWER 18 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G24 = 377

377

G27 = Ak<EC (1-6) C, BD (ALL) SE> (SO)
 MPL: claim 1
 NTE: and pharmaceutically acceptable salts and esters

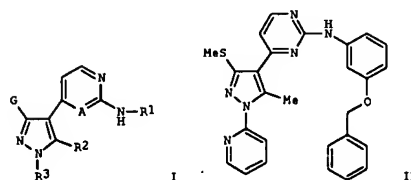
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 19 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 137:384853 MARPAT
 TITLE: Preparation of pyrazolyl pyridinamines and pyrimidinamines as inhibitors of Src and other protein kinases
 INVENTOR(S): Moon, Young-Choon
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 75 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092573	A2	20021121	WO 2002-US15606	20020516
WO 2002092573	A3	20040122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZH, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZH, ZW, AM, AZ, BY, EG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2446864	AA	20021121	CA 2002-2446864	20020516
EP 1404669	A2	20040407	EP 2002-769762	20020516
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, HK, CY, AL, TR				
JP 2004534754	T2	20041118	JP 2002-589459	20020516
PRIORITY APPL. INFO.: WO 2002-US15606 20020516				

G1



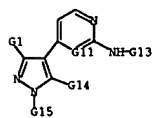
I

II

AB Title compds. I [wherein G = XR or XAr; X = independently alkylidene wherein 1-2 non-adjacent methylene units are independently replaced by O, NR, S, CO, CONR, NRCONR, SO, SO2, NRSO2, SO2NR, or NRSO2NR; A = N or CR; R = H or (un)substituted aliphatic group; or NR2 = heterocyclyl; Ar = (un)substituted 5-6 membered monocyclic ring with 0-3 heteroatoms or 8-10 membered bicyclic ring with 0-4 heteroatoms; R1 = TnR or TnAr; n = 0-1; T

L7 ANSWER 19 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 = CO, CO₂, COCO, COCH₂CO, CONH, SO₂, or SO₂NH; R₂ = H, Ar, or (un)substituted aliph. group; R₃ = R or Ar; or pharmaceutically acceptable derivs. thereof] were prepd. as inhibitors of protein kinase, particularly inhibitors of Src mammalian protein kinase involved in cell proliferation, cell death and response to extracellular stimuli (no data). For example, 3-dimethylamino-1-[5-methyl-3-methylsulfanyl-1-(pyridin-2-yl)-1H-pyrazol-4-yl]propanone was coupled with N-(3-benzoyloxyphenyl)guanidine in MeOH to give II (40%). I and compns. contg. I are useful in the treatment and prevention of various inflammatory, autoimmune, destructive bone, proliferative, infectious, neurodegenerative, allergic, and cardiac disorders and diseases (no data).

MSTR 1



G4 = C(O)
 G5 = imidazolyl
 G14 = 66

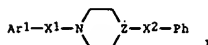


MPL: claim 1
 NTE: or pharmaceutically acceptable derivatives
 NTE: additional interruptions in G2 also claimed

L7 ANSWER 20 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 137:185513 MARPAT
 TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38a kinase
 INVENTOR(S): Goehring, R. richard; Havunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Leudtke, Gregory; Lewicki, John A.
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl., 50 pp., Cont.-in-part of U.S. Ser. No. 385,494.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

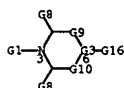
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002115671	A1	20020822	US 2001-796997	20010228
US 6541477	B2	20030401		
US 6410540	B1	20020625	US 1999-385494	19990827
PRIORITY APPLN. INFO.:			US 1999-385494	19990827
			US 2000-185571P	20000228
			US 1998-98219P	19980828
			US 1999-125343P	19990319

GI



AB The title compds. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH₂, isostere; Ph may be optionally substituted], inhibitors of p38a kinase, were prepared. For example, 1-benzoyl-4-benzylpiperidine was prepared in 96% yield by reaction of 4-benzylpiperidine and PhCOCl in the presence of diisopropylethylamine in CH₂Cl₂. In p38a kinase inhibition assays, I showed substantial inhibition at 15 μM, some as high as 99%. I are useful for the treatment of conditions associated with activation of p38a, in particular inflammation and cardiac conditions (no data).

MSTR 2



G3 = 11

L7 ANSWER 20 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)



G4 = Ak<(1-8)> (SO)
 G10 = (0-3) 18

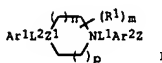


G13 = benzimidazolyl
 G18 = C(O)
 MPL: disclosure
 NTE: substitution is restricted
 NTE: and pharmaceutically acceptable salts or compositions

L7 ANSWER 21 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 137:33320 MARPAT
 TITLE: Preparation of acylpiperidines and -piperazines as inhibitors of p38 kinase.
 INVENTOR(S): Dugar, Sundee; Perumattam, John; Tester, Richland; Lu, Qing
 PATENT ASSIGNEE(S): Scios Inc., USA
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046158	A2	20020613	WO 2001-US43824	20011120
WO 2002046158	C2	20030501		
WO 2002046158	A3	20030821		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2429258	AA	20020613	CA 2001-2429258	20011120
AU 2002043230	A5	20020618	AU 2002-43230	20011120
US 2002198214	A1	20021226	US 2001-990184	20011120
US 6696443	B2	20040224		
EP 1353905	A2	20031022	EP 2001-989111	20011120
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004533989	T2	20041111	JP 2002-547897	20011120
US 2004176382	A1	20040909	US 2004-757023	20040113
PRIORITY APPLN. INFO.:			US 2000-252196P	20001120
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			WO 2001-US43824	20011120

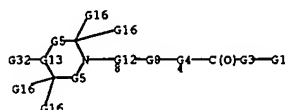
GI



AB Title compds. [I: Ar1 = substituted aryl; L1, L2 = linker; R1 = noninterfering substituent; Z1 = CR₂, N; R2 = H, noninterfering substituent; m = 0-4; n, p = 0-2; n+p = 0-3; Ar2 = substantially planar, mono- or polycyclic (substituted) (hetero)aryl; Z = WCOXY; Y = COR₃, isostere thereof; R3 = noninterfering substituent; W, X = spacer of 2-6 Å; i, j = 0, 1; wherein the smallest number of covalent bonds in the compound separating the atom of Ar1 bonded to L2 to the atom of Ar2 bonded to L1
 26, where each of said bonds has a bond length of 1.2-2.0 Å;
 and/or wherein the distance in space between the atom of Ar1 bonded to L2

L7 ANSWER 21 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
and the atom of Ar2 bonded to L1 = 4,5-24 A; with a proviso], were
prepd. as p38-a kinase inhibitors (no data). Thus,
2,5-dimethyl-1H-pyrrole-3-carboxylic acid and 1-(4-fluorobenzyl)trans-2,5-
dimethylpiperazine in CH2Cl2 were treated with EDCI and catalytic DMAP
followed by stirring for 12 h to give (2,5-dimethyl-1H-pyrrol-3-yl)[4-(4-
fluorobenzyl)trans-2,5-dimethylpiperazine-1-yl]methanone. The latter in
CH2Cl2 at 0° was treated with (COCl)2 and then with Me2NH to give
2-[4-(4-Fluorobenzyl)-2,5-trans-dimethylpiperazine-1-carbonyl]-2,5-
dimethyl-1H-pyrrol-3-yl]-N,N-dimethyl-2-oxoacetamide.

MSTR 1



G1 = imidazolyl
G8 = 395-8 397-4

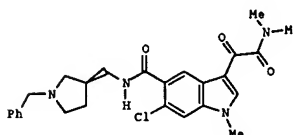


MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: substitution is restricted
NTE: additional ring formation also claimed

L7 ANSWER 22 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:6088 MARPAT
TITLE: Preparation of indolecarboxamides as p38-a
inhibitors
INVENTOR(S): Dugar, Sundeepr; Mavunkel, Babu J.; Luedtke, Gregory
R.; Mcentree, Glen
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002041168	A2	20020606	WO 2001-US43439	20011120
WO 2002041168	A3	20030522		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429382	AA	20020606	CA 2001-2429382	20011120
AU 2002037657	A5	20020611	AU 2002-37657	20011120
US 2003100588	A1	20030529	US 2001-989991	20011120
US 6890938	B2	20050510		
EP 1339708	A2	20030903	EP 2001-986461	20011120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536779	T2	20041209	JP 2002-546538	20011120
PRIORITY APPL. INFO.: US 2000-252163P 20001120 WO 2001-US43439 20011120				

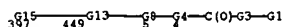
GI



AB Title compds. were prepared as p38-a inhibitors (no data). Thus,

L7 ANSWER 22 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by
(R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation
to give title compd. 1.

MSTR 1



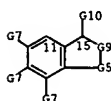
G1 = imidazolyl
G5 = 18



G6 = 282



G8 = 11-449 15-4



G9 = 124

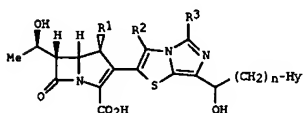
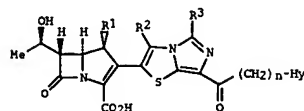


MPL: claim 1
NTE: and pharmaceutically acceptable salts
NTE: substitution is restricted

L7 ANSWER 23 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 137:6031 MARPAT
TITLE: Preparation of novel imidazo[5,1-b]thiazolylcarbapenem
derivatives as antibacterial agents
INVENTOR(S): Kano, Yuko; Yamamoto, Yasuo; Maruyama, Takahisa;
Sawabe, Takehiko; Shitara, Eiki; Aihara, Kazuhiro;
Atsumi, Kunio; Ida, Takashi
PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan
SOURCE: PCT Int. Appl., 153 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042312	A1	20020530	WO 2001-JP10252	20011122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2429675	AA	20020530	CA 2001-2429675	20011122
AU 2002024088	A5	20020603	AU 2002-24088	20011122
EP 1336612	A1	20030820	EP 2001-997496	20011122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001015615	A	20040203	BR 2001-15615	20011122
ZA 2003003558	A	20040906	ZA 2003-3558	20011122
US 2004039867	A1	20040226	US 2003-344729	20030214
PRIORITY APPL. INFO.: JP 2000-356997 20001124 WO 2001-JP10252 20011122				

GI

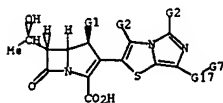


II

L7 ANSWER 23 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

- AB Carbapenem derivs. represented by the following general formulas (I) and (II) and pharmaceutically acceptable salts thereof [wherein R1 represents H or methyl; R2 and R3 represent each H, halogeno, optionally substituted alkyl, cycloalkyl, optionally substituted alkylcarbonyl, carbamoyl, optionally substituted aryl, optionally substituted alkylthio, morpholinyl, alkylsulfonyl or formyl; n is from 0 to 4; and Hy represents an optionally substituted, monocyclic or bicyclic heterocyclic group] are prepared. These compds. have a broad and potent antibacterial activity against gram-neg. and gram-pos. bacteria including methicillin-resistant *Staphylococcus aureus* (MRSA), penicillin-resistant *Streptococcus pneumoniae* (PRSP), *Haemophilus influenzae* and β -lactamase-producing bacteria and exhibit a high stability against kidney dehydropeptidase-1 (DHP-1). Thus, (SR,6S)-6-[(1R)-1-hydroxyethyl]-2-[7-(pyridin-3-yl)carbonylimidazo[5,1-b]thiazol-2-yl]-1-carbapen-2-em-3-carboxylic acid p-nitrobenzyl ester was alkylated by 2-iodoacetamide in MeCN at 50° for 6 h followed by hydrogenolysis over 10% Pd-C in a mixture of THF and 1/15 M sodium phosphate buffer at room temperature for 2 h to give (SR,6S)-6-[(1R)-1-hydroxyethyl]-2-[7-(1-carbamoylmethylpyridinium-3-yl)carbonylimidazo[5,1-b]thiazol-2-yl]-1-carbapen-2-em-3-carboxylate (inner salt) (II). II showed min. inhibitory concentration of 0.008, 0.025, 0.031, 0.031, 0.063, 0.031, and 0.031 μ g/mL against *S. aureus* 209P JC-1, *S. aureus* M126 (MRSA), *S. aureus* M126 HR (MRSA), *S. pneumoniae* PRCS (PRSP), *Moraxella catarrhalis* W-0500, *H. influenzae* PRC44, *Escherichia coli* NIHJ JC-2, and *Klebsiella pneumoniae* PC1602, resp.

MSTR 1



G2 = alkyl<(1-6)> (SO (1-) G3)
 G7 = imidazolyl
 G17 = C(O)
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts
 NTE: also incorporates claim 5

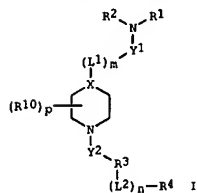
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 136:386139 MARPAT
 TITLE: Preparation of piperidine- and piperazineacetamides as nervous system agents
 INVENTOR(S): Kordik, Cheryl P.; Reitz, Allen B.; Coats, Steven J.; Luo, Chi; Fan, Kevin; Parker, Michael H.
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 125 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

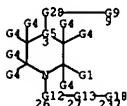
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002040466	A2	20020523	WO 2001-US51096	20011023
WO 2002040466	A3	20020829		
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183316	A1	20021205	US 2001-1725	20011022
CA 2427296	AA	20020523	CA 2001-2427296	20011023
AU 2002039761	A5	20020527	AU 2002-39761	20011023
EP 1334098	A2	20030813	EP 2001-987558	20011023
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300167	A	20030815	EE 2003-167	20011023
BR 2001014983	A	20030923	BR 2001-14983	20011023
JP 2004513944	T2	20040513	JP 2002-543477	20011023
NZ 525547	A	20041126	NZ 2001-525547	20011023
NO 2003001903	A	20030625	NO 2003-1903	20030428
BG 107789	A	20040227	BG 2003-107789	20030509
ZA 2003004064	A	20040826	ZA 2003-4064	20030526
US 2005004136	A1	20050106	US 2004-898130	20040723
PRIORITY APPLN. INFO.:				
G1				
US 2000-244117P 20001027				
US 2001-1725 20011022				
WO 2001-US51096 20011023				

L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



- AB Title compds. I [wherein X = CH, C-alkyl, or N; L1 = alkyl; Y1 = CO or CS; R1 and R2 = independently H or (un)substituted alkyl, (hetero)cycloalkyl(alkyl), or (hetero)aryl(alkyl); or NR1R2 = pyrrolidinyl, piperidinyl, piperazinyl, morpholinyl, or thiomorpholinyl; Y2 = CH2, CO, CS or SO2; R3 and R4 = independently (un)substituted (hetero)aryl, aralkyl, or (hetero)cycloalkyl; L2 = alkyl, alkenyl, alkynyl, CO, CS, SO2, or A(0-1)QB(0-1); A and B = independently alkyl, alkenyl, or alkynyl; Q = O, S, or (un)substituted NH; R10 = (un)substituted (ar)alkyl, (hetero)cycloalkyl, or (hetero)aryl(alkyl); m = 0-1; n = 0-1; p = 0-2; with proviso: and pharmaceutically acceptable salts thereof] were prepared. Thus, e.g., N-phenyl-1-[3-(2-pyridylethynyl)benzoyl]-4-piperidineacetamide was prepared. A statistical reduction of DOI-induced head shakes in mice by I was reported.

MSTR 1

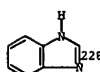


G8 = O
 G12 = CH2
 G13 = 185-26 187-237



G26 = 228

L7 ANSWER 24 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G35 = 36



MPL: claim 1
 NTE: substitution is restricted
 NTE: and pharmaceutically acceptable salts

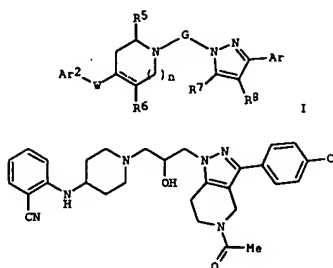
10/802,292

L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 136:247575 MARPAT
 TITLE: Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies
 INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gu, Yin; Gustin, Darin J.; Karlsson, Lars; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Sun, Siqian; Tays, Kevin L.; Thurmond, Robin L.; Wei, Jianmei
 PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 165 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002020011	A2	20020314	WO 2001-US27429	20010905
WO 2002020011	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003078419	A1	20030424	US 2001-927324	20010810
CA 2421493	AA	20020314	CA 2001-2421493	20010905
AU 2001088706	A5	20020322	AU 2001-68706	20010905
EP 1315490	A2	20030604	EP 2001-968461	20010905
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BR 2001014054	A	20030701	BR 2001-14054	20010905
JP 2004531456	T2	20041014	JP 2002-524495	20010905
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US 2001-927324 20010810				
US 2000-225178P 20000814				
WO 2001-US27429 20010905				

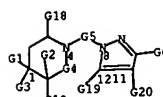
GI

L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [wherein Ar and Ar2 = independently (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; W = O, S, (un)substituted N or CH, CO, CONH, NHCO, or a bond; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, or (un)substituted carbocyclyl or heterocyclyl; or R7R8 form an (un)substituted carbocyclic or heterocyclic ring; R2 = H, OH, or is absent; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepared as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic condition. For example, N-acetyl-4-piperidone was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-ClC6H4COCl and cycladdn. of the product with H2NNH2 gave 1-[3-(4-chlorophenyl)-1,4,6,7-tetrahydropyrazolo[4,3-c]pyridin-5-yl]ethanone (42%). Alkylation with epichlorohydrin (50%), followed by addition of 1,4-dioxane-8-azaspiro[4.5]decane (81%), conversion to the piperidinone (65%), and reductive addition of 2-aminobenzonitrile (20%), afforded II. The latter inhibited recombinant human cathepsin S with IC50 of 0.73 µM.

MSTR 1



G17 = NH
 G18 = alkyl<(1-5)>

L7 ANSWER 25 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 G40 = 351



G45 = C(0)
 MPL: claim 1
 NTE: or pharmaceutically acceptable salts, amides, or esters
 STE: or stereoisomeric forms

L7 ANSWER 26 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 136:200182 MARPAT
 TITLE: Substituted and/or fused pyrazoles, particularly piperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
 INVENTOR(S): Butler, Christopher R.; Cai, Hui; Edwards, James P.; Grice, Cheryl A.; Gustin, Darin J.; Khatuya, Haripada; Meduna, Steven P.; Pio, Barbara A.; Sehon, Clark A.; Tays, Kevin L.; Wei, Jianmei
 PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 235 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002014315	A2	20020221	WO 2001-US25290	20010810
WO 2002014315	A3	20020613		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, PH, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419552	AA	20020221	CA 2001-2419552	20010810
AU 2001086454	A5	20020225	AU 2001-86454	20010810
US 2003078419	A1	20030424	US 2001-927324	20010810
EP 1308593	A2	20030514	EP 2001-965898	20010810
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001013286	A	20030909	BR 2001-13286	20010810
JP 2004511440	T2	20040415	JP 2002-519455	20010810
NZ 524191	A	20041126	NZ 2001-524191	20010810
ZA 2003002051	A	20040625	ZA 2003-2051	20030313
ZA 2003002056	A	20040702	ZA 2003-2056	20030313
PRIORITY APPLN. INFO.: US 2000-225178P 20000814				
US 2001-927324 20010810				
WO 2001-US25290 20010810				

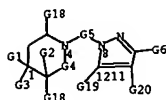
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufacturing them, compns. containing them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [R = H, OH, or absent; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)saturated (non)aromatic 5- to 7-membered carbo- or heterocyclic ring; Ar1 =

L7 ANSWER 26 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
(un)substituted mono- or bicyclic (hetero)aryl; Ar2 = (un)substituted (un)satd. (non)arom. mono- or bicyclic ring system with 0-5 heteroat. ring moieties selected from O, S, N, SO2, and CO; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = CH, halo, oxo, aminoalkyl, etc.); W = O, S, CO CONH, NHCO, (un)substituted NH or CH2; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed usages include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 350 individual compds. I were prepd. and/or claimed, with detailed preps. given for 31 compds. For instance, 6-chloro-1-(piperidin-4-yl)-3,4-dihydro-1H-quinolin-2-one (prepd. in 6 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.01 µM. Compd. III is one of two specifically preferred compds.

MSTR 1



G17 = NH
G18 = alkyl<(1-5)>
G40 = 351



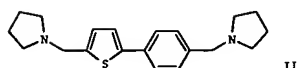
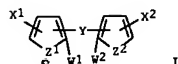
G45 = C(O)
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
STE: or stereoisomeric forms

L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 136:167374 MARPAT
TITLE: Preparation of (e.g.) pyrrolylalkylphenyl derivatives as histamine H3 antagonists
INVENTOR(S): Bogenstaetter, Michael; Chai, Wenying; Kwok, Annette K.
PATENT ASSIGNEE(S): Ortho McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002012224	A2	20020214	WO 2001-US24654	20010806
WO 2002012224	A3	20020718		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2419027	AA	20020214	CA 2001-2419027	20010806
AU 2001081119	A5	20020218	AU 2001-81119	20010806
US 2002037896	A1	20020328	US 2001-922622	20010806
US 6638967	B2	20031028		
EP 1311499	A2	20030521	EP 2001-959580	20010806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
ZA 2003001853	A	20040621	ZA 2003-1853	20030306
ZA 2003001854	A	20040621	ZA 2003-1854	20030306
PRIORITY APPLN. INFO.:			US 2000-223768P	20000808
			US 2001-922622	20010806
			WO 2001-US24654	20010806

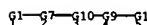
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L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [X1 = Ga, RaGa, LaGa, RaLaGa; X2 = Gb, RbGb, LbGb, RbLbGb; Ga-b = NR3aR4a or NR3bR4b, resp., or pyrrolidinyl, imidazolidinyl, pyrazolidinyl, piperidyl, isoindolinyl, morpholinyl, piperazinyl, imidazolyl, thiazolyl, 5,6-dihydro-3-imidazo[2,1-b]thiazolyl, thiazolyl, R3a, R4a, R3b, R4b = H, alkyl, cycloalkyl, cycloalkyl-alkyl; Gb can be further selected from NO2, halo, OH, CH3, pyrrolyl, or C(NH)H; Ra-b = O, S, NH, C=O; each of La-b = alkylane; Y = covalent bond where one of Z1-2 = N, O, S; Y can also be SO2, C=O, CH2, CH2CH2, OCH2, CH2O, NRC; Rc = H, alkyl, cycloalkyl, cycloalkyl-alkyl, heterocyclyl, heterocyclyl-alkyl, Ph, phenyl-alkyl, or di(alkylamino)-alkyl; Z1-2 = N, O, S, CH=CH to form a Ph ring]; were prepared For instance, 5-formylthiophen-2-ylboronic acid was coupled to 4-bromobenzaldehyde (dioxane, Pd2(dba)3, t-Bu3P, Cs2CO3, 80°C, 24 h) and the product used to reductively alkylate pyrrolidine (CH2Cl2, NaBH(OAc)3, HOAc, 16 h) to give II. II had Ki = 9.0 nM for the histamine H3 receptor. I are useful for treating histamine-mediated disorders, e.g., narcolepsy, sleep disorders, ADHD, etc.

MSTR 1A



G1 = 294

G9 = 210-1 213-3

G7 = 210-1 213-3



G8 = NH

L7 ANSWER 27 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G10 = C(O)
G18 = imidazolyl
MPL: claim 1
NTE: or pharmaceutically acceptable salts, amides, or esters
NTE: substitution is restricted

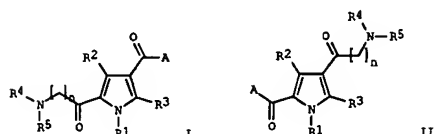
10/802,292

L7 ANSWER 28 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 136:102279 MARPAT
 TITLE: Preparation of aryl aminoacyl pyrroles as CNS agents
 INVENTOR(S): Carson, John R.; Pitis, Philip M.
 PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXK22
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002521	A2	20020110	WO 2001-US20747	20010629
WO 2002002521	A3	20020404		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 2002019436 A1 20020214 US 2001-896084 20010629
 US 6573267 B2 20030603 US 2000-215272P 20000630

PRIORITY APPLN. INFO.:
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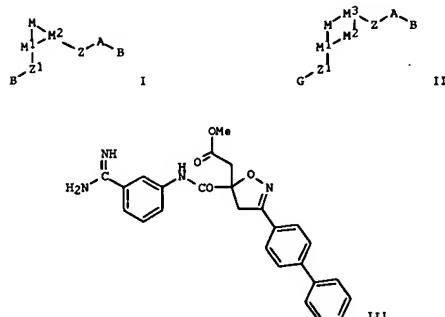


AB The title compds. [I and II; A = (un)substituted (hetero)aryl; n = 1-5; R1 = (un)substituted alkyl; R2, R3 = H, alkyl; R4, R5 = H, alkyl, aralkyl; or NR4R5 = heterocyclic ring such as piperidine] and their salts, useful as agents and modulators for the treatment of central nervous system disorders including, but not limited to, use of the compds. of the present invention as anticonvulsant agents and modulators, antiepileptic agents and modulators, neuroprotective agents and modulators, muscle relaxant agents and modulators and as agents and modulators for the treatment of neuropathic pain, were prepared. Thus, reacting 2-chloro-1-[4-(2-naphthalenyl)-1-methyl-1H-pyrrol-2-yl]ethanone (preparation given) with Et2NH
 in EtOH afforded 27% 1.fumarate [A = 2-naphthyl; n = 1; R1 = Me; R2, R3 = H; R4, R5 = Et] which showed ED50 of 10.98 mg/kg (i.p.) in mouse MES model and 80% MPE when tested in a neuropathic pain model in rats (antiallodynic

L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 136:95810 MARPAT
 TITLE: Preparation of arylamides and heterocyclamides as factor Xa inhibitors for treatment of thromboembolic disorders
 INVENTOR(S): Quan, Mimi L.; Lam, Patrick Y.; Li, Yunlong; Pinto, Donald J. P.
 PATENT ASSIGNEE(S): Dupont Pharmaceuticals Company, USA
 SOURCE: PCT Int. Appl., 192 pp.
 CODEN: PIXK22
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000651	A2	20020103	WO 2001-US20538	20010627
WO 2002000651	A3	20020613		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 PRIORITY APPLN. INFO.:
 US 2000-214758P 20000627
 US 2000-246124P 20001106
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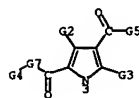


L7 ANSWER 28 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 activity).

MYR 1

G1—G22

G5 = imidazolyl
 G22 = 3



MPL: claim 1
 NTE: and pharmaceutically acceptable acid addition salts
 NTE: substitution is restricted

L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 AB Title compds. I and II [wherein ring M, including M1, M2, and, if present, M3 = 5-membered aromatic heterocycle substituted with 0-2 R1a; or ring M = isoxazoline, isothiazoline, pyrazoline, triazoline, or tetrazoline substituted with 0-2 R1a; R1a = H, (un)substituted alkyl, alkenyl, amino, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, amido, alkoxy-carbonylamino, aminocarbonyl, etc.; G = 5-6 membered (hetero)cycle optionally fused to Ph, pyridyl, pyrimidyl, pyrazinyl, or pyridazinyl substituted with 0-2 R; R = H, alkyl, halo, OH, alkoxy, CN, (un)substituted carboximidamido, (alkyl)amino, OCF3, etc.; Z = a bond, (un)substituted (CH2)1-4, (CH2)pO(CH2)q, (CH2)pCO(CH2)q, (CH2)pOCO(CH2)q, (CH2)pCO2(CH2)q, (CH2)pNH(CH2)q, etc.; p + q = 0-2; Z1 = (un)substituted (CH2)1-5, (CH2)0-2CH=CH(CH2)0-2, (CH2)0-2C.tplbond.C(CH2)0-2, (CH2)uCO(CH2)w, (CH2)uCO2(CH2)w, (CH2)uO(CH2)w, (CH2)uNH(CH2)w, etc.; u + w = 0-4; A = (un)substituted carbocycle or heterocycle; B = H, Y, or XY; X = (un)substituted (CH2)1-4, CO, C(NH), CH(NH2), CH(OH), CH(SH), COCH2, CH2CO, S, SO, SO2, NHC(O), CONH, O, etc.; Y = (un)substituted carbocycle or heterocycle] were prepared as inhibitors of trypsin-like serine protease enzymes, especially factor Xa. For example, 4-biphenylcarboxaldehyde oxime (preparation given) was treated with itaconic acid monomethyl ester and bleach
 in THF to give 3-([1,1'-biphen-4-yl]-5-(carbomethoxymethyl)isoxazolin-5-yl)carboxylic acid (84t). Amidation with 3-cyanoaniline (28t), followed by conversion to the amidine and elution with TFA, afforded III+TFA. Some of the invention compds. inhibited factor Xa with Ki values of < 10 µM. Thus, I and II are useful as anticoagulant agents for treatment and prevention of thromboembolic disorders.

MYR 1A

G1—G2—G14—G16—G29

G1 = imidazolyl (50)
 G2 = 6



G3 = AkcEC (1-) C, BD (ALL) SE>
 (SO CbEC (6) C, AR (1-), BD (ALL) N, RC (1), RS (1) E6>
 G14 = 295-2 297-4



G18 = 69-3 71-59

G22—G23

G37 = CH
 MPL: claim 1

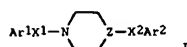
L7 ANSWER 29 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 NTE: or pharmaceutically acceptable salts
 NTE: substitution is restricted
 NTE: or stereoisomers

L7 ANSWER 30 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 135:227015 MARPAT
 TITLE: Preparation of piperidine and piperazine derivatives as inhibitors of p38- α kinase
 INVENTOR(S): Goehring, Richard R.; Havunkel, Babu J.; Liu, David Y.; Schreiner, George F.; Luedtke, Gregory; Lewicki, John A.
 PATENT ASSIGNEE(S): Scios, Inc., USA
 SOURCE: PCT Int. Appl., 74 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001064676	A2	20010907	WO 2001-US6715	20010228
WO 2001064676	A3	20020328		

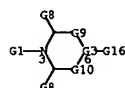
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-185571P 20000228
 GI



AB The title comps. I [Ar1 = furanyl optionally substituted; X1 = CO; Z = N, CH; X2 = CH₂, isostere; Ar2 = substituted Ph], inhibitors of p38- α kinase, were prepared. E.g., 1-benzoyl-4-benzylpiperidine was prepared by reaction of 4-benzylpiperidine and PhCOCl.

MSR 2



G3 = 11

L7 ANSWER 30 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G4 = Ak<(1-8)> (SO)
 G10 = (0-3) 18



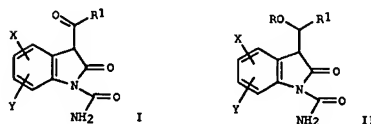
G13 = benzimidazolyl
 G18 = C(=O)
 MPL: disclosure
 NTE: substitution is restricted
 NTE: and pharmaceutically acceptable salts or compositions

L7 ANSWER 31 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 134:320886 MARPAT
 TITLE: Methods using indoline compounds for treating hair loss
 INVENTOR(S): Lammers, Karen Marie
 PATENT ASSIGNEE(S): The University of Texas Southwestern Medical Center, USA
 SOURCE: PCT Int. Appl., 53 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001030151	A1	20010503	WO 2000-US41383	20001020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, GW, ML, MR, NE, SN, TD, TG
 CA 2386889 A1 20020724 CA 2000-2386889 20001020
 EP 1223809 A1 20020724 EP 2000-984592 20001020
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL
 JP 2003512396 T2 20030402 JP 2001-532591 20001020
 PRIORITY APPLN. INFO.: US 1999-161577P 19991026
 WO 2000-US41383 20001020

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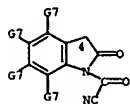


AB Methods and comps. are provided for treating hair loss in mammals, including arresting hair loss, reversing hair loss and/or promoting hair growth. The methods comprise administering a composition wherein the composition comprises an indoline compound I [X = H, F, Cl, Br, nitro, cyano, thio, C1-6 alkyl, etc.; Y = H, F, Cl, Br, C1-4 alkyl, etc.; R1 = C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, etc.] or II [X = H, F, Cl, Br, nitro, cyano, thio, C1-6 alkyl, etc.; Y = H, F, Cl, Br, C1-4 alkyl, etc.; R = C2-10 alkenyl, C7-10 phenylalkenyl, C2-10 alkoxyalkenyl, etc.; R1 = C1-6 alkyl, C3-7 cycloalkyl, (substituted) Ph, etc.], or a pharmaceutically acceptable salt, hydrate, tautomer, or biodegradable amide, or ester thereof.

10/802,292

L7 ANSWER 31 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MSTR 1

G1 = 4



G19 = 451-225 450-227



MPL: claim 1
 NTE: or pharmaceutically acceptable salts, hydrates, tautomers, or biodegradable amides or esters

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 32 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:266035 MARPAT
 TITLE: Use of substituted 4-biarylbutyric and 5-biarylpentanoic acid derivatives for the treatment of multiple sclerosis
 INVENTOR(S): Fahrig, Thomas; Haning, Helmut; Riedl, Bernd; Braeunlich, Gabriele; Henning, Rolf
 PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 116 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001022951	A2	20010405	WO 2000-EP8890	20000912
WO 2001022951	A3	20011011		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG				
CA 2385490	AA	20010405	CA 2000-2385490	20000912
EP 1217994	A2	20020703	EP 2000-965974	20000912
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003510272	T2	20030318	JP 2001-526163	20000912
PRIORITY APPL. INFO.: GB 1999-22710 19990924				
WO 2000-EP8890 20000912				
AB The title compds. (T)xA-B-D-E-CO ₂ H [1, A = aryl, heteroaryl; B = aryl, heteroaryl, bond; each T is a substituent group; x = 0, 1, or 2; D = CO, CH(OH); E = two or three carbon chain bearing one to three substituent groups which are independent or are involved in ring formation], useful for the treatment of multiple sclerosis, were prepared E.g., (rac)-2-[2-(1,3-dioxo-1,3-dihydro-2H-isindol-2-yl)ethyl]-4-(4'-ethoxy[1,1'-biphenyl]-4-yl)-4-oxobutanoic acid was prepared. Inhibitory activities of 1 against matrix metalloproteases was determined				

MSTR 1

G1—G6

G1 = 21

L7 ANSWER 32 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = 9



G3 = alkyl<(1-3)>
 G28 = (0-3) CH₂ (SO)
 G31 = C(O)
 G32 = 509-433 508-435



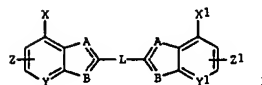
MPL: claim 1
 NTE: substitution is restricted
 NTE: and pharmaceutically acceptable salts and prodrugs

L7 ANSWER 33 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 134:42128 MARPAT
 TITLE: Preparation of bisbenzimidazoles and related compounds as inhibitors of cell death.
 INVENTOR(S): Bitler, Catherine M.; Wood, Paul L.; Anstine, Duran T.; Meyer-franke, Anke; Zhao, Qi; Khan, Mohamed A.
 PATENT ASSIGNEE(S): Elan Pharma International Ltd., Ire.
 SOURCE: PCT Int. Appl., 54 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000075117	A1	20001214	WO 2000-US15181	20000602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, NG, SN, TD, TG				
US 6379882	B1	20020430	US 1999-393137	19990910
CA 2375843	AA	20001214	CA 2000-2375843	20000602
EP 1189889	A1	20020327	EP 2000-938060	20000602
EP 1189889	B1	20031217		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2003501418	T2	20030114	JP 2001-501598	20000602
AT 256666	E	20040115	AT 2000-938060	20000602
US 2002102597	A1	20020801	US 2002-74964	20020212
PRIORITY APPL. INFO.: US 1998-100241P 19980514				
US 1999-137618P 19990604				
US 1999-138855P 19990611				
US 1999-168256P 19991130				
US 1999-393137 19990910				
WO 2000-US15181 20000602				

GI



AB A pharmaceutical compns. for inhibiting cell death comprising title compds., e.g., [1, X, X1, Z, Z1 = H, alkyl, alkoxy, cyano, CO₂H (and esters), SO₂H (and esters), amino, alkylamino, NO₂, halo; L = NR₁, CO, CR₂R₃, bond; R₁, R₂ = H, alkyl, aryl, aralkyl; R₃ = H, alkyl, amino, NO₂, alkylsulfonate; ACB = atoms to form an imidazole, pyrazole, oxazole, or thiazole ring; Y, Y1 = C, N], is claimed. Thus, 2-

10/802,292

L7 ANSWER 33 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
benzimidazolylacetonitrile in PhMe was treated with EtOH and then with HCl at 0° followed by addn. of Et2O to ppt. a solid which was taken up in EtOH and treated with 3-nitro-1,2-phenylenediamine in EtOH followed by reflux to give 69% 2-(1H-benzimidazol-2-ylmethyl)-4-nitro-1H-benzimidazole dihydrochloride. This was reduced to give bis(4-aminobenzimidazol-2-yl)methane (SNK912). I protected oxygen/glucose deprived retinal ganglion cells from cell death with EC50 = 0.19-9300 nM.

MSTR 1

G1—G13

MPL: claim 1
NTE: or pharmaceutically acceptable salts
NTE: substitution is restricted

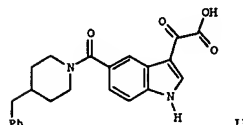
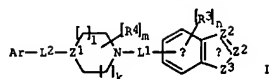
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 134:17503 MARPAT
TITLE: Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase
INVENTOR(S): Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundee; Lu, Qing; Liang, Xi
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000071535	A1	20001130	WO 2000-US14003	20000519
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6589954	B1	20030708	US 1999-316761	19990521
CA 2372567	AA	20001130	CA 2000-2372567	20000519
EP 1178983	A1	20020213	EP 2000-939322	20000519
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
BR 2000011274	A	20020226	BR 2000-11274	20000519
NZ 515285	A	20040130	NZ 2000-515285	20000519
AU 772295	B2	20040422	AU 2000-54424	20000519
BG 106091	A	20020628	BG 2001-106091	20011108
HR 2001000854	A1	20030430	HR 2001-854	20011119
NO 2001005655	A	20020118	NO 2001-5655	20011120
PRIORITY AFFIL. INFO.:			US 1999-316761	19990521
			US 1999-154594P	19990917
			US 2000-202608P	20000509
			US 1998-86531P	19980522
			US 1998-128137	19980803
			US 1999-275176	19990324
			WO 2000-US14003	20000519

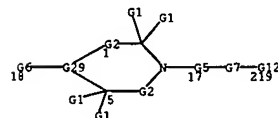
G1

L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

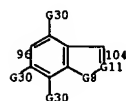


AB The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent); A = W(COX)Y; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6A; i, j = 0-1; Z3 = NR7; O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the a ring is 4.5-24Å] which inhibit p38-α kinase (biol. data given), were prepared. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

MSTR 1



G7 = 96-17 104-219



L7 ANSWER 34 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G8 = 31



G9 = 210



G11 = 143



G12 = 369



G15 = imidazolyl
MPL: claim 1
NTE: and pharmaceutically acceptable salts or compositions
NTE: substitution is restricted
NTE: additional ring, oxo, oxime and ketal formation also claimed

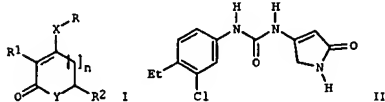
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/802,292

L7 ANSWER 35 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:222576 MARPAT
TITLE: Preparation of furanones, thiophenones and pyranones having antitumor activity
INVENTOR(S): Menta, Ernesto; Conti, Marco; Pescalli, Nicoletta
PATENT ASSIGNEE(S): Novuspharma S.p.A., Italy
SOURCE: PCT Int. Appl., 55 pp.
CODEN: FIKXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053581	A2	20000914	WO 2000-EP1721	20000301
WO 2000053581	A3	20001221		
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
IT 1309593	B1	20020124	IT 1999-M1456	19990305
IT 99410456	A1	20000905		
AU 2000041033	A5	20000928	AU 2000-41033	20000301
EP 1173420	A2	20020123	EP 2000-920458	20000301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539114	T2	20021119	JP 2000-604021	20000301
PRIORITY APPLN. INFO.: IT 1999-M1456 19990305 WO 2000-EP1721 20000301				

GI



AB The title compds. [I: Y = O, S, NH; n = 0-1; X = NHCONH, NHCO, NHSO₂, etc.; R₁ = H, alkyl, (CH₂)_pA (wherein p = 0-4; A = OH, NRaRb, CO₂Rc, etc.; Ra, Rb = H, alkyl; NRaRb = a 4-7 membered heterocyclyl; Rc = H, alkyl, alkali or alkaline-earth metal); R = alkyl, cycloalkyl, arylalkyl, etc.; R₂

= H, alkyl], useful as medicaments, in particular as antitumor agents (no data), were prepared. Thus, reacting 3-chloro-4-ethylphenylurea with tetramic acid in EtOH/PhMe afforded II. Compds. I are effective at 0.01-0.4 g/kg/day.

L7 ANSWER 36 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 133:193178 MARPAT
TITLE: Preparation and use of substituted biaryloxo(oxobenzotriazinyl)alkanoates and related compounds for treatment and prevention of cerebral diseases.
INVENTOR(S): Hinz, Volker; Haning, Helmut; Riedl, Bernd; Henning, Rolf; Stolle, Andreas; Keldenich, Jorg; Bruck, Antje; Schumacher, Joachim
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 60 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1031349	A1	20000830	EP 1999-103723	19990225
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2333955	AA	20000831	CA 2000-2333955	20000214
WO 2000050017	A2	20000831	WO 2000-EP1204	20000214
WO 2000050017	A3	20010201		
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1087761	A2	20010404	EP 2000-920435	20000214
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRIORITY APPLN. INFO.: EP 1999-103723 19990225 WO 2000-EP1204 20000214				

AB Use of TxABDECO2H [B = bond, (substituted) aryl, heteroaryl; T = F, Cl, Br, Iodo, alkyl, haloalkyl, haloalkoxy, alkenyl, alkynyl, etc.; A = thienyl, furyl, pyrrolyl, thiazolyl, pyridazinyl, pyrimidinyl, Ph, etc.; x = 0, 1, 2; D = CO, CH(OH); E = chain of 2-3 C atoms bearing substituents R₆; R₆ = F, OH, alkyl, aryl, heteroarylalkyl, alkenyl, etc.; pairs of R₆ may form spiro or nonspiro rings; with provisos] for manufacturing of drugs for the treatment and prevention of cerebral disease is claimed. Thus, 4-(4'-chlorobiphenyl-4-yl)-4-oxo-2-[2-(4-oxo-4H-benzo[d][1,2,3]triazin-3-yl)ethyl]butyricacid inhibited matrix metalloproteinase-1 and -2 with Ki = 2400 nM and 1.2 nM, resp.

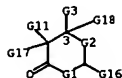
MSTR 1A

G1-G23-G26-G27-GO2H

G23 = 107-1 109-3

L7 ANSWER 35 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

MSTR 1



G1 = NH
G5 = imidazolyl
G10 = C(O)
MPL: claim 1
NTE: and pharmaceutically acceptable acid or base salts
NTE: substitution is restricted
STE: and stereoisomers or mixtures

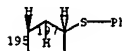
L7 ANSWER 36 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G24 = 99

G25 = G25

G26 = C(O)
G27 = 195-3 197-5



DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: additional substitution also claimed
NTE: substitution is restricted

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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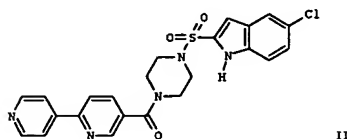
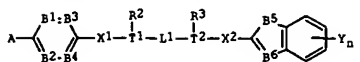
L7 ANSWER 37 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 133177190 MARPAT
 TITLE: Preparation of heterocyclic derivatives as inhibitors
 of factor Xa
 INVENTOR(S): Caulkett, Peter William Rodney
 PATENT ASSIGNEE(S): AstraZeneca UK Limited, UK
 SOURCE: PCT Int. Appl., 28 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000047573	A1	20000817	WO 2000-GB354	20000208
V: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GC, GE, GH, GM, HR, HU, IL, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LD, LG, LR, LS, LT, LV, MA, MD, MG, MK, HN, HK, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TZ, UG, UZ, VN, YU, ZA, ZW, AM,				
A2, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, GE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BF, BF, CF, CG, CI, CN, GA, GN, GW, ML, NR, NE, SN, TD, TG				
CA 2362392	AA	20000817	CA 2000-2362392	20000208
EP 1150974	A1	20011107	EP 2000-902725	20000208
EP 1150974	B1	20020504		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, IL, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200102306	T2	20011221	TR 2001-200102306	20000208
BR 2000008130	A	20020205	BR 2000-8130	20000208
AT 223402	A	20020515	AT 2000-902725	20000208
JP 2000536443	T2	20021102	JP 2000-598433	20000208
PT 1150974	T	20030131	PT 2000-902725	20000208
RS 2181637	T3	20030301	ES 2000-902725	20000208
AU 577538	B2	20030306	AU 2000-24470	20000208
RU 226193	C2	20040327	RU 2001-124855	20000208
ZA 2001006337	A	20021101	ZA 2001-6337	20010801
NO 2001003921	A	20011010	NO 2001-3921	20010810
US 6723273	B1	20040420	US 2001-11571	2001-1115
			GB 1999-2899	19990211
			WO 2000-GB354	20000208

PRIORITY APPLN. INFO.:

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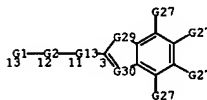
L7 ANSWER 37 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB The title compds. [I; A - (un)substituted 5-6 membered monocyclic aromatic ring containing 1-3 ring heteroatoms selected from O, N, and S atoms; B1-B4

CH, N) with the proviso that at least one of B1-B4 = N; T1 = CH, N; T2 = CH, N; with the proviso that at least one of T1 and T2 = N; X1 = SO, SO₂, C(R4)2, CO when T1 = CH, N; or in addition X1 = O, S when T1 = CH, N; L1 = alkylene, alkyleneecarbonyl; R2-R4 = H, alkyl; R2 and R3 are joined to form an alkylene or carbonyl; SO₂, C(R5)2, C(R5)2, Y H, alkyl; Y H, halo, alkyl, aryl; B5 = B6 = N, CH with the proviso that at least one of B5 and B6 = N) and their pharmacologically acceptable salts which possess antithrombotic and anticoagulant properties and are accordingly useful in methods of treatment of humans or animals, were prepared. Thus, reacting 6-(4-pyridyl)nicotinic acid (preparation given) with 1-(5-chloroindol-2-yl)acetylene (preparation given) in the presence of EDAC in DMF afforded II. Compds. I are effective at 0.5-10 mg/kg/day.

MSTR 1



G14 - 95

L7 ANSWER 37 OF 95 HARPAT COPYRIGHT 2005 ACS on STN (Continued)



G19 - C(0)
G24 - 157-121 159-123



G29 - NH
G30 - N
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

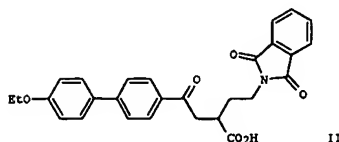
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 38 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 133:89429 MARPAT
 TITLE: Preparation of 4-aryl-4-oxo-2-(2-phthalimidoethyl)butanoates and analogs as matrix metalloprotease inhibitors
 INVENTOR(S): Fitzgerald, Mary F.; Gardiner, Philip J.; Nash, Kevin; Sturton, Graham; Benz, Gunter; Henning, Rolf; Schlemmer, Karl-Heinz; Riedl, Bernd; Haning, Helmut
 PATENT ASSIGNER(S): Bayer Aktiengesellschaft, Germany
 SOURCE: PCT Int. Appl., 146 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040539	A1	20000713	WO 1999-EP10110	19991220
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RU, SD, SE, SG, SI, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CH, GA, GN, GW, ML, NR, NE, SN, TD, TG			
CA 2356053	AA	20000713	CA 1999-2356053	19991220
EP 1101768	A	20011016	EP 1999-63635	19991220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9916669	A	20011016	BR 1999-16669	19991220
TR 200101970	T2	20020321	TR 2001-200101970	19991220
JP 2002534404	T2	20021015	JP 2000-592250	19991220
ZA 2001004651	A	200202607	ZA 2001-4651	20010607
			EP 1999-298445	19991220
			GB 1999-22709	19990924
			WO 1999-EP10110	19991220

PRIORITY APPLN. INFO.:

GI

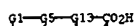


AB R2Z122CO2H [1; R = (un)substituted Ph or -heteroaryl; 2 = bond, (un)substituted 1,4-phenylene, -heteroarylene; Z1 = CO, CH(OH), C(OH); Bu etc.; Z2 = substituted (CH2)2-3] were prepared Thus, di-tert-Bu 2-(2-phthalimidoethyl)malonate was condensed with 4-(EtO)C6H4C6H4(COCH2Br)-

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L7 ANSWER 38 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
4 (prepn. each given) and the sapond. product mono-decarboxylated to give title compd. 11. Data for biol. activity of 1 were given.

MSTR 1



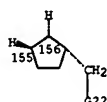
G6 = O
G10 = 16



G11 = 43-1 41-19



G12 = NH (SO)
G13 = 155-2 156-4



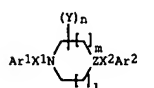
DER: and pharmaceutically acceptable salts and prodrugs
MPL: claim 1
NTE: also incorporates broader disclosure
NTE: additional ring formation also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 39 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:203174 MARPAT
TITLE: Inhibitors of p38- α kinase, preparation thereof, and therapeutic use
INVENTOR(S): Goshring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012074	A2	20000309	WO 1999-US19845	19990827
WO 2000012074	A3	20000831		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, EF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342251	AA	20000309	CA 1999-2342251	19990827
AU 9557936	A1	20000321	AU 1999-57936	19990827
AU 772477	B2	20040429		
EP 1107758	A2	20010620	EP 1999-945316	19990827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9913654	A	20011127	BR 1999-13654	19990827
JP 2002523448	T2	20020730	JP 2000-567192	19990827
PRIORITY APPLN. INFO.:				
			US 1998-98219P	19980828
			US 1999-125343P	19990319
			WO 1999-US19845	19990827

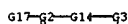
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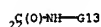
AB Methods are provided for treating conditions mediated by p38- α kinase using compds. 1 (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl,

L7 ANSWER 39 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical compn. thereof. Prepn. of compds. is described. Compds. of the invention may be used to treat p38- α kinase-mediated conditions.

MSTR 1



G2 = C(O)
G4 = 22



G5 = (0-4) 15



G14 = 68-9 70-7



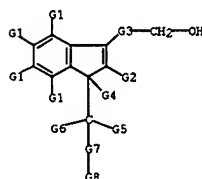
G17 = benzimidazolyl
DER: and pharmaceutically acceptable salts or compositions
MPL: claim 1
NTE: substitution is restricted
NTE: additional substitution also claimed

L7 ANSWER 40 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 132:161244 MARPAT
TITLE: Substituted condensation products of 1H-indenyl-hydroxyalkanes with aldehydes for treatment of neoplasia
INVENTOR(S): Sperl, Gerhard; Gross, Paul; Brendel, Klaus; Piazza, Gary; Pamukcu, Rifat
PATENT ASSIGNEE(S): Cell Pathways, Inc., USA
SOURCE: U.S., 23 pp.
CODEN: USXGAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6028116	A	20000222	US 1998-54814	19980403
PRIORITY APPLN. INFO.:				
			US 1998-54814	19980403

AB Substituted condensation products of 1H-indenylhydroxyalkanes with aldehydes are useful for inducing or promoting apoptosis and for arresting uncontrolled neoplastic cell proliferation, and are specifically useful in the arresting and treatment of neoplasia, including precancerous and cancerous lesions. Preparation of compds. of the invention is described.

MSTR 1



G8 = imidazolyl (SO)
G5 + G6 = O
DER: and pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 41 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 132:12201 MARPAT
 TITLE: Preparation of biarylalkylhydromanic acids and related compounds as matrix metalloprotease inhibitors.
 INVENTOR(S): Kluender, Harold C. E.; Brittelli, David R.; Schoen, William R.; Ha, Sookhee N.
 PATENT ASSIGNEE(S): Bayer Corporation, USA
 SOURCE: PCT Int. Appl., 94 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9961413	A1	19991202	WO 1999-US11481	19990525
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TR, TT, UA, UG, UZ, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6288063	B1	20010911	US 1998-85909	19980527
AU 9942017	A1	19991213	AU 1999-42017	19990525
EP 1082295	A1	20010314	EP 1999-925802	19990525
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

PRIORITY APPLN. INFO.: US 1998-85909 19980527
 WO 1999-US11481 19990525

AB TWABDEG [A = Ph, thienyl, furyl, pyrrolyl, oxazolyl, imidazolyl, pyridinyl, pyrimidinyl, pyrazinyl, pyridazinyl, etc.; B = bond, thienylene, furylene, phenylene, furylene, imidazolylene, pyridinylene, pyrazinylene, pyridazinylene, etc.; T = halo, alkyl, haloalkyl, haloalkoxy, alkenyl, alkynyl, etc.; x = 0, 1, 2; D = CO, CH(OH), C-NH(R2)2, C-NOR2; R2 = H, alkyl, aryl, heteroaryl, aralkyl, heteroalkyl; E = 2-3 C atom chain bearing 1-3 substituents; G = COCH2OH, COMOH, CONHSO2R3; R3 = alkyl, aryl, heteroaryl, aralkyl, heteroarylalkyl], were prepared as matrix metalloproteinase inhibitors (no data). Thus, 4-(biphen-4-yl)-4-oxobutanoic acid in EtOAc/CH2Cl2 was treated with CH2N2 in Et2O to give 100% Me ester, which was added to a solution of NH2OH.HCl and KOH in MeOH/H2O to give 4-PhG4C(=NOH)CH2CH2CONOH.

MSTR 1

G1-227

G1 = 230

L7 ANSWER 42 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 131:346538 MARPAT
 TITLE: Thiazolidine and oxazolidine derivatives for the treatment of acute myocardial infarction and inhibition of cardiomyocyte apoptosis
 INVENTOR(S): Wang, Ping M.
 PATENT ASSIGNEE(S): Regents of the University of California, USA
 SOURCE: PCT Int. Appl., 49 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

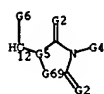
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959586	A1	19991125	WO 1999-US11101	19990519
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9940052	A1	19991206	AU 1999-40052	19990519
PRIORITY APPLN. INFO.: US 1998-86030P 19980519 US 1998-87204P 19980528 WO 1999-US11101 19990519				

AB It has been demonstrated that antidiabetic thiazolidine and oxazolidine derivs. (glitazones) exhibit novel effects on apoptosis of cardiomyocytes. These substances are capable of greatly decreasing apoptosis by a pathway that is not Caspase 3 dependent. Addition of IGF1 to the treatment further prevents apoptosis. Glitazones alone or glitazones plus IGF1 should be administered at the beginning of a myocardial infarction and continued through the recuperation period to reduce morbidity and prevent unfavorable remodeling of the myocardium. Thus, troglitazone (5 µM), when added to a culture medium, reduced doxorubicin-induced apoptosis of cardiomyocyte by approx. 60%.

MSTR 1

G0-11

G1 = 12

G2 = 0
G19 = 160

L7 ANSWER 41 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = 21

G3 = N

G5 = N
G7 = 228

G8 = 0

G17 = 152-147 151-145 152-148

G18 = CH
G19 = 151 152G18 = (1-2) CH2
G19 = alkyl(1-9)
G36 = 127G18 = CH
G19 = 127

DER: and pharmaceutically acceptable salts and prodrugs
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 NTE: also incorporates broader disclosure
 NTE: further substitution and derivatization also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 42 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G20 = 73-46 69-11



G21 = 78

G3 = N
G6 = 78

G22 = 80

G8 = G2

G31 = 155

G3 = N
G32 = 155

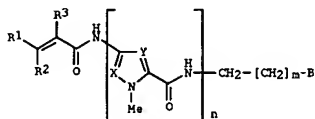
DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 43 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 131:257879 MARPAT
 TITLE: Preparation of distamycin acryloyl derivatives as
 antitumor agents
 INVENTOR(S): Corzi, Paolo; Baraldi, Pier Giovanni; Beria, Italo;
 Caldarelli, Marina; Capolongo, Laura; Romagnoli, Romeo
 PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
 SOURCE: PCT Int. Appl., 64 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9950265	A1	19991007	WO 1999-EP1822	19990317
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RD, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, BG, KZ, MD, RU, TJ, TH				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9934154	A1	19991018	AU 1999-34154	19990317
EP 1064280	A1	20010103	EP 1999-915664	19990317
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 200250929	T2	20020402	JP 2000-541169	19990317
US 6753316	B1	20040622	US 2000-623506	20000919
PRIORITY APPL. INFO.:			GB 1998-6689	19980327
			WO 1999-EP1822	19990317

GI



AB Distamycin acryloyl derivs. I [n = 2-4; m = 1 or 2; X, Y = N or CH, selected independently for each heterocyclic ring; R1, R2 = H, halo, alkyl; R3 = H, halo; B = C(NH2):NCN, C(NR4R5):NR6, C(NH2):NNH2, CONR7R8, NHC(NH2):NR9, NR10R11, C(NH2):NOR12, CN; R4-8 and R10-12 are H or alkyl and R9 is H or OH] or their pharmaceutically acceptable salts were prepared as antitumor agents. Thus, treatment of cyanamide with NaH in DMF, followed by addition of distamycin A and hydrolysis of the formyl group with aqueous HCl afforded an amino derivative, which was treated with 1-methyl-3-(α-bromocarbonyl)pyrrolidine-5-carboxyl chloride (preparation given) to give I [n = 4, m = 1, X = Y = CH, R1 = R2 = H, R3 = Br, B =

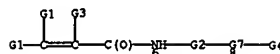
L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 131:130007 MARPAT
 TITLE: Substituted piperazine derivatives and other oxazaheterocycli compounds useful as factor Xa inhibitors
 INVENTOR(S): Ewing, William R.; Becker, Michael R.; Choi-Slideski, Yong Mir; Paula, Heinz W.; He, Wei; Condon, Stephen M.; Davis, Roderick S.; Hanney, Barbara A.; Spada, Alfred P.; Burns, Christopher J.; Jiang, John Z.; Li, Aileen; Myers, Michael R.; Lau, Wan F.; Poli, Gregory B.
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 300 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9937304	A1	19990729	WO 1999-US1682	19990127
W: AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RD, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9900607	A	19990727	ZA 1999-607	19990127
CA 2319198	AA	19990729	CA 1999-2319198	19990127
AU 9926533	A1	19990809	AU 1999-26533	19990127
AU 745425	B2	20020321	BR 1999-7300	19990127
BR 9907300	A	20001024	EP 1999-906684	19990127
EP 1051176	A1	20001115		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200002182	T2	20001221	TR 2000-200002182	19990127
JP 2002501024	T2	20020115	JP 2000-528286	19990127
EE 200000435	A	20020215	EE 2000-435	19990127
WO 2000032590	A1	20000608	WO 1999-US28074	19991124
W: AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RD, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, BG, BR, BY, CA, CN, CU, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2003529531	T2	20031007	JP 2000-585232	19991124
NO 2000003808	A	20000926	NO 2000-3808	20000725
BG 104633	A	20010330	BG 2000-104633	20000725
US 2004102450	A1	20040527	US 2003-628093	20030725
PRIORITY APPL. INFO.:			US 1998-72707P	19980127
			US 1998-110012P	19981125
			WO 1999-US1682	19990127
			US 1999-313611	19990518
			US 1999-363196	19990728
			WO 1999-US28074	19991124

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L7 ANSWER 43 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 C(NH2):NCN].

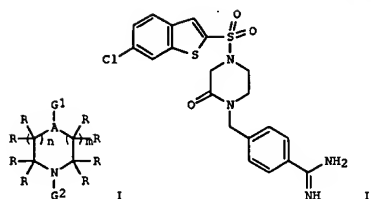
MSTR 1



DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB The invention is directed to oxazaheterocycli compds. I and their pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates, and solvates [wherein A = CH, N; G1, G2 = (independently) -L-Cy; L = various atomic and mol. linkers, including O, (un)substituted NH or S, alk(en/yn)ylene, etc., or their combinations; Cy = (un)substituted (hetero)aryl, cycloalk(en)yl, heterocyclyl, etc.; R = (independently) H, CO2H, alkoxycarbonyl, (un)substituted carbamoyl, alkyl, (hetero)aryl, (hetero)aralkyl; or two geminal R groups = O or S; m, n = 0-2; with provisos]. The compds. inhibit factor Xa (no data), and thereby the production of thrombin, and are thus useful as anticoagulants in the treatment of a wide variety of conditions. The invention is also directed to pharmaceutical compds., synthetic intermediates, and a method of inhibiting factor Xa. Examples include the synthesis of approx. 780 compds. I, which are also claimed, and several hundred intermediates. For instance, sulfonamidation of 6-chlorobenzothiazophene-2-sulfonyl chloride with 4-(2-oxopiperazin-1-ylmethyl)benzamide bistrifluoroacetate (preps. given) in CH2Cl2 in the presence of Et3N gave title compound II.

MSTR 1A



G2 = 9-1 6-3



G4 = (1-2) CH2 (SO)
 G6 = benzimidazolyl
 G7 = 357

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L7 ANSWER 44 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)

357 G10

G8 = CH
G10 = 0
G12 = 266

266 G10

DER: or pharmaceutically acceptable salts, prodrugs, N-oxides, hydrates or solvates
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions of alkylene, alkenylene and alkynylene in G7 and G14 also claimed
NTE: additional oxo and thioxo substitution on rings in G2 also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

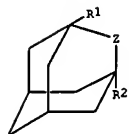
L7 ANSWER 45 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 131:58652 MARPAT
TITLE: Preparation of N-adamantylmethylbenzamidines and analogs as purinergic P2Z receptor antagonists
INVENTOR(S): Baxter, Andrew; McInally, Thomas; Mortimore, Michael; Cladingboel, David
PATENT ASSIGNEE(S): Astra Pharmaceuticals Ltd., UK; Astra Aktiebolag
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9929661	A1	19990617	WO 1998-SE2188	19981201
W: AL, AM, AT, AU, AZ, BA, BE, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GR, GU, HD, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2312420	AA	19990617	CA 1998-2312420	19981201
AU 9917913	A1	19990628	AU 1999-17913	19981201
AU 744280	B2	20020221		
EP 1036059	A1	20000920	EP 1998-962751	19981201
EP 1036059	B1	20020918		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9813390	A	20001003	BR 1998-13390	19981201
TR 200001605	T2	20001023	TR 2000-200001605	19981201
JP 2001525392	T2	20011211	JP 2000-524258	19981201
EE 200000378	A	20011217	EE 2000-200000378	19981201
AT 224360	E	20021015	AT 1998-962751	19981201
PT 1036059	T	20030228	PT 1998-962751	19981201
ES 2184352	T3	20030401	ES 1998-962751	19981201
RU 2214997	C2	20031027	RU 2000-117574	19981201
US 6201024	B1	20010313	US 1999-230478	19990126
NO 2000002786	A	20000731	NO 2000-2786	20000531
US 2001003121	A1	20010607	US 2000-745740	20001226
US 6303659	B2	20011016		
US 6258838	B1	20010710	US 2000-745346	20001226
PRIORITY APPLN. INFO.:			SE 1997-4544	19971205
			WO 1998-2188	19981201
			WO 1998-SE2188	19981201
			US 1999-230478	19990126

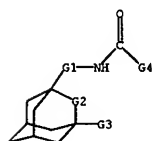
GI

L7 ANSWER 45 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)



AB Title compds. [I; R1 = (CH2)xNHCOR; R = (un)substituted Ph, -pyridyl, -indolyl, etc.; R2 = H or halo; Z = O or CH2; X = 1 or 2] were prepared. Thus, 1-adamantanemethylamine was amidated by 2,4-Cl2C6H3COCl to give I (R1 = CH2NHCOC6H3Cl2-2,4, R2 = H, Z = CH2). Data for biol. activity of I were given.

MSTR 1



DER: or pharmaceutically acceptable salts or solvates
MPL: claim 1
NTE: substitution is restricted

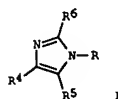
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 46 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN

ACCESSION NUMBER: 131:19000 MARPAT
TITLE: Preparation of phenylloxazolidinones as bactericides
INVENTOR(S): Betts, Michael John; Swain, Michael Lingard
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

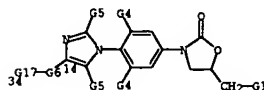
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9928317	A1	19990610	WO 1998-GB3496	19981124
W: JP, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1034175	A1	20000913	EP 1998-955759	19981124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001525320	T2	20011211	JP 2000-523209	19981124
US 6495551	B1	20021217	US 2000-555203	20000525
PRIORITY APPLN. INFO.:			GB 1997-25244	19971129
			WO 1998-GB3496	19981124

GI



AB Title compds. [I; R = Z1CH2R1; R1 = Cl, F, OH, alkoxy, NHCORa, etc.; Ra = H, CH2Cl, alkyl, alkoxy, etc.; R4 = YR2 or CH(OH)YR2; R2 = (un)substituted heterocyclyl or -heteroaryl; R5, R6 = H, halo, CF3, alkyl; Y = (CH2)m, CO(CH2)m, CONH(CH2)m, etc.; Z = 2-oxoazolidinone-3,5-diyl throughout; Z1 = (2-fluoro) 1,4-phenylene, 2,6-difluoro-1,4-phenylene; a = 0-3] were prepared. Thus, I (R = Z1R3, R4 = CH2R7, R5 = R6 = H, Z1 = 2-fluoro-1,4-phenylene) (II); R3 = NHCOC2H5, R7 = Me3CMe2SiO (preparation given) was cyclocondensed with (R)-glycidyl butyrate and the product converted in 4 steps to (R)-II (R3 = ZCH2NHAc) (III); R7 = OH which was thioetherified by pyrimidine-2-thiol to give III (R7 = 2-pyrimidinylthio). Data for biol. activity of I prepared I were given.

MSTR 1A



L7 ANSWER 46 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G17 Answer 46 of 55 HARPAL Copyright 2003 ACS on SIV (continued)

G5 ▪ CF3

G6 ▪ C(O)

G17 ▪ imidazolyl

DER: and pharmaceutically acceptable salts or protected derivatives

MPL: claim 1

NTE: also incorporates structures 2 and 4 from claim 6

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

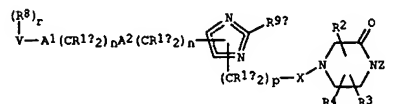
L7 ANSWER 47 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130/223297 MARPAT
TITLE: Preparation of piperazineones as inhibitors of
premyl-protein transferase
INVENTOR(S): Dinamoro, Christopher J.; Hutchinson, John H.
PATENT ASSIGNEE(S): Williams, Theresa M.
SOURCE: Marck & Co., Inc., USA
PCT Int. Appl., 106 pp.
CODEN: P1XXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9909985	A1	19990304	WO 1998-0517696	19980826
W1: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, FR, FI, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, BG, BR, BY, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2901170	AA	19990304	CA 1998-2301770	19980826
US 9891723	A1	19990316	AU 1998-91213	19980826
US 741725	B2	200011206		
EP 1014984	A1	20000705	EP 1998-943406	19980826
R1: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
JP 200015361	T2	200010904	JP 2000-507375	19980826
US 6387903	B1	20020514	US 2000-463917	20000201
			US 1997-570808	19970827
			GB 1998-975	19980116
			WO 1998-0517696	19980826

PRIORITY APPLN. INFO.:

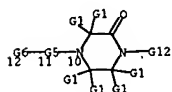
GI



AB Piperazinones 1 [R1e = H, alkyl; R1b = H, aryl, cycloalkyl, etc.; R3, R4 = H, Me; R2 = H, aryl, heteroaryl, etc.; R8 = H, alkyl, alkenyl, alkynyl, etc.; R9a = H, Me; A1, A2 = bond, CH:CH, C.tpbond.C, CO, etc.; V = H, heterocycle, aryl, etc.; X = CH2, CO; Z = aryl, arylmethyl, arylsulfonyl, etc.; n = 0-4; p = 0-4; m = 0-2], inhibitors of prenyl-protein transferases, farnesyl-protein transferase and geranylgeranyl-protein transferase type 1, and the prenylation of the oncogene protein Ras, were

L7 ANSWER 47 OF 95 HARPAT COPYRIGHT 2005 ACS on STN (Continued)
prepd. E.g., 1-(3-chlorophenyl)-4-[1-(4-cyanobenzyl)-5-imidazolylmethyl]-
2-piperazinone dihydrochloride was prepd.

MSTR 1



GS = 19-12 20-10



G14 = imidazolyl (SO)

G17 - 117-11 119-85



G21 - 227-88 228-86



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

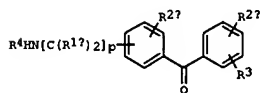
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 48 OF 95 MAFPAT COPYRIGHT 2005 ACS on STN

12/29/95 MARPAT
 ACCESSION NUMBER: 130:209709
 TITLE: Preparation of imidazolylmethylaminobenzophenones as inhibitors of prenyl-protein transferases, farnesyl-protein transferase and geranylgeranyl-protein transferase type I, and the prenylation of the oncogene protein Ras.
 INVENTOR(S): Orsham, Samuel L.
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9910329	A1	19990304	WO 1998-US17692	19980826
W:	AL, AM, AU, A2, BA, BE, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, PT, RU, SE, SI, SK, SL, SM, ST, SV, TH, TT, UA, UG, UZ, VN, YU, ZA, AZ, BY, BG, KG, KM, MD, RI, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CL, CM, GA, GN, GW, ML, MR, NE, NS, ND, TG			
AU 9889212	A1	19990316	US 1988-89212	19980826
PRIORITY APPLN. INFO.:			US 1997-57087P	19970827
			GB 1988-13579	19980624
			WO 1998-US17692	19980826

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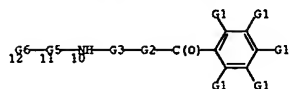


AB Title compds. [I: R¹ = H = H, aryl, heterocycloalkyl, cycloalkyl, alkanyl, (substituted) alkyl, etc.; R²_a, R²_b, R³ = H, (substituted) alkyl, aryl, heterocycloalkyl, cycloalkyl, alkanyl, etc.; R⁴ = specified substituted imidazole[alkyl] residues p = 0-4; with proviso(s), were prepared. Thus, 4-(4-cyanobenzoyl)-5-imidazoleacetic acid was prepared by the reaction of NaBH(AcO)₃ and 1-(4-cyanobenzoyl)-5-imidazolecarboxaldehyde (preparation given) followed by 26 h stirring to give 4-[[1-(4-cyanobenzoyl)-5-imidazole]methyl]amino]benzoic acid (4-cyanobenzoyl-2-thiazolidine). The latter inhibited human farnesyl protein transferase with IC₅₀ = 50 μM.

NGSTR 1

10/802,292

L7 ANSWER 49 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 19-12 20-10



G14 = imidazolyl (SO)
G17 = 117-11 119-85



G21 = 227-88 228-86



DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

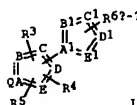
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 49 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130:168376 MARPAT
TITLE: Preparation of thienylthienylmethylimidazoles, pyrrolylthienylmethylimidazoles, and related compounds as inhibitors of farnesyl-protein transferase.
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 37 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5874452	A	19990223	US 1997-827484	19970327
PRIORITY APPLN. INFO.:			US 1997-827484	19970327

GI



AB Title compds. [1: Q = (R8) rVal[C(R1)2]nA2[C(R1)2]n[W(R9)q]t[C(R2)2]pX[C(R2)2]p; A = N, C; 0-4 of B, C, D, E = N, NH, O, S, the rest = CH; if A = C, then ≥1 of B, C, D, E = N, NH, O, S; A1 = N, C; 0-4 of B1, C1, D1, E1 = N, NH, O, S, the rest = CH; if A1 = C, then ≥1 of B1, C1, D1, E1 = N, NH, O, S; R1, R2 = H, aryl, heterocyclyl, cycloalkyl, alkenyl, alkynyl, (substituted) alkyl, etc.; R3, R4, R5 = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, halo, perfluoroalkyl, etc.; R6a-R6d = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, halo, perfluoroalkyl, etc.; R7 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, aroyl, heteroaroyl, arylsulfonyl, heteroarylsulfonyl; R8 = H, (substituted) aryl, heterocyclyl, alkyl, cycloalkyl, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, etc.; R9 = H, alkenyl, alkynyl, perfluoroalkyl, F, Cl, Br, (substituted) alkyl, etc.; A1, A2 = bond, CH:CH, C.tpbond.C, CO, O, S, SO, SO2, etc.; W = heterocyclyl; X = bond, CH:CH, O, DO, CO2, etc.; n, p = 0-4; q = 0-3; R = 0-5; t = 0, 1], were prepared for treatment of cancer, neurofibromin benign proliferative disorder, blindness related to retinal vascularization, restenosis, and hepatitis delta infection (no data).

MSTR 1A



L7 ANSWER 49 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G1 = 37-1 39-3



G2 = NH (SO)
G3 = N / 33



G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12



G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

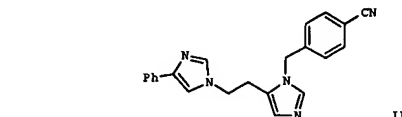
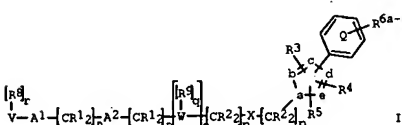
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 50 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 130:110262 MARPAT
TITLE: Preparation of arylheteroaryl inhibitors of farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven D.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 40 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5859035	A	19990112	US 1997-827486	19970327
PRIORITY APPLN. INFO.:			US 1997-827486	19970327

GI



AB The title compds. [I: a = N, C; from 0-4 of b, c, d and e = N, NH, O, and S, and the remaining b-e = CH; R1, R2 = H, aryl, heterocyclyl, etc.; R3-R5 = H, (un)substituted aryl, heterocyclyl, etc.; R6a-R6e = H, (un)substituted aryl, heterocyclyl, etc.; R8 = H, (un)substituted aryl, heterocyclyl, etc.; R9 = H, C2-6 alkenyl, C2-6 alkynyl, etc.; A1, A2 = a bond, CH:CH, C.tpbond.C, etc.; V = H, heterocycle, aryl, etc.; W = heterocycle; X = bond, CH:CH, O, etc.; n, p = 0-4; q = 0-3; r = 0-5 (provided that r = 0 when V = H); t = 0-1] and their salts, inhibitors of farnesyl-protein transferase (FPTase) and the farnesylation of the oncogene protein Ras, and are useful in treating cancer, neurofibromin benign proliferative disease, blindness related to retinal vascularization, infections from hepatitis delta and related viruses, polycystic kidney disease, and in preventing restenosis, were prepared. E.g. a 6-step synthesis of imidazole II.2HCl, which showed IC50 of 50 μM

L7 ANSWER 50 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
against human FPTase, was given.

MSTR 1



G1 = 37-1 39-3



G2 = NH (SO)
G3 = N / 33



G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12



G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 51 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 130:95548 MARPAT
TITLE: Preparation of biheteroaryl compounds as farnesyl protein transferase inhibitors
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 36 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5854265	A	19981229	US 1997-827476	19970327
PRIORITY APPLN. INFO.:				
US 1997-827476 19970327				
AB Title compds., e.g., R8C6H4A1[C(R1)2]nZ[c(R2)2]pXZ1Q [A1 = bond, O, CO, NH, etc.; Q = (un)substituted pyridyl, -pyrimidinyl, -pyrazolyl, etc.; R1 = H or (cyclo)alkyl; R2 = H, NH2, (ar)alkyl, aryl, etc.; R8 = H, acyl, alkyl, etc.; X = bond, CH2CH2, O, CO, NH, etc.; Z = (un)substituted imidazole-1,4-, -1,5-, -4,1-, or -5,1-diyl; Z1 = (un)substituted 5-membered heteroarylene; n = 0 or 1; p = 0-4] were prepared as farnesyl protein transferase inhibitors (no data). Thus, preparation of 1-[5-(2-pyridyl)-2-thienylmethyl]-5-(4-cyanobenzyl)imidazole was described.				

MSTR 1A



G1 = 37-1 39-3



G2 = NH (SO)
G3 = 33



G5 = Ak<EC (1-) C, BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12

L7 ANSWER 51 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



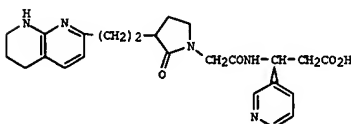
G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 52 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 129:310899 MARPAT
TITLE: Combination therapy for the prevention and treatment of osteoporosis
INVENTOR(S): Patchett, Arthur A.; Rodan, Gideon A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 147 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

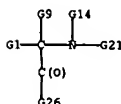
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9846220	A1	19981022	WO 1998-US7065	19980409
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CU, CZ, EE, GE, GW, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9871054	A1	19981111	AU 1998-71054	19980409
PRIORITY APPLN. INFO.:				
US 1997-43815P 19970414				
GB 1997-16883 19970808				
WO 1998-US7065 19980409				

G1



AB The combination of an av93 antagonist and a growth hormone secretagogue is useful in the treatment or prevention of diseases involving bone resorption, especially osteoporosis. Among many compds. prepared was I. An example is given for combination therapy with I and N-[1(R)-[1,2-dihydro-1-methylsulfonylspiro(3H-indole-3,4'-piperidin)-1'-yl]carbonyl]-3-phenylpropyl]-2-amino-2-methylpropanamide.

MSTR 1



10/802,292

L7 ANSWER 52 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

DER: and pharmaceutically acceptable salts
 MPL: claim 2
 NTE: additional ring formation also claimed
 STE: and individual diastereomers

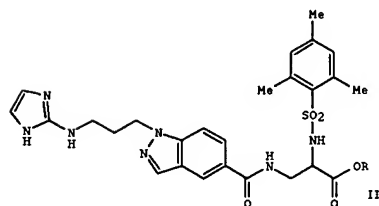
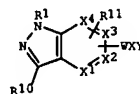
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 129:302639 MARPAT
 TITLE: Preparation of imidazolylaminopropylindazolylcarbonyl
 aminopropionate ammonioalkyl esters and related
 compounds as integrin $\alpha v \beta 3$ inhibitor
 prodrugs.
 INVENTOR(S): Jadhav, Prabhakar; Batt, Douglas G.; Hussain, Munir
 A.; Pitts, William J.; Repta, Arnold J.
 PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Co., USA
 SOURCE: PCT Int. Appl., 311 pp.
 CODEN: PIXXDZ
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9843962	A1	19981008	WO 1998-US6054	19980327
V: AU, BR, CA, CH, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9867803	A1	19981022	AU 1998-67803	19980327
US 6214834	B1	20010410	US 1998-49305	19980327
PRIORITY APPLN. INFO.:			US 1997-41759P	19970328
			WO 1998-US6054	19980327

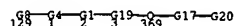
GI



L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AB Title compds. [I; X1-X4 = N, C; ≥ 2 of X1-X4 = C; R1 = specified heterocyclylalkyl; R10 = H, amino, halo, NO2, cyano, CF3, sulfonylamino, carbamoyl, (substituted) alkyl, alkoxy, alkenyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, etc.; R11 = H, halo, CF3, cyano, NO2, OH, amino, (substituted) alkyl, alkoxy, aryl, aralkyl, alkoxyalkyl, alkylcarbonyl, alkylsulfonyl, alkylaminosulfonyl; W = [C(R12)2]qCONR13, CONR13[C(R12)2]q; X = CR12R14CR12R15; WX = specified piperazinylcarbonyl(alkyl); Y = COR19; R12 = H, halo, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, aryl, aralkyl; R13 = H, (substituted) alkyl, cycloalkylmethyl, aralkyl; R14 = H, alkylthioalkyl, aralkylthioalkyl, aralkoxyalkyl, alkyl, alkoxyalkyl, hydroxyalkyl, alkenyl, alkynyl, cycloalkyl, aralkyl, heteroarylalkyl, aryl, heteroaryl, etc.; R15 = H, (substituted) alkyl, alkoxyalkyl, alkylaminoalkyl, aralkylcarbonyl, aryl, heteroaryl, heteroarylalkyl, aminosulfonyl, aminosulfonylamino, etc.; R19 = O(CH2)kN+R22R23R24 Z-; Z = specified pharmaceutically acceptable anion; R22-R24 = H, (substituted) alkyl, cycloalkylalkyl, aryl, aralkyl, heteroaryl, heteroarylalkyl; R22R23 = (substituted) 5-7 membered heterocyclyl; R22R23R24 = (substituted) heterobicycyl; q = 0-2; k = 2-6]. I may be administered by iontophoresis for the inhibition of cell adhesion, the treatment of angiogenic disorders, inflammation, bone degradation, cancer metastasis, diabetic retinopathy, thrombosis, restenosis, macular degeneration, and other conditions mediated by cell adhesion and/or cell migration and/or angiogenesis. Thus, title compound (II; R = CH2CH2N+Me3) showed electrophoretic mobility = 3.2 cm²/V/s at pH 4.5, vs. 1.7 cm²/V/s for II (R = Me).

MSTR 1A



G4 = 150



G7 = Ak<EC (1-) C, BD (ALL) SE> (50)
 G8 = 613



G30 = 45-1 43-63 50-3



G31 = 536

L7 ANSWER 53 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

536 C(O)G32-G27

DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: substitution is restricted
 NTE: also incorporates claims 6 and 11
 STE: including stereoisomeric forms or mixtures of stereoisomeric forms

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/802,292

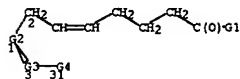
L7 ANSWER 54 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 129:166072 MARPAT
 TITLE: Prostaglandins for enhancing hair growth
 INVENTOR(S): Johnstone, Murray A.
 PATENT ASSIGNEE(S): USA
 SOURCE: PCT Int. Appl., 36 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

[illegible]

WO 1998-02289 19980203

AB	Methods and compo. for stimulating the growth of hair are disclosed
the	containing prostaglandins, derivs. or analogs thereof for use in treating
	skin or scalp of a human or non-human animal. Prostaglandins of the A2, A2,
	F2a and E2 types are preferred for this treatment method. A topical
	cream containing 13,14-dihydro-15-dehydro-17-phenyl-18,19,20-trinor-
	PGF2a iso-Fr ester was formulated and applied to a bald human scalp
	3 times a day to stimulate the growth of hair.

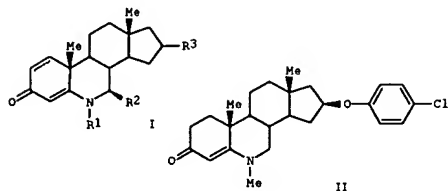
MSTR 1



G2 - 27-2 23-3

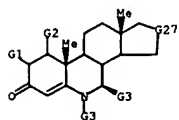
L7 ANSWER 55 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 128:282976 MARPAT
TITLE: Preparation of 16-substituted-6-azaandro-4-en-3-ones
as 5 α -reductase inhibitors
INVENTOR(S): Aster, Susan D.; Graham, Donald W.; Von Langen, Derek
J.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5741795	A	19980421	US 1996-732953	19961017
PRIORITY APPLN. INFO.:			US 1996-732953	19961017
GI				



AB Compds. of formula I (R₁, R₂ = H, alkyl; R₃ = H, alkyl, CN, F, OH, alkyl-X, alkenyl-X, aryl-X, etc.; X = O, S, (SO), SO₂, CO, CONH, etc.) are prepared as inhibitors of 5 α -reductase, and are useful for the treatment of hyperandrogenic disorders such as acne vulgaris, seborrhea, female hirsutism, male pattern baldness, prostatic carcinoma, prostatitis and benign prostatic hyperplasia. Thus, 3 β -Hydroxyandrost-5-en-17-one is used as a model substrate for the 5 α -reductase. Compds. of formula I had IC₅₀ values between 0.1 to 1000 nM in 5 α -reductase inhibitor study. Pharmaceutical compns. containing II are described.

MSTR 1



L7 ANSWER 54 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G3 - 104

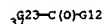


G4 - imidazolyl;
G8 - Ak-EC (1-) C, BD (0-) D (0-) T> (SO (1-) OH)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: O-, S-, or N-atom interruptions and additional oxo substitution in Ak
in G3 also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 55 OF 95 MABPAT COPYRIGHT 2005 ACS on STN (Continued)

G5 = 37



G12 = imidazolyl
G27 = 16

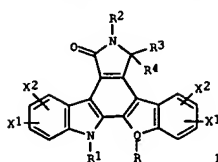


DER: or pharmaceutically acceptable salts or esters
MPL: claim 1

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

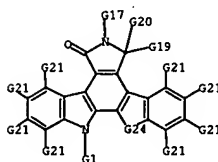
GI

L7 ANSWER 56 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I (R, R1 = independently H, substituted furan or pyran sugar derivative; R2 = H, alkyl, aryl, arylalkyl, alkoxy, amine, aminoalkyl ester); R3, R4 = independently CH₃, OH, R3R4 = O; X1, X2 = independently H, halogen, OH, NH, NC, CO₂, acyl, NO₂, phenoxymethyl, alkoxy, Q, N, S, CH₂), some of which are topoisomerase II active agents were evaluated. Compds. I were useful in inhibiting proliferation of antitumor cells and antitumor effects. Thus, I (R = H; R1 = 6-amino-6-deoxy-β-D-glucopyranosyl); X1 = H; X2 = F at positions 3 and 9; Q = N) was prepared with in-vitro cell based cytotoxic activity (IC₅₀ (μM) = 0.11) and topoisomerase II activity EC₅₀ (μM) = 0.93).

MSTR 1



G1 - 35



G3 = 88 / imidazolyl

L7 ANSWER 56 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

AC(O)-G12

G4 = 0
G16 = 102

102 — G4

DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional oxo and imino-formation also claimed

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 57 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 128:180670 MARPAT
TITLE: Preparation of acryloyl-substituted distamycin
derivatives as antitumor and antiviral agents
INVENTOR(S): Cozzi, Paolo; Beria, Italo; Biasoli, Giovanni;
Caldarelli, Marina; Capolongo, Laura; Franzetti,
Cristina
PATENT ASSIGNEE(S): Pharmacia & Upjohn S.p.A., Italy
SOURCE: PCT Int. Appl., 58 pp.
CODEN: P1XXP2

DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

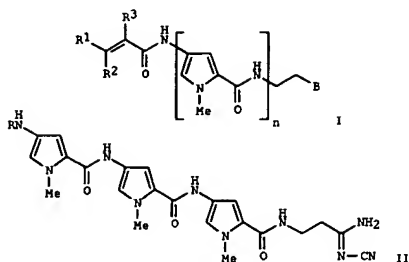
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9804524	A1	19980205	WO 1997-EP3719	19970710
W: AU, BG, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MK, NO, NZ, PL, SG, SI, TR, UA, US, AM, AZ, BY, KG, KZ, IE, IT, LU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, MD, PT, RO, MC, NL, SE				
CA 2260060	A1	19980205	CA 1997-226060	19970710
AU 9740098	A1	19980220	AU 1997-40098	19970710
AU 724511	B2	20000921		
EP 915845	A1	19950915	EP 1997-937474	19970710
EP 915845	B1	20010912		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
BR 9710717	A	19950817	BR 1997-10717	19970710
CN 1226232	A	19990818	CN 1997-196373	19970710
CN 1116281	B	20000730		
JP 200051564	T2	20001101	JP 1998-508428	19970710
AT 205476	E	20010915	AT 1997-937474	19970710
ES 2164366	T3	20020216	ES 1997-937474	19970710
PT 915845	T	20020328	PT 1997-937474	19970710
IL 127689	A1	20030731	IL 1997-127689	19970710
CA 9706549	A	19980202	CA 97-06549	19970723
NO 9900246	A	19990120	NO 1999-246	19990120
NQ 310817	B1	20010903		
KR 2000029448	A	20000525	KR 1999-700409	19990120
EA 649290	B1	20021119	US 1999-147573	19990122
HK 1020948	A1	20031212	HK 1999-106034	19991222
WO 2002303031	A1	20030310	US 2002-196363	20020717
			US 1999-156025	19990122
			WO 1997-EP3719	19970710
			US 1999-147573	19990122

PRIORITY APPL. INFO.:

GI

10/802,292

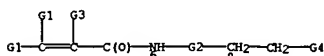
L7 ANSWER 57 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Acryloyl-substituted distamycin derivs. I [n = 2-4; R1, R2 = independently H, halo, C1-C4 alkyl; R3 = H, halo; B = C(NH2):NCN, C(NR4R5):NR6, C(NH2):NOH, C(NH2):NNH2, NHC(NH2):NH, CN, CONR7R8; R4, R5, R6, R7, R8 = independently, H, C1-C4 alkyl, with the proviso that at least one of R4, R5 and R6 = C1-C4 alkyl] and pharmaceutically acceptable salts thereof are prepared as useful antineoplastic and antiviral agents. Thus, treatment of NH2CN with NaH in DMF, followed by addition of distamycin A gave the corresponding cyanamidine II (R = CHO), which was hydrolyzed with aqueous

HCl to give amino derivative II (R = H). Treatment of II (R = H) with 1-methyl-4-(α-bromoacrylamido)pyrrole-2-carbonyl chloride (preparation given) gave desired title compound I [n = 4, B = C(NH2):NCN, R1 = R2 = H, R3 = Br]. Prepared compds. I showed very good antitumor activity in vitro and in vivo against murine L1210 leukemia, and remarkable effectiveness in interfering with the reproductive activity of pathogenic viruses and protect tissue cells from viral infections.

MSTR 1



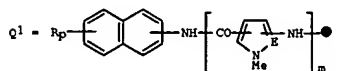
DER: or pharmaceutically acceptable salts
MPL: claim 1

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 58 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

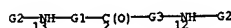
ACCESSION NUMBER: 128:3684 MARPAT
TITLE: Preparation of naphthylcarbonylpyrazole and -pyrrole derivatives as virucides, angiogenesis inhibitors, and neutralizers of TNFα activity.
INVENTOR(S): Mongelli, Nicola; Crugnola, Angelo; Lombardi Borgia, Andrea; Sole, Francesco
PATENT ASSIGNEE(S): Pharmacia Spa, Italy; Pharmacia & Upjohn S.P.A.
SOURCE: Brit. UK Pat. Appl., 53 pp.
CODEN: BAOXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2310207	A1	19970820	GB 1996-3213	19960215
PRIORITY APPLN. INFO.: GB 1996-3213 19960215				



AB BCOB [B = Q1; m = 1-6; p = 1-3; E = CH, N; R = (esterified) acid group], were prepared for treatment of lentivirus infection (no data). Thus, 2-[(3-[(3-amino-1-methylpyrazole-5-carbonyl)amino]-1-methylpyrazole-5-carbonyl)amino]naphthalene-6,8-disulfonic acid monopotassium salt (preparation given), K2CO3, and bis(trichloromethyl)carbonate were stirred in H2O/dioxane to give 864 carbonylbis-2-[(3-[(3-amino-1-methylpyrazole-5-carbonyl)amino]-1-methylpyrazole-5-carbonyl)amino]naphthalene-6,8-disulfonic acid tetrapotassium salt.

MSTR 1



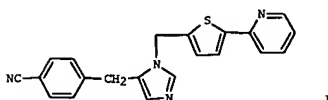
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 59 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:331491 MARPAT
TITLE: Cyanobenzylimidazoles as inhibitors of farnesyl protein transferase
INVENTOR(S): Anthony, Neville J.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.
SOURCE: PCT Int. Appl., 127 pp.
CODEN: PIXKX2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736897	A1	19971009	WO 1997-US5358	19970401
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2249665	AA	19971009	CA 1997-2249665	19970401
AU 9726021	A1	19971022	AU 1997-26021	19970401
AU 714851	B2	20000113		
EP 891356	A1	19990120	EP 1997-917781	19970401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000057592	T2	20000620	JP 1997-535547	19970401
US 1996-14592P 19960403				
GB 1996-13462 19960627				
US 1996-22558P 19960724				
GB 1996-17258 19960816				
WO 1997-US5358 19970401				

G1



AB Compds. which inhibit farnesyl-protein transferase and the farnesylation of the oncogene protein Ras (no data) are claimed. Some cyanobenzylimidazoles were prepared 4-BrCH2C6H4CN was treated with 4-iodo-1-tritylimidazole, followed by 5-(2-pyridyl)-2-thiophenemethanol to give the imidazole I.

MSTR 1A



G1 = 37-1 39-3

L7 ANSWER 59 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = NH (SO)
G3 = 33



G5 = Ak<BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12



G15 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed
NTE: additional ring formation also claimed

10/802,292

L7 ANSWER 60 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 127:331489 MARPAT
TITLE: Cyanobenzylimidazoles as inhibitors of
farnesyl-protein transferase
INVENTOR(S): Anthony, Neville J.; Gomez, Robert P.; Young, Steven
D.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Anthony, Neville J.; Gomez,
Robert P.; Young, Steven D.
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736881	A1	1997/1009	WO 1997-005514	1997/0401
W:	AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LI, LK, LR, LT, LV, MD, MG, MK, MN, NL, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2249639	AA	1997/1009	CA 1997-2249639	1997/0401
US 9726058	A1	1997/1022	US 1997-26058	1997/0401
US 716381	B2	2000/0224		
EP 891339	A1	1999/0120	EP 1997-917830	1997/0401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 2000599371	T2	2000/0725	JP 1997-535590	1997/0401
			US 1996-14592P	1996/0403
			GB 1996-13462	1996/0627
			US 1996-22340P	1996/0724
			GB 1996-12778	1996/0816
			WO 1997-005514	1997/0401

AB Compds. which inhibit farnesyl protein transferase and the farnesylation of the oncogene protein Ras are claimed. Cyanobenzylimidazoles were prepared and tested. Thus, 4-BrCH₂CH₂CH₂NCN was treated with 4-iodo-1-tritylimidazole, followed by 1-bromomethyl-3-phenylisoxazole to give 1-(3-phenyl-5-isoxazolylmethyl)-5-(4-cyanobenzyl)imidazole-HCl which had an IC50 for inhibition of human farnesyl protein transferase of ≤ 50 μ M.

NESTR 1

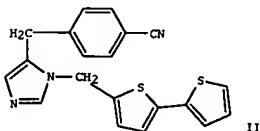
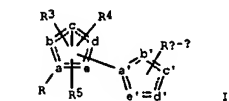


G1 - 37-1 39-3

L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 127:331486 MARPAT
TITLE: Preparation of 5-membered ring heterocyclic compounds
as inhibitors of farnesyl-protein transferase
INVENTOR(S): Aronson, Neville J.
PATENT ASSIGNEE(S): Mark & Co., Inc. USA; Anthony, Neville J.
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 11
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9736585	A1	19971009	WO 1997-US6259	19970401
W1: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
FW1: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CH, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2250204	AA	19971009	CA 1997-2250204	19970401
AU 9727307	A1	19971022	AU 1997-27307	19970401
US 706497	B2	19990617		
EP 904076	A1	19990331	EP 1997-921200	19970401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, JP 2000507956	T2	20000627	US 1996-14582P	19960403
US 1996-14582P			US 1996-13462	19960627
US 1996-13462			US 1996-22568P	19960724
US 1996-22568P			GB 1996-17279	19960816
GB 1996-17279			WO 1997-US6259	19970401

GI



L7 ANSWER 60 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G2 = NH (SO)
G3 = N / 33



G5 = Ak<BD (0-) D (0-) T> (SO)
G11 = 71-14 69-12



G15 - C(0)
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: interruptions in G5 and G15 also claimed

L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 01 OF 95 MARAAL COPYRIGHT 2005 ACS on SIN (continued)
AB The present invention is directed to compds, which inhibit
farnesyl-protein transferase (Ftase) and the farnesylation of the oncogene
protein Ras (no data). The invention is further directed to
chemotherapeutic compns, containing the compds; of this invention and
methods

for inhibiting farnesyl-protein transferase and the farnesylation of the oncogene protein Ras. A method for treating cancer, blindness related to retinal vascularization, infections from hepatitis delta and related viruses, or polycystic kidney disease or preventing restenosis comprises administering to a mammal a therapeutically effective amount of the title compds. The title compds. are represented by formula [1] R = (R8)R¹-V-A1(C[R1],2)na2(C[R1],21)-(V[9]q)t-(C[R2],2)p-X-C[R(2),2]q a, a' = N, C, 0-4 of b, c, d, and e and 0-4 of b', c', d', and e' = N or C and the remaining b, c, d, e, a', b', c', d', and e' = CH, provided that if a' and/or c' = C, at least one of b and d, and a' and e' are not both of b', c' = C and e' = N, NH, 0, or 5; R1, R2 = H, aryl, heterocyclyl, C-10 cycloalkyl, C-2-6 alkanyl, C-2-6 alkynyl, (un)substituted OH, acylamino, acyloxy, (un)substituted CONH2 or HZNC(NH), cyano, NO2, acyl, N3, (un)substituted C1-6 alkyl, etc.; R3, R4, R5, R6a, R6b, R6c, R6d = H, (un)substituted aryl or heterocyclyl, group listed in R1 and R2, R8 = H, (un)substituted aryl, heterocyclyl, C-3-10 cycloalkyl, C-2-6 alkanyl, C-2-6 alkynyl, perfluoroalkyl, F, Cl, Br, etc.; R9 = H, C-2-6 alkanyl, C-2-6 alkynyl, C1-6 perfluoroalkyl, F, Cl, Br, (un)substituted OH, acylamino, (un)substituted CONH2 or HZNC(NH), cyano, NO2, acyl, N3, NH2, (un)substituted C1-6 alkyl, R1, R2, R3, R4, R5, R6a, R6b, R6c, R6d, R8, R9, R10, R11, R12, R13, R14, R15, R16, R17, R18, R19, R20, R21, R22, R23, R24, R25, R26, R27, R28, R29, R30, SO2N, SO2NH2, 0, S, SO, SO2; X = H, heterocyclyl, aryl, C-2-20 alkanyl, C1-20 alkyl wherein 0-4 of C atoms are replaced with a heteroatom selected from O, S, and N; V = heterocyclyl; Z = a bond, CH:CH, O, CO, (un)substituted CONH, NHCO, CONHCO, SOXNH, or NH, CO, OC, SO2, S, SO, SO2N, p = 0, 1-4; q = 0, 1-3; r = 0-5, t = 0, 1]. Thus, 5-(2-(thienyl)-2-(hydroxymethyl)thiophene was triflated by triflic anhydride in the presence of diisopropylethylamine in CH2Cl2 at -78° for 1 h, followed by adding a solution of 1-trityl-4-(4-cyanobenzyl)imidazole in CH2Cl2, and the resulting mixture was stirred at ambient temperature for 2 h to give, after HPLC using a gradient mixture of H2O/MeCN containing 0.1% CF3CO2H, [1,1-bis(4-thienyl)methyl]imidazole derivative (11, 2CF3CO2H).

MSTR 1A



G1 - 37-1 39-3



G2 - NH (SO)
G3 - N / 33



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L7 ANSWER 61 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G5 = Ak<BD (0-) D (0-) T> (50)
 G11 = 71-14 69-12



G15 = C(O)
 DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted
 NTE: interruptions in G5 and G15 also claimed
 NTE: additional ring formation also claimed

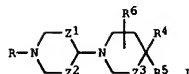
L7 ANSWER 62 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:149078 MARPAT
 TITLE: Preparation of aryl 4-piperidinopiperidides and analogs as tachykinin receptor antagonists
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 48 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724324	A1	19970710	WO 1996-EP5883	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 531537	B	20030511	TW 1996-85115391	19961213
CA 2238818	AA	19970710	CA 1996-2238818	19961220
AU 9713084	A1	19970728	AU 1997-13084	19961220
AU 707037	B2	19990701		
EP 855999	A1	19980805	EP 1996-944691	19961220
EP 855999	B1	20011004		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1206406	A	19990127	CN 1996-199389	19961220
CN 1131854	B	20031224		
BR 9612334	A	19990302	BR 1996-12334	19961220
JP 20000502690	T2	20000307	JP 1997-524031	19961220
AT 206397	E	20011015	AT 1996-944691	19961220
ES 2164939	T3	20020301	ES 1996-944691	19961220
PT 855999	T	20020328	PT 1996-944691	19961220
IL 124640	A1	20020523	IL 1996-124640	19961220
SK 283555	B6	20030911	SK 1998-831	19961220
ZA 9610885	A	19980623	ZA 1996-10885	19961223
NO 9802404	A	19980819	NO 1998-2404	19980527
NO 310913	B1	20010917		
US 6169097	B1	20010102	US 1998-102295	19980622
HK 1011205	A1	20020308	HK 1998-112227	19981124
US 6346540	B1	20020212	US 2000-615523	20000713
PRIORITY APPL. INFO.:			EP 1995-203651	19951227
			WO 1996-EP5883	19961220
			US 1998-102295	19980622

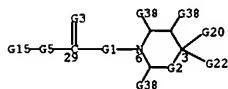
GI

L7 ANSWER 62 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. [I: R = C(X)Z2; R1 = (un)substituted (di)phenyl(alkyl); R2 = (un)substituted phenyl(alkyl), heteroaryl(alkyl), etc.; R4 = H, alkyl, alkoxy, carbonyl, Ph, etc.; R5 = H, OH, NH2, phenyl(alkoxy), etc.; R4R5 = atoms to form a ring; R6 = H, OH, (phenyl)alkyl, alkoxy, etc.; X = O or (alkyl)imino; Z = bond, O, S, (alkyl)imino; Z1 = CH2 or CH2CH2; Z2, Z3 = bond, CH2, CH2CH2 were prepared. Thus, 1,1-dimethylethyl 4-oxo-2-phenylmethylpiperidine-1-carboxylate was reductively condensed with N-(4-phenyl-4-piperidinyl)acetamide and the product deprotected to give I (R1 = CH2Ph, R4 = Ph, R5 = NHAc, R6 = H, Z1 = Z2 = Z3 = CH2 (I); R = H) which was amidated by 2,4-dimethylthiazole-5-carboxylic acid to give II (R = 2,4-dimethyl-5-thiazolylcarbonyl). Data for biol. activity of I were given.

MSTR 1A



G22 = 168

C(O)G31

G31 = imidazolyl (SO G26)
 G38 = alkyl<(1-6)> (SO (1) G23)
 DER: or N-oxides or pharmaceutically acceptable addition salts
 MPL: claim 1
 NTE: substitution is restricted
 NTE: also incorporates claim 6
 STE: or stereochemical isomers

L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

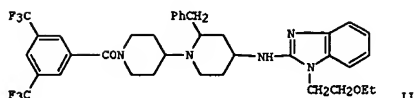
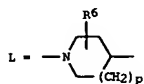
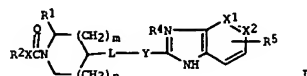
ACCESSION NUMBER: 127:135802 MARPAT
 TITLE: N-acyl-2-substituted-4-(benzimidazolyl- or imidazopyridinyl)piperidines as tachykinin antagonists
 INVENTOR(S): Janssens, Frans Eduard; Sommen, Francois Maria; Surleraux, Dominique Louis Nestor Ghislaine
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 50 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724350	A1	19970710	WO 1996-EP5877	19961220
W: AL, AM, AU, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, LC, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
TW 429256	B	20010411	TW 1996-85115389	19961213
CA 2238816	AA	19970710	CA 1996-2238816	19961220
AU 9713080	A1	19970728	AU 1997-13080	19961220
AU 707116	B2	19990701		
EP 869955	A1	19981014	EP 1996-944686	19961220
EP 869955	B1	20011024		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1206414	A	19990127	CN 1996-199406	19961220
CN 1117090	B	20030806		
BR 9612326	A	19990713	BR 1996-12326	19961220
JP 20000502689	T2	20000307	JP 1997-524029	19961220
AT 207484	E	20011115	AT 1996-944686	19961220
PT 869955	T	20020429	PT 1996-944686	19961220
ES 2166915	T3	20020501	ES 1996-944686	19961220
IL 124642	A1	20020814	IL 1996-124642	19961220
PL 184489	B1	20021129	PL 1996-327440	19961220
SK 283533	B6	20030911	SK 1998-829	19961220
CZ 294199	B6	20041013	CZ 1998-1866	19961220
ZA 9610894	A	19980623	ZA 1996-10894	19961223
NO 9802406	A	19980824	NO 1998-2406	19980527
NO 313291	B1	20020909		
US 6110939	A	20000829	US 1998-102121	19980619
HK 1012187	A1	20020308	HK 1998-113363	19981215
PRIORITY APPL. INFO.:			EP 1995-203650	19951227
			EP 1995-203653	19951227
			EP 1995-203652	19951227
			WO 1996-EP5877	19961220

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10/802,292

L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

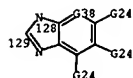


AB Title compds. I [n = 0-2; m = 1, 2; X = bond, O, S, NR3; X1, X2 = CH, N; Q = O, NR3; R1 = aryl, aralkyl, diarylalkyl; R2 = aryl, aralkyl, heterocyclyl, heteroalkylalkyl; L = Q; R3 = H, alkyl; R4 = (un)substituted alkyl; R5 = H, halogen, OH, alkoxy; R6 = H, alkyl, aralkyl; p = 0-2] were prepared for use as substance P antagonists. Thus, (4)-tert-Bu-7-benzyl-1,4-dioxo-8-azaspiro[4.5]decane-8-carboxylate was treated with 3,5-(F3C)2C6H3COCl, followed by 1-(2-ethoxyethyl)-2-(4-piperidinylamino)benzimidazole to give the title compound II. Cis-II gave 80.7% inhibition of substance P-induced relaxation of pig coronary artery at 3 X 10⁻⁸ M while trans-II gave 85.3 % inhibition.

MSTR 1



G1 = 129-3 128-116



L7 ANSWER 64 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 127:113378 MARPAT
 TITLE: Stable, long acting salts of indole derivatives for the treatment of joint diseases
 INVENTOR(S): Ahmed, Imran
 PATENT ASSIGNEE(S): Pfizer Inc., USA; Ahmed, Imran
 SOURCE: Patent
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

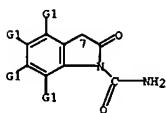
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722605	A1	19970626	WO 1996-181280	19961121
W: AU, BG, BR, BY, CA, CN, CZ, HU, IL, IS, JP, KR, KZ, LX, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9675034	A1	19970714	AU 1996-75034	19961121
ZA 9610582	A	19980617	ZA 1996-10582	19961217
PRIORITY APPLN. INFO.:			US 1995-8844P	19951219
			WO 1996-181280	19961121

AB Calcium, magnesium, lidocaine and benzathine salts of 2-oxindole-1-carboxamides are useful for the treatment of joint disease by intra-articular administration. Example compds. are tenidap calcium and benzathine salts and their 5-fluoro analogs. Example formulations for these compds. are given.

MSTR 1



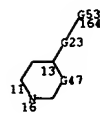
G5 = 7



G8 = imidazolyl
 DER: or pharmaceutically acceptable salts
 MPL: claim 1

L7 ANSWER 63 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G9 = CH2Ph
 G20 = 16-8 11-10 164-115



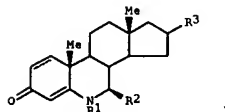
G53 = C(O)
 DER: N-oxides or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: additional ring formation also claimed
 NTE: also incorporates claim 12
 NTE: substitution is restricted
 STE: or stereochemically isomeric forms

L7 ANSWER 65 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 126:343718 MARPAT
 TITLE: Preparation of 16-substituted-6-aza-steroid 5α-reductase inhibitors
 INVENTOR(S): Aster, Susan D.; Graham, Donald W.; von Langen, Derek J.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: PCT Int. Appl., 45 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714418	A1	19970424	WO 1996-US16347	19961015
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LX, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2233084	AA	19970424	CA 1996-2233084	19961015
AU 9674416	A1	19970507	AU 1996-74416	19961015
AU 7049333	B2	19990506		
EP 862436	A1	19980909	EP 1996-936405	19961015
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
JP 11513684	T2	19991124	JP 1996-515894	19961015
PRIORITY APPLN. INFO.:			US 1995-5636P	19951019
			WO 1996-US16347	19961015

G1

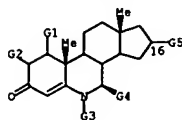


AB Title compds. I [R1, R2 = H, alkyl; R3 = H, alkyl, CN, F, OH, XR4; R4 = (un)substituted alkyl, alkenyl, aryl, heteroaryl; X = O, SO₂, CO, O₂C, NHCO, O₂CNH, NHCONH, CH₂O; n = 0-2] are inhibitors of 5α-reductase (no data), and are useful for the treatment of hyperandrogenic disorders such as acne vulgaris, seborrhea, female hirsutism, male pattern baldness, prostatic carcinoma, prostatitis and benign prostatic hyperplasia. I [R1 = Me, R2 = H, R3 = β-OH, the 1,2-bond is single] was prepared from dehydroepiandrosterone in 13 steps.

MSTR 1A

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L7 ANSWER 65 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 29



G7 = imidazolyl
DER: or pharmaceutically acceptable salts or esters
MPL: claim 1

L7 ANSWER 66 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 125:300834 MARPAT
TITLE: Preparation of 1-substituted-piperidin-4-ylmethyl esters and amides as 5-HT4 antagonists
INVENTOR(S): Cereda, Enzo; Bignotti, Maura; Martino, Vincenzo; Schiavi, Giovanni Battista; Sagrada, Angelo
PATENT ASSIGNEE(S): Boehringer Ingelheim Italia S.P.A., Italy
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXBD
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628424	A1	19960919	WO 1996-EP903	19960304
W:	AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN			
CA 2209904	AA	19960919	CA 1996-2209904	19960304
AU 9651010	A1	19961002	AU 1996-51010	19960304
BR 9607347	A	19971230	BR 1996-7347	19960304
EP 815080	A1	19980107	EP 1996-907342	19960304
EP 815080	B1	20040616		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI			
CN 1178524	A	19980408	CN 1996-192500	19960304
JP 11501640	T2	19990209	JP 1996-527233	19960304
AT 269304	E	20040715	AT 1996-907342	19960304
ES 2224159	T3	20050301	ES 1996-907342	19960304
ZA 9602009	A	19970915	ZA 1996-2009	19960313
NO 9704236	A	19970912	NO 1997-4236	19970912
US 6002009	A	19991214	US 1996-513425	19980114
			IT 1995-M1491	19950314
			WO 1996-EP903	19960304

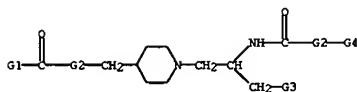
PRIORITY APPL. INFO.:
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I: A = (substituted) Ph, carbazol-9-yl, 1H-indol-3-yl; 2-oxo-2,3-dihydro-benzimidazol-1-yl; X = O, NH; Y = alkoxy, aryloxy, aralkyloxy, alkylamino, etc.; R = H, Ph, OH, PhCH2O, etc.] and their salts, useful for the treatment of cardiac arrhythmia, intestinal motility, anxiety, depression, psychosis, cognitive disorders, alc. abuse and migraine, were prepared and formulated. Thus, cyclization of of piperidine derivative (S)-(+) II with diphosgene in CH2Cl2 afforded the desired product (S)-(+) III which showed Ki of 1.3x10-9 M against 5-HT4 receptor binding in the pig striatum.

MSTR 1

L7 ANSWER 66 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



DER: and pharmaceutically acceptable acid addition salts
MPL: claim 1

L7 ANSWER 67 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

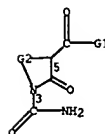
ACCESSION NUMBER: 125:212698 MARPAT
TITLE: 2-Oxindole-1-carboxamide pharmaceutical agents for the treatment of Alzheimer's disease
INVENTOR(S): Loose, Leland D.; Lombardino, Joseph G.; Weiner, Ethan S.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 6 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5545656	A	19960813	US 1995-417178	19950405
CA 2217513	AA	19961010	CA 1995-2217513	19950508
CA 2217513	C	20020205		
WO 9631209	A1	19961010	WO 1995-IB334	19950508
W:	CA, FI, JP, MX, US			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE			
EP 818999	A1	19980121	EP 1995-915985	19950508
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE			
JP 10511978	T2	19981117	JP 1995-530133	19950508
CN 1136921	A	19961204	CN 1996-102993	19960328
AU 9650532	A1	19961017	AU 1996-50532	19960404
AU 700932	B2	19990114		
ZA 9602715	A	19971006	ZA 1996-2715	19960404
KR 179315	B1	19990320	KR 1996-10121	19960404
NZ 286331	A	20000825	NZ 1996-286331	19960404

PRIORITY APPL. INFO.:
US 1995-417178 19950405
WO 1995-IB334 19950508

AB Certain 3-substituted 2-oxindole-1-carboxamides and their pharmaceutically acceptable base salts (Markush structure given) are useful for the treatment or prevention of Alzheimer's disease in mammals, including humans. The IC50 of tenidap to inhibit the production of prostaglandin D2 (a cyclooxygenase pathway) by RBL-1 cells and the release of interleukin-1β by human monocytes isolated from Ficoll-Hypaque centrifugation of heparinized blood was 40μM. The composition are administered orally or parenterally.

MSTR 1



G2 = o-C6H4 (SO (1-2) G3)
G20 = imidazolyl (SO (1) G17)
DER: or pharmaceutically acceptable salts or solvates

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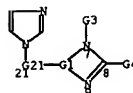
L7 ANSWER 67 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 68 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:151190 MARPAT
TITLE: Benzimidazoles as inhibitors of calcitriol metabolism
INVENTOR(S): Vanden Bossche, Hugo Florent Adolf; Willemssens, Gustaaf Henri Maria; De Coster, Roland
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9619991	A1	19960704	WO 1995-EP5172	19951221
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TT, UA, UG, US, UZ, VN, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9644361	A1	19960719	AU 1996-44361	19951221
EP 800391	A1	19971015	EP 1995-943236	19951221
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
JP 10511653	T2	19981110	JP 1995-520221	19951221
PRIORITY APPLN. INFO.:			EP 1994-203774	19941228
			WO 1995-EP5172	19951221

AB The invention relates to the use of a (1H-imidazol-1-yl)methyl-1H-benzimidazole, a pharmaceutically acceptable addition salt or a stereochem. isomeric form thereof, for the manufacture of a medicament for treating a pathol. condition which is beneficially influenced by inhibiting the metabolic degradation of calcitriol, e.g. keratinization and psoriasis. Examples of pharmaceutical formulations of benzimidazoles alone or in combination with calcitriol or a prodrug thereof are given.

MSTR 1



G1 = 3-21 4-7 5-9



G5 = F

L7 ANSWER 68 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
G7 = furyl (SO (1-) G5)
G13 = C(O)
DER: or pharmaceutically acceptable salts
MPL: claim 1
STE: or stereochemical isomers

L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 125:142275 MARPAT
TITLE: Substituted 4-biarylbutyric or 5-biarylpentanoic acids and derivatives as matrix metalloprotease inhibitors
INVENTOR(S): Kluender, Harold Clinton Eugene; Benz, Guenter Hans; Heinz Herbert; Brittelli, David Ross; Bullock, William Harrison; Combs, Kerry Jeanne; Dixon, Brian Richard; Schneider, Stephan; Wood, Jill Elizabeth; Vanzandt, Michael Christopher; et al.
PATENT ASSIGNEE(S): Bayer A.-G., USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

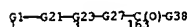
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9615096	A1	19960523	WO 1995-US14002	19951109
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2201863	AA	19960523	CA 1995-2201863	19951109
AU 9641975	A1	19960606	AU 1996-41975	19951109
AU 702317	B2	19990218		
EP 790974	A1	19970827	EP 1995-940572	19951109
EP 790974	B1	20020814		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9509686	A	19970930	BR 1995-9686	19951109
CN 1153604	A	19971029	CN 1995-196209	19951109
CN 1121376	B	20030917		
JP 10509146	T2	19980908	JP 1995-516097	19951109
HU 78083	A2	19990830	HU 1998-233	19951109
RU 2159761	C2	20001127	RU 1997-110108	19951109
EE 3435	B1	20010615	EE 1997-210	19951109
PL 183549	B1	20020628	PL 1995-320285	19951109
AT 222230	E	20020815	AT 1995-940572	19951109
PT 790974	T	20021129	PT 1995-940572	19951109
ES 2181803	T3	20030301	ES 1995-940572	19951109
ZA 9509647	A	19970814	ZA 1995-9647	19951114
FI 9702062	A	19970714	FI 1997-2062	19970514
NO 9702220	A	19970714	NO 1997-2220	19970514
NO 309523	B1	20010212		
US 5874473	A	19990223	US 1997-864666	19970528
US 5886024	A	19990323	US 1997-865325	19970528
US 5854277	A	19981229	US 1997-865639	19970530
US 5859047	A	19990112	US 1997-866798	19970530
US 5861427	A	19990119	US 1997-866679	19970530
US 5861428	A	19990119	US 1997-866680	19970530
US 5886043	A	19990323	US 1997-866778	19970530
PRIORITY APPLN. INFO.:			US 1994-339846	19941115
			US 1995-462729	19950605
			US 1995-463490	19950605
			US 1995-463580	19950605
			US 1995-463794	19950605
			US 1995-464253	19950605

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L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 US 1995-465626 19950605
 WO 1995-US14002 19951109

AB Matrix metalloprotease inhibitors TxA-B-D-E-G (Tx = substituent such as halo, C1-C10 alkyl, or cyanoalkenyl; x = 0, 1, 2; A, B = aromatic or heteroarom. ring; D = CO, CH(OH), CH₂, C(OH), C(S); E = substituted carbon chain; G = PO₃H₂, CO₂H, CO₂NH₂, etc.) and their pharmaceutically acceptable salts were prepared. Thus, (S)-γ-oxo-4'-(pentyloxy)-α-(3-phenylpropyl)-[1,1'-biphenyl]-4-butyric acid (86) was prepared via alkylation of di-Et (3-phenylpropyl)malonate with 2,4'-dibromoacetophenone, followed by saponification-monodecarboxylation, reaction with 4-methoxybenzenesboronic acid, Me ether cleavage, and O-pentylation. The synthesized compds. (444) were assayed for inhibition of MMP-3, MMP-9, and MMP-2. Using compds. such as 86, the number of tumor metastases was decreased between 38 and 49% as compared to the control. The title compds. were also assayed for inhibition of cartilage lesions in a guinea pig model of osteoarthritis.

MSTR 1A



G21 = 100-1 98-3



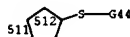
G22 = 90



G23 = 159



G27 = 511-3 512-163



DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

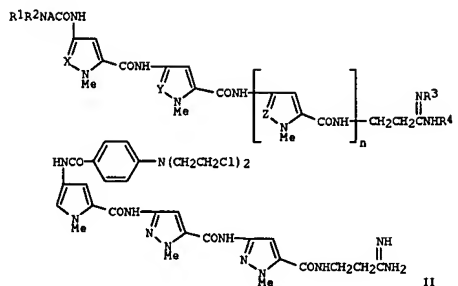
L7 ANSWER 70 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 12510484 MARPAT
 TITLE: Distamycin A analogs as antitumor or antiviral agents
 INVENTOR(S): Beria, Italo; Pesenti, Enrico; Capolongo, Laura; Mongelli, Nicola; Baraldi, Piergiorgio
 PATENT ASSIGNEE(S): Pharmacia S.P.A., Italy
 SOURCE: PCT Int. Appl., 96 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9605196	A1	19960222	WO 1995-EF2814	19950718
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, T, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2172629	AA	19960222	CA 1995-2172629	19950718
AU 9531136	A1	19960307	AU 1995-31136	19950718
AU 689623	B2	19980402		
EP 722446	A1	19960724	EP 1995-926927	19950718
EP 722446	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, NL, PT, SE				
CN 1131946	A	19960925	CN 1995-190742	19950718
JP 09504039	T2	19970422	JP 1995-506945	19950718
HU 76267	A2	19970728	HU 1996-1218	19950718
AT 229524	E	20021215	AT 1995-926927	19950718
ES 2188666	T3	20030701	ES 1995-926927	19950718
ZA 9506590	A	19960318	ZA 1995-6590	19950807
US 5753629	A	19960519	US 1996-612836	19960318
NO 9601377	A	19960530	NO 1996-1377	19960403
FI 9601506	A	19960605	FI 1996-1506	19960403
PRIORITY APPL. INFO.:				
GB 1994-16005 19940808				
WO 1995-EF2814 19950718				

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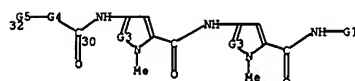
L7 ANSWER 69 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 70 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



AB Title compds. I [n = 0, 1; X, Y, Z = N, CH; A = (un)substituted 5-membered heterocycles; R1, R2 = alkyl, haloalkyl, hydroxyalkyl, H, sziridinylalkylcarbonyl, cyclopropylalkylcarbonyl, alkanyl, haloalkenyl, (un)substituted oxiranyl, (un)substituted aminophenyl; R3, R4 = H; R3R4 = CH₂CH₂, (CH₂)₃, CH(CH₃) and their pharmaceutically acceptable salt were prepared. Thus, the pyrrole derivative II was obtained by treating the nitropyrrolecarboxylic acid fragment with the pyrazolecarboxamidopyrazolecarboxamidopropionitrile, converting the nitrile to the amidine, reducing the nitro group, and acylating. II had an ID50 against L1210 murine leukemia in vitro of 0.5 µg/mL.

MSTR 1



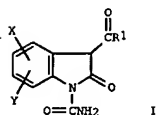
DER: or pharmaceutically acceptable salts
 MPL: claim 1

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L7 ANSWER 71 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 124:220522 MARPAT
 TITLE: Pharmaceutical compositions for the treatment of myocardial reperfusion injury and myocardial stunning
 INVENTOR(S): Kitzis, Elizabeth A.
 PATENT ASSIGNEE(S): Pfizer inc., USA
 SOURCE: Can. Pat. Appl., 15 pp.
 CODEN: CPXKXB
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CA 2143544	AA	19950903	CA 1995-2143544	19950228
EP 679396	A1	19951102	EP 1995-301069	19950220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
FI 9500951	A	19950903	FI 1995-951	19950301
AU 9513550	A1	19950907	AU 1995-13550	19950301
JP 07267859	A2	19951017	JP 1995-65294	19950301
CN 1112919	A	19951206	CN 1995-100026	19950301
HU 71896	A2	19960228	HU 1995-636	19950301
ZA 9501679	A	19960902	ZA 1995-1679	19950301
PRIORITY APPLN. INFO.:			US 1994-204844	19940302

GI



AB Certain 3-substituted 2-oxindole-1-carboxamides (I; X = H, halogen, alkyl, alkoxy, alkylthio, CF₃, alkylsulfonyl, nitro, Ph, alkanoyl, benzoyl, thenoyl, alkanamido, benzamido or dialkylsulfamoyl; Y = H, halogen, alkyl, cycloalkyl, alkoxy, alkylthio, CF₃, or X and Y together with carbon atoms to which they are attached, form a ring; R₁ = alkyl, cycloalkyl, cycloalkenyl, substituted Ph, substituted phenoxyalkyl, thiophenoxyalkyl, naphthyl) and their pharmaceutically acceptable base salts are useful for the treatment or prevention of ischemia induced myocardial injury and cytokine mediated myocardial injury in mammals, including humans. The composition can be administered as oral, topical, parenteral, transdermal dosage forms (no data).

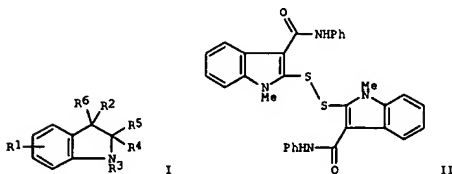
MSTR 1

G1—C(O)G9

L7 ANSWER 72 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 124:175826 MARPAT
 TITLE: Preparation of 2-indolyl disulfides and analogs as protein tyrosine kinase inhibitors and antitumor agents
 INVENTOR(S): Dobrusin, Ellen M.; Showalter, Howard D. H.; Denny, William A.; Palmer, Brian D.; Rewcastle, Gordon W.; Terzel, Moana; Thompson, Andrew M.
 PATENT ASSIGNEE(S): Warner-Lambert Co., USA
 SOURCE: U.S., 53 pp. Cont.-in-part of U.S. Ser. No. 926, 015, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5464861	A	19951107	US 1993-94792	19930809
HU 71553	A2	19951228	HU 1995-341	19930802
CZ 283965	B6	19980715	CZ 1995-288	19930802
NZ 255194	A	20000128	NZ 1993-255194	19930802
US 5556874	A	19960917	US 1995-438616	19950510
PRIORITY APPLN. INFO.:			US 1992-926015	19920806
			US 1993-94792	19930809

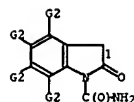
GI



AB Title compds. [I; R₁ = H, halo, alkyl, alkoxy, etc.; R₂ = (acyl)alkyl, acyl, CH₂CO₂H, etc.; R₃ = H, alkyl, CH₂Ph; R₄ = SH, SnR, SeH, SenR, etc.; R = H, alkyl, (hetero)aryl, I in which R₄ = bond, etc.; R₄R₅ = S, Se; R₅R₆ = bond; R₆ = H; n = 1-3] were prepared 2Hus, 1-methyl-2-indolinone was treated with P2S5 and the product condensed with PhNCO to give, after oxidation, title compound II which had IC₅₀ of 3-4 μM against growth factor mediated mitogenesis in vitro.

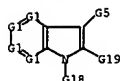
MSTR 1

L7 ANSWER 71 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 G1 = 1



G15 = imidazolyl (SO (1) G11)
 DER: or pharmaceutically acceptable salts or solvates
 MPL: claim 1

L7 ANSWER 72 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

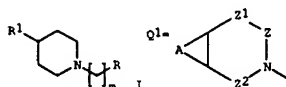


G15 = C(O)
 G16 = imidazolyl (SO (1) G17)
 G18 = CH₂Ph
 DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: also incorporates broader disclosure

L7 ANSWER 73 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 123:313774 MARPAT
 TITLE: Preparation of bicyclic heterocycles as neurokinin A antagonists
 INVENTOR(S): Miller, Scott Carson
 PATENT ASSIGNEE(S): Zeneca Ltd., UK
 SOURCE: PCT Int. Appl., 42 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9515961	A1	19950615	WO 1994-GB2646	19941202
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN, RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, ML, MR, NE, SN, TD, TG				
TW 393470	B	20000611	TW 1994-83107481	19940816
CA 2175701	AA	19950615	CA 1994-2175701	19941202
AU 9511158	A1	19950627	AU 1995-11158	19941202
EP 733051	A1	19960925	EP 1995-902223	19941202
EP 733051	B1	19990915		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
US 5602138	A	19970211	US 1994-349117	19941202
JP 09506103	T2	19970617	JP 1994-516028	19941202
AT 184601	E	19991015	AT 1995-902223	19941202
ES 2138181	T3	20000101	ES 1995-902223	19941202
JP 3498849	B2	20040223	JP 1995-516028	19941202
US 5977135	A	19991102	US 1998-89019	19980602
PRIORITY APPLN. INFO.:				
US 1993-25074 19931207				
US 1994-349117 19941202				
US 1994-GB2646 19941202				
US 1996-694044 19960808				

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AB Title comps. [I; R = e.g. CQQA2CH2NR3R4; R1 = bicyclic heterocycle Q1; A = E1-E2E3-E4; E1-E4 = CH; 1 of E1-E4 = N and the others = CH; Q,R3 = H, alkyl; Q4 = (hetero)aryl; R4 = alkanoyl, alkoxycarbonyl, etc.; Z = CH2, CO, etc.; Z1 = bond, CH2CH2, CH:CH, NH, etc.; Z2 = bond, CH2, CH:CH, N:CH, etc.; n = 2-3] were prepared as neurokinin A antagonists (no data). Thus, (S)-N-[2-(3,4-dichlorophenyl)-4-oxobutyl]-N-methylbenzamide was reductively condensed with 4-(1-oxoisindolin-2-yl)piperidine (preparation each

L7 ANSWER 73 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 given) to give (S)-N-[2-(3,4-dichlorophenyl)-4-[4-(1-oxoisindolin-2-yl)piperidinyl]butyl]-N-methylbenzamide.

MSTR 1

G1—G51

G18 = (2-3) CH2
 G33 = (0-3) CH2
 G34 = C(O)
 G35 = 225-134 228-269 225-136



G37 = 272-268 273-271



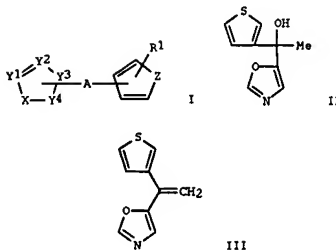
G38 = imidazolyl
 DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: also incorporates claim 10

L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 123:169608 MARPAT
 TITLE: Novel 1-(heteroazoly)-1-(heterocycl)alkanes and their use as neuroprotective agents
 INVENTOR(S): Boar, Bernard Robin; Cross, Alan John; Gray, Duncan
 PATENT ASSIGNEE(S): Alastair; Green, Alfred Richard
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9501979	A1	19950119	WO 1994-SE663	19940705
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN, RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GN, ML, MR, NE, SN, TD, TG				
TW 402603	B	20000821	TW 1994-83105714	19940623
ZA 9404569	A	19950215	ZA 1994-4569	19940624
IL 110157	A1	20000131	IL 1994-110157	19940629
CA 2164856	AA	19950119	CA 1994-2164856	19940705
AU 9471977	A1	19950206	AU 1994-71977	19940705
AU 691506	B2	19980521		
EP 707584	A1	19960424	EP 1994-921147	19940705
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1126994	A	19960717	CN 1994-192713	19940705
BR 9406923	A	19960730	BR 1994-6923	19940705
JP 08512312	T2	19961224	JP 1994-503998	19940705
HU 75097	A2	19970428	HU 1995-3744	19940705
RU 2124011	C1	19981227	RU 1996-103659	19940705
PL 176471	B1	19990630	PL 1994-312417	19940705
US 5731335	A	19980324	US 1995-379506	19950306
US 5607956	A	19970304	US 1995-459847	19950602
NO 9600028	A	19960227	NO 1996-28	19960104
FI 9600076	A	19960108	FI 1996-76	19960108
PRIORITY APPLN. INFO.:				
SE 1993-2332 19930706				
WO 1994-SE663 19940705				
US 1995-379506 19950306				

GI

L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)



AB The title comps. 1-(heteroazoly)-1-(heteroaryl)alkanes, -alkanols and -alkenes I (Y1-Y4 form nitrogen heterocyclic ring; A = methine group, alkenediyl, etc.; Z = oxygen, sulfur, selenium, etc.) were disclosed as neuroprotective agents. Such neuroprotective agents are useful for the treatment of disorders characterized by processes that lead to neuronal cell death or dysfunction. Claimed example comps. are 1-(3-furanyl)-1-(4-methyl-5-oxazolyl)ethanol (II) and 1-(3-furanyl)-1-(4-methyl-5-oxazolyl)ethene (III).

MSTR 1

G1—G11—G7

G1 = 7



G2 = 9



G6 = N / 13



G7 = 31

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L7 ANSWER 74 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G8 = alkylcarbonyl<(1-6)>
G9 = 29



G11 = C(O)
DER: and pharmaceutically acceptable acid addition salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 6
STE: or geometric and optical isomers and racemates

L7 ANSWER 75 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 = 71-1 71-3 73-6



G5 = loweralkyl
G6 = (1-3) 86



G12 = imidazolyl
G13 = C(O)
DER: or pharmaceutically acceptable salts and N-oxides
MPL: claim 1
NTE: substitution is restricted

L7 ANSWER 75 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 123:83220 MARPAT
TITLE: Spirocyclic azetidinones useful as hypocholesterolemic agents
INVENTOR(S): Dugar, Sundeep; Clader, John W.; Burnett, Duane A.; Browne, Margaret E.; Davis, Harry R.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417038	A1	19940804	WO 1994-US421	19940119
W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, HR, NE, SH, TD, TG				
LT 3595	B	19951227	LT 1994-1764	19940113
ZA 9400386	A	19940719	ZA 1994-386	19940119
CA 2154257	AA	19940804	CA 1994-2154257	19940119
CA 2154257	C	19990525		
AU 9460872	A1	19940815	AU 1994-60872	19940119
AU 683048	B2	19971030		
EP 681569	A1	19951115	EP 1994-907200	19940119
EP 681569	B1	20010321		
JP 08501110	T2	19960206	JP 1994-517083	19940119
CN 1118163	A	19960306	CN 1994-191245	19940119
HU 72592	A2	19960528	HU 1995-2194	19940119
AT 199899	E	20010415	AT 1994-907200	19940119
ES 2155849	T3	20010601	ES 1994-907200	19940119
PT 681569	T	20010629	PT 1994-907200	19940119
FI 9503497	A	19950720	FI 1995-3497	19950720
NO 9502884	A	19950920	NO 1995-2884	19950720
GR 3035963	T3	20010831	GR 2001-400814	20010531
PRIORITY APPLN. INFO.:			US 1993-6439	19930121
			WO 1994-US421	19940119

G1

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Spirocyclic azetidinones I (m,n = integer; R4, R20, R21 = substituent) were disclosed. I were claimed as antiatherosclerotics, anticholesteremics, HMG CoA reductase inhibitors and squalene epoxidase inhibitors. Claimed example compds. are 7-(4-chlorophenyl)-1,3-bis(4-methoxyphenyl)-2-azaspiro[3.5]nonan-1-one (II) and 1,6-diphenyl-2-(4-methoxyphenyl)-2-azaspiro[3.3]heptan-1-one (III).

MSTR 1A

L7 ANSWER 76 OF 95 MARPAT COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 123:25683 MARPAT
TITLE: Epibatidine and derivatives thereof as cholinergic receptor agonists and antagonists
INVENTOR(S): Qian, Changgeng; Li, Tongchuan; Biftu, Tesfaye; Shen, Tsung-Ying
PATENT ASSIGNEE(S): Cytomed, Inc., USA; University of Virginia
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

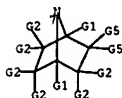
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9507078	A1	19950316	WO 1994-US10121	19940909
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, NO, NZ, PL, RO, RU, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, HL, HR, NE, SN, TD, TG				
CA 2171440	AA	19950316	CA 1994-2171440	19940909
AU 9476845	A1	19950327	AU 1994-76845	19940909
AU 701227	B2	19990121		
EP 717623	A1	19960626	EP 1994-927378	19940909
CN 1137753	A	19961211	CN 1994-193830	19940909
HU 74949	A2	19970328	HU 1996-589	19940909
JP 11501282	T2	19990202	JP 1994-508790	19940909
US 6177451	B1	20010123	US 1995-476611	19950607
US 6077846	A	20000620	US 1996-612964	19961217
PRIORITY APPLN. INFO.:			US 1993-119697	19930910
			WO 1994-US10121	19940909

AB Epibatidine and its derivs. are useful as cholinergic receptor agonists and antagonists. For example, ED50 values of epibatidine isomers for analgesic effects were 7-9 µg/kg.

MSTR 1

G8—G10

G1 = alkoxycarbonyl
G6 = C(O)
G7 = imidazolyl
G10 = 7



DER: and pharmaceutically acceptable derivatives and salts
MPL: claim 1

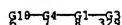
L7 ANSWER 76 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 77 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 121:246331 MARPAT
 TITLE: Cycloalkylamine bis-aryl squalene synthase inhibitors
 INVENTOR(S): Ullrich, John W.; Klesow, Terence J.; Neuenachvander, Kent W.; Scotese, Anthony C.; Learn, Keith S.; Dankulich, William P.
 PATENT ASSIGNEE(S): Rhone-Poulenc Rorer Pharmaceuticals Inc., USA
 SOURCE: PCT Int. Appl., 63 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9414435	A1	19940707	WO 1993-US12638	19931229
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, LX, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5451596	A	19950919	US 1992-997818	19921229
CA 2152912	AA	19940707	CA 1993-2152912	19931229
AU 9460806	A1	19940719	AU 1994-60806	19931229
EP 676960	A1	19951018	EP 1994-907116	19931229
EP 676960	B1	20020522		
R: DE, FR, GB, IT				
JP 08505847	T2	19960625	JP 1993-515486	19931229
PRIORITY APPLM. INFO.:			US 1992-997818	19921229
			WO 1993-US12638	19931229

AB This invention relates to a class of novel polycyclic compds. containing a cycloalkyl ring having substituted thereon a primary amine and which is further linked or bridged to two mono- and/or bicyclic rings and which reduces levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. E.g., trans-2-[4-(benzoxazol-2-yl)benzyloxy]cyclohexylamine acetate was prepared. This and a number of other compds. were tested for squalene synthase inhibiting and anticholesteremic activity.

MSTR 1A



G1 = 127-7 130-329

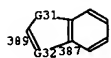


L7 ANSWER 77 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)

G4 = 13-6 14-8



G13 = C(0)
 G23 = CH2
 G30 = 389



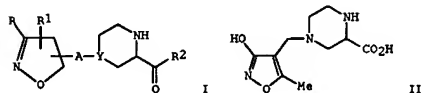
G31 = 414



G32 = N
 DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted
 STE: and stereoisomers, enantiomers, diastereomers, and racemates

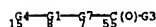
L7 ANSWER 78 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 121:134155 MARPAT
 TITLE: [(isoxazolyl)alkyl]piperazines and [(isoxazolyl)alkyl]piperidines
 INVENTOR(S): Varasi, Mario; Pevarello, Paolo; Amici, Raffaella; Carfagna, Nicola; Bonsignori, Alberto
 PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.r.l., Italy
 SOURCE: Ger. Offen., 11 pp.
 CODEN: GWXXEX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4401159	A1	19940721	DE 1994-4401159	19940117
GB 2274282	A1	19940720	GB 1993-950	19930119
GB 2274282	B2	19960814		
JP 06247965	A2	19940906	JP 1994-3580	19940118
PRIORITY APPLM. INFO.:			GB 1993-950	19930119
GI				



AB The title compds. I (R = OH, carboxy, halo, etc.; R1 = H, alkyl, halo, etc.; R2 = OH, alkoxy, amino, etc.; A = alkylene; Y = nitrogen, carbon) were disclosed. A claimed example compound is 4-[(3-hydroxy-5-methyl-4-isoxazolyl)methyl]-2-piperazinecarboxylic acid (II). I are excitatory amino acid antagonists and are thus useful for the treatment of epilepsy and other CNS disorders (no data).

MSTR 1



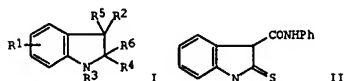
DER: and pharmaceutically acceptable salts
 MPL: claim 1

10/802,292

L7 ANSWER 79 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 121:83050 MARPAT
TITLE: Preparation of 2-indolinethiones and related
disulfides and seleno-analogs as protein tyrosine
kinase inhibitors and antitumor agents
INVENTOR(S): Dobrusin, Ellen Myra; Showalter, Howard Daniel; Hollis,
Denny; William Alexander; Palmer, Brian Desmond;
Revocastle, Gordon William; Tercel, Moanna; Thompson,
Andrew Mark
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 212 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9403427	A1	19940217	WO 1993-UST7272	19930802
W: AU, CA, CZ, FI, HU, JP, KR,			NO, NZ, RU, SK	
RV: AT, BE, CH, DE, DK, ES, FR,			GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	
EP 654024	A1	19950524	EP 1993-918594	19930802
R: AT, BE, CH, DE, DK, ES, FR,			GB, GR, IE, IT, LI, LU, MC, NL, PT, SE	
HU 71553	A2	19951228	HU 1995-341	19930802
JP 08503450	T2	19960416	JP 1993-519671	19930802
AU 672224	B2	19960926	AU 1993-47994	19930802
AU 9347994	A1	19940303		
CZ 283965	B6	19980715	CZ 1995-288	19930802
NZ 255194	A2	20000128	NZ 1993-255194	19930802
RU 2155187	C2	20000827	RU 1993-108332	19930802
SK 204313	B6	20030701	SK 1995-135	19930802
PRIORITY APPLM. INFO.:			US 1992-926015	19920806
			WO 1993-UST7272	19930802

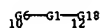
GI



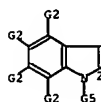
AB Title compds. [I: R¹ = H, halo, OH, alkyl, alkoxy, CO₂H, etc.; 1 or 2 Cr^I = H; R² = (acyl)alkyl, CH₃CHCOZ; X = chloroacetyl, acyl, etc.; R³ = H, alkyl, CH₂Ph, CH=CH₂, CH=CHZ; Z = R⁴R⁵; R⁴ = S, R⁵R⁶ = bond; Q = CH₂, which R⁷ = Zn and R⁸S⁹ = bond; Y = K, alkyl, CH₂Ph, (heteroaryl); Z = S, Se; n = 0-3] were prepared. Thus, 1-methyl-2-indolinone was treated with P₂S₅ and the product treated with NaH and PhNCO to give indolinethioninecarbamoxamide II which had IC₅₀ of 2μM against epidermal growth factor mediated mitogenesis.

MSTR 1

L7 ANSWER 79 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G1 - 1-10 2-12

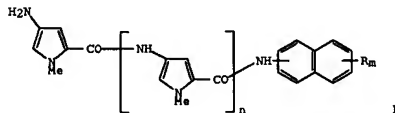


G5 - CH2Ph
G16 - C(O)
G17 - imidazolyl (SO (1-) G13)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: also incorporates claim 35

L7 ANSWER 80 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
ACCESSION NUMBER: 121:9154 MARPAT
TITLE: Preparation of 4-aminopyrrole-2-carboxamide
derivatives as antiviral agents
INVENTOR(S): Mongelli, Nicola; Biasoli, Giovanni; Grandi, Maria;
Cionel, Marina; Geroni, Maria Cristina
PATENT ASSIGNEE(S): Farnitalia Carlo Erba S.r.l., Italy
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

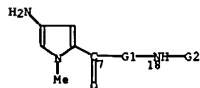
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 583161	A2	19940216	EP 1993-306338	19930811
EP 583161	A3	19940720		
R: DE, FR, GB, IT				
06184098	A2	19940705	JP 1993-199741	19930811
US 5816105	A	19970121	US 1995-372872	19950113
PRIORITY APPLN. INFO.:			GB 1992-16962	19920811
			US 1993-97015	19930727

GI



AB Title compds. (R = sulfonic acid residue; m = 1-3; n = 0-3), or a pharmaceutically acceptable salt thereof, which are active as antiviral agents, in particular against HIV, (no data), are prepared to trisodium 8-(amino-N-methyl-4,2-pyrrolicarboxylamino)-1,3,5-naphthalenetrisulfonate. 3HCl in water and 1N NaOH, and NaOAc was added to 8-amino-N-methyl-4,2-pyrrolicarboxylamino-1,3,5-naphthalenetrisulfonate. 8-(nitro-N-methyl-4,2-pyrrolicarboxylamino)-1,3,5-naphthalenetrisulfonate, which in water was reduced over a Pd/C catalyst to the title compound trisodium 8-(amino-N-methyl-4,2-pyrrolicarboxylamino)-1,3,5-naphthalenetrisulfonate. (II) (Pharmaceuticals) formulations comprising II are given.

MSTR 1



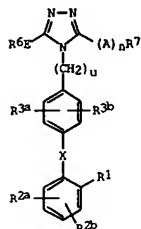
L7 ANSWER 80 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
DER: or pharmaceutically acceptable salts
MPL: claim 1

10/802,292

L7 ANSWER 81 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 120:45976 MARPAT
 TITLE: Substituted triazoles as neurotensin antagonists
 INVENTOR(S): Chakravarty, Prasun K.; Ransom, Richard W.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: Brit. UK Pat. Appl., 68 pp.
 CODEN: BAOXDU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2263635	A1	19930804	GB 1993-937	19930119
PRIORITY APPLN. INFO.:			US 1992-826710	19920128

GI



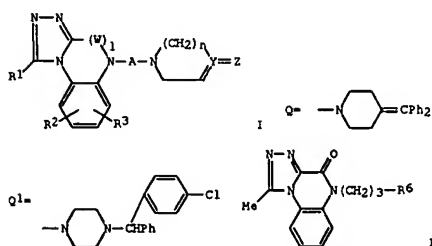
AB Substituted triazoles I [R1 = NHSO2R23, NHSO2NHCOR23, SO2NHR23 (R23 = (hetero)aryl, C3-7 cycloalkyl, (substituted) C1-4 alkyl), etc.; R2a, R2b = H, Cl, Br, I, F, CF3, C1-4 alkyl, C1-4 alkoxy; R3a = H, Cl, Br, I, F, C1-6 alkyl, C1-6 alkoxy, C1-6 alkoxy-C1-4 alkyl; R3b = H, Cl, Br, I, F, CF3, C1-6 alkyl, C1-6 alkoxy, C3-6 cycloalkyl; R6 = aryl, (substituted) C1-6 alkyl, etc.; R7 = (substituted) C1-10 alkyl, (substituted) Ph, etc.; X = CO, O, S, etc.; E = single bond, CHOH, CO, etc.; A = S(O)p (p = 0-2), NR13 (R13 = H, C1-4 acyl, Ph, benzyl, etc.), etc.; n = 0, 1; U = 1, 2], as disclosed in EP-0409332-A2, are neurotensin antagonists useful for the treatment of certain central nervous system and gastrointestinal disorders. Representative compds. of the invention were tested with a neurotensin binding assay using human frontal cortex.

MSTR 1A

L7 ANSWER 82 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 119:271212 MARPAT
 TITLE: Preparation of tricyclic triazole derivatives as antiinflammatory, antiallergic, and anti-platelet activating factor (PAF) drugs
 INVENTOR(S): Shibusawa, Katsuhiko; Makino, Tetsuya; Imaoka, Takayuki; Katou, Tetsuya; Kaneko, Masayuki
 PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
 SOURCE: PCT Int. Appl., 193 pp.
 CODEN: PTXK2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

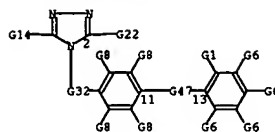
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9218505	A1	19921029	WO 1992-JP523	19920423
W: AU, CA, FI, JP, KR, NO, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2086112	AA	19921024	CA 1992-2086112	19920423
AU 9216670	A1	19921117	AU 1992-16670	19920423
AU 654548	B2	19941110		
CN 1066849	A	19921209	CN 1992-103991	19920423
CN 1033327	B	19961120		
EP 536419	A1	19930414	EP 1992-909493	19920423
EP 536419	B1	19991013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
AT 185566	E	19991015	AT 1992-909493	19920423
ES 2139595	T3	20000216	ES 1992-909493	19920423
NO 9204976	A	19930219	NO 1992-4976	19921222
NO 300775	B1	19970721		
US 5683998	A	19971104	US 1995-432714	19950502
GR 3032019	T3	20000331	GR 1999-403113	19991130
PRIORITY APPLN. INFO.:			JP 1991-91961	19910423
			JP 1991-148804	19910620
			JP 1991-327541	19911211
			JP 1992-5741	19920116
			WO 1992-JP523	19920423
			US 1993-960417	19930223

GI



II

L7 ANSWER 81 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



10/802,292

L7 ANSWER 83 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 119:249963 MARPAT
 TITLE: 3,6-disubstituted pyridazine derivative blood platelet aggregation inhibitors
 INVENTOR(S): Iwase, Morimichi; Morinaka, Yasuhiro; Tamao, Yoshikuni; Kanayama, Toshiji; Yamada, Kumi
 PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
 SOURCE: Eur. Pat. Appl., 115 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 534443	A1	19930331	EP 1992-116413	19920924
EP 534443	B1	19981230		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 06135938	A2	19940517	JP 1992-239545	19920908
JP 2730421	B2	19980325		
CA 2078699	AA	19930327	CA 1992-2078699	19920921
AT 175200	E	19990115	AT 1992-116413	19920924
ES 2128333	T3	19990516	ES 1992-116413	19920924
US 5324727	A	19940628	US 1992-950947	19920925
US 5462941	A	19951031	US 1994-215426	19940321
PRIORITY APPLN. INFO.:			JP 1991-247647	19910926
			JP 1991-335277	19911218
			JP 1992-239545	19920908
			US 1992-950947	19920925

GI For diagram(s), see printed CA issue.
 AB The title compds. I [A = (un)substituted alkyl, C5-7 cycloalkyl, Ph, thienyl, furyl, thiazolyl, etc.; B = (un)substituted (cyclic moiety-substituted methyl)amino groups; ring C = benzene ring], useful for the treatment and prevention of ischemic tissue diseases caused by blood platelet aggregation, are prepared. Thus, phthalic anhydride was reacted with cyclohexylmagnesium chloride, producing 2-(cyclohexanonyl)benzoic acid, which was sequentially reacted with NH₂CH₂, POC13, and N-(2-phenylethyl)amine, producing the R enantiomer of phthalazine II, which demonstrated 97.1% rat blood platelet agglutination in-vitro inhibitory ratio [(i.e., (agglutination degree when only a solvent was added (TC) - agglutination degree when a II medicinal solution was added)/TC]+100] at 3 + 10⁻⁷ M.

MSTR 1A

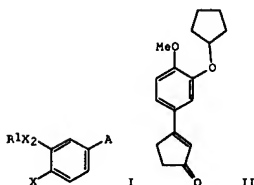


DER: and pharmaceutically acceptable acid addition salts

L7 ANSWER 84 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 119:138876 MARPAT
 TITLE: Cyclopentane and cyclopentene derivatives with antiallergic antiinflammatory and tumor necrosis factor-inhibiting activity
 INVENTOR(S): Christensen, Siegfried Benjamin; Levy, Mark Alan
 PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
 SOURCE: PCT Int. Appl., 44 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9307111	A1	19930415	WO 1992-US8609	19921001
R: AU, CA, JP, KR, US				
W: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
AU 9228071	A1	19930503	AU 1992-28071	19921001
JP 07500108	T2	19950105	JP 1992-507201	19921001
EP 642489	A1	19950315	EP 1992-921752	19921001
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
JP 3333510	B2	20021015	JP 1993-507201	19921001
ZA 9207591	A	19930413	ZA 1992-7591	19921002
PRIORITY APPLN. INFO.:			US 1991-771062	19911002
			WO 1992-US8609	19921001

GI

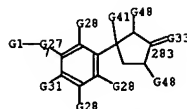


AB The title compds., i.e. phenylcyclopentene and phenylcyclopentane derivs., I (R1 = alkyl, cycloalkyl, etc.; X2 = O or (un)substituted amino; X = fluoro-(un)substituted OMe, OEt, SMe, SEt, halo, NO₂, etc.; A = cyclopentyl, cyclopentenyl) are claimed. Inflammation inhibitors and antiallergics and agents which are tumor necrosis factor inhibitors are claimed. Treatment of 4-bromo-2-(cyclopentyl)oxy-1-methoxybenzene with butyllithium/THF and 3-isopropoxy-2-cyclopentene gave 3-[3-(cyclopentyl)oxy]-4-methoxyphenyl-2-cyclopenten-1-one (II). The biol. activity of these compds. was not reported.

MSTR 1A

L7 ANSWER 83 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 MPL: claim 1
 NTE: substitution is restricted
 STE: or optical antipodes

L7 ANSWER 84 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



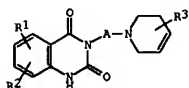
DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

10/802,292

L7 ANSWER 85 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 119:95546 MARPAT
 TITLE: Preparation of quinazoline derivatives as dopamine receptor agonists, 5-HT receptor antagonists, or α_1 receptor antagonists
 INVENTOR(S): Shimazaki, Norihiko; Itoh, Yoshikuni; Yatabe, Takumi; Yamazaki, Hiroshi; Hashimoto, Masashi; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9305035	A1	19930318	WO 1992-JP1117	19920902
W: AU, CA, HU, JP, KR, RU				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5296487	A	19940322	US 1991-755747	19910906
AU 9225009	A1	19930405	AU 1992-25009	19920902
EP 602125	A1	19940622	EP 1992-918711	19920902
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE				
JP 06510538	T2	19941124	JP 1992-505101	19920902
PRIORITY APPLN. INFO.:			US 1991-755747	19910906
			GB 1990-14	19900102
			GB 1990-25065	19901119
			US 1990-627417	19901214
			WO 1992-JP1117	19920902

GI



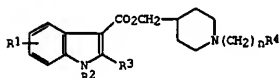
AB Title compds. [I: R1 = substituted heterocyclyl-lower alkyl; R2 = H, halo, (protected) NH2, NHOH, lower alkyl, (protected) HO, SO2NH2, (protected) CO2H, SH, (un)substituted heterocyclylcarbonyl or heterocyclyl-lower alkyl, lower alkylthio, (protected) lower hydroxyalkyl; R3 = (un)substituted aryl; A = lower alkylene], having effects on the peripheral or central nervous system and useful for the treatment of hypertension, cardiovascular disorders (e.g. angina pectoris, and myocardial infarction), Parkinsonism, are prepared. Thus, a mixture of 0.25

9 2-amino-4-(4-methylpiperazin-1-ylmethyl)-N-[4-(4-phenyl-1,2,3,6-tetrahydropyridin-1-yl)butyl]benzamide, 0.45 g carbonyldiimidazole, and 10 mL dry THF were stirred under reflux for 14 h to give 0.16 g 7-(4-methylpiperazin-1-ylmethyl)-3-[4-(4-phenyl-1,2,3,6-tetrahydropyridin-1-yl)butyl]-1,2,3,4-tetrahydroquinazoline-2,4-dione (II). II showed IC50

L7 ANSWER 86 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN
 ACCESSION NUMBER: 118:6871 MARPAT
 TITLE: Preparation of 3-(piperidinylmethoxycarbonyl)indoles as serotonin antagonists
 INVENTOR(S): Oxford, Alexander William; Whitehead, John William; Frank, Knight, John
 PATENT ASSIGNEE(S): Glaxo Group Ltd., UK
 SOURCE: Eur. Pat. Appl., 23 pp.
 CODEN: EPXKDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 501322	A1	19920902	EP 1992-102900	19920221
EP 501322	B1	19960904		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
CA 2105179	AA	19920826	CA 1992-2105179	19920221
WO 9214727	A1	19920903	WO 1992-EP371	19920221
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
AU 9212094	A1	19920915	AU 1992-12094	19920221
AU 645402	B2	19940113		
JP 06505238	T2	19940616	JP 1992-504653	19920221
HU 65486	A2	19940628	HU 1993-2414	19920221
AT 142205	E	19960915	AT 1992-102900	19920221
ES 2091963	T3	19961116	ES 1992-102900	19920221
IL 101042	A1	19960618	IL 1992-101042	19920224
CN 1083059	A	19940302	CN 1992-110050	19920824
WO 9303015	A	19930824	NO 1993-3015	19930824
PRIORITY APPLN. INFO.:			GB 1991-3862	19910225
			WO 1992-EP371	19920221

GI

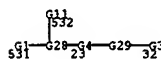


AB Title compds. I (R1 = H, halo, C1-6 alkyl, C1-6 alkoxy, HO; R2 = H, C1-3 alkyl, C2-5-alkenyl-CH2, C2-5-alkynyl-CH2; R3 = H, C1-6 alkyl, C1-6 alkoxy; R4 = HO, NC, C1-6 alkoxy, substituted aminosulfonyl, etc.; n = 2, 3), and salts and oxides thereof, useful as 5-HT4 antagonists (no data), are prepared 4-Piperidinylmethyl-1-methyl-1H-indole-3-carboxylate (preparation given) in MeCN was stirred with (Me2CH)2EtN followed by MeSO2NHCH2CH2Br, and the mixture refluxed for 2 h to give I (R1 = R3 = H, R2 = Me, R4 = MeSO2NH, n = 2). Pharmaceutical formulations containing I are given.

MSTR 1

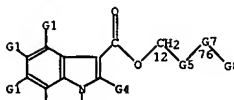
L7 ANSWER 85 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)
 of 2.1 + 10-9 M for inhibiting binding of (2-N-[2,3(n)-3H]-propyl-N-(2-thiofuranyl)-2'-ethylamino)-5-hydroxy-1,2,3,4-tetrahydronaphthalene to dopamine receptor (DA2) preps. and 3.5 + 10-6 M for inhibiting [ethylene-3H]-ketanserin binding to serotonin 2 (5-HT2) receptor preps.

MSTR 1



DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

L7 ANSWER 86 OF 95 MARPAT COPYRIGHT 2005 ACS ON STN (Continued)



DER: and pharmaceutically acceptable salts and solvates
 MPL: claim 1

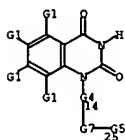
10/802,292

L7 ANSWER 87 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 117:131217 MARPAT
 TITLE: Preparation of 1-(heterocyclylalkyl)quinazoline-2,4-diones as dopamine agonists useful as cardiovascular agents
 INVENTOR(S): Shimazaki, Norihiko; Yamazaki, Hitoshi; Yatabe, Takumi; Tanaka, Hirokazu
 PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
 SOURCE: Eur. Pat. Appl., 45 pp.
 CODEN: EPXXIU
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 481342	A1	19920422	EP 1991-117203	19911009
ZA 9107670	A	19920624	ZA 1991-7670	19910925
AU 9185800	A1	19920416	AU 1991-85800	19911011
AU 648110	B2	19940414		
FI 9104826	A	19920416	FI 1991-4826	19911014
NO 9104036	A	19920421	NO 1991-4036	19911014
CN 1060841	A	19920506	CN 1991-109920	19911014
HU 59390	A2	19920528	HU 1991-3243	19911014
JP 04261170	A2	19920917	JP 1991-332996	19911015
JP 3164119	B2	20010508		
US 5304560	A	19940419	US 1993-103315	19930809
PRIORITY APPLN. INFO.:			GB 1990-22306	19901015
			GB 1991-18337	19910827
			US 1991-770871	19911004

GI For diagram(s), see printed CA Issue.
 AB Title compds. [I: R1, R2 = H, OH, halo, NO2, (protected) amino, hydroxyamino, alkoxy, alkyl, sulfamoyl, (protected) carboxy, carbamoyl, SH, alkylthio, imidazolyl; R3 = (substituted) aryl; A = alkylene; X = atoms to complete a heterocycle], were prepared Thus, title compound II, prepared by treatment of the corresponding 7-methoxy derivative with 47% HBr in refluxing HOAc, at 1 mg/kg orally in rats reduced blood pressure by 19%. I are said to be 5HT₂- and α₁ receptor antagonists.

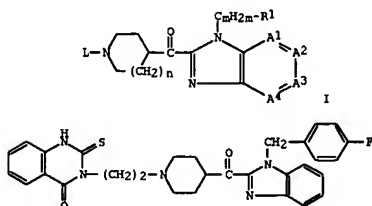
MSTR 1A



L7 ANSWER 88 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 117:111638 MARPAT
 TITLE: Preparation of piperidinyl benzimidazolyl ketones and related compounds as antihistaminics
 INVENTOR(S): Janssens, Frans Eduard; Diels, Gaston Stanislas
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: PCT Int. Appl., 51 pp.
 CODEN: P1XXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9206086	A1	19920416	WO 1991-EP1782	19910917
W: AU, BB, BG, BR, CA, FI, HU, JP, KP, KR, LK, MC, MG, NO, PL, RO, SD, SU, US				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
AU 9185067	A1	19920428	AU 1991-85067	19910917
PRIORITY APPLN. INFO.:			US 1990-590716	19901001
			WO 1991-EP1782	19910917

GI



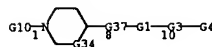
II

AB The title compds. [I: A1:A2A3:A4 = (un)substituted CH:CHCH:CH, N:CHCH:CH, N:CHN:CH, etc.; m = 1-4; n = 0-2; R1 = aryl, DR2; D = O, S; R2 = (un)substituted C1-6 alkyl; L = H, C1-12 alkyl(carbonyl), C3-6 cycloalkyl, (aryl)C3-6 alkenyl, Alk-R3, Alk-YR4, etc.; R3 = cyano, aryl, heterocyclyl; R4 = H, aryl, heterocyclyl, (un)substituted C1-6 alkyl; Alk = C1-6 alkylene; Y = O, S, NR7; R7 = H, C1-6 alkyl(carbonyl)] or their stereoisomers and pharmaceutically acceptable acid addition salts, effective antihistaminics (no data) useful in the treatment of, e.g., allergic rhinitis, conjunctivitis, asthma, and chronic urticaria, were prepared A solution of 2-MeO2CC6H4NCS in THF was added dropwise to a stirred mixture of 1-(2-aminoethyl)-4-piperidinyl 1-[(4-fluorophenyl)methyl]-1H-benzimidazol-2-yl ketone (preparation given) and THF and the whole stirred for 2 h at the ambient temperature to give title compound II.

MSTR 1A

L7 ANSWER 87 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 DER: or pharmaceutically acceptable salts
 MPL: claim 1

L7 ANSWER 88 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



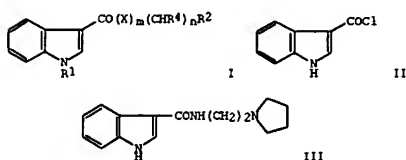
DER: or pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted
 NTE: also incorporates claim 8
 STE: or isomeric forms

10/802,292

L7 ANSWER 89 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 116:41299 MARPAT
 TITLE: Preparation and formulation of indolecarboxamide derivatives as central nervous agents
 INVENTOR(S): Yanai, Makoto; Sato, Hiroaki; Kikuchi, Haruhiko; Hagiwara, Koichiro
 PATENT ASSIGNEE(S): Nissin Flour Milling Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 17 pp.
 CODEN: JKOXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

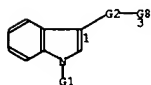
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03161470	A2	19910711	JP 1989-297498	19891117
PRIORITY APPLN. INFO.:			JP 1989-297498	19891117

GI



AB Indole derivs. [I; R1 = H, C1-6 alkyl; R2 = morpholino, methylpiperazinyl, benzylpiperazinyl, (fused) heterocyclyl, etc.; X = NR3 wherein R3 = H, C1-6 alkyl; R4 = H, C1-6 alkyl; n = 0, 1; m = 0-5], effective 5-HT3 receptor antagonists in treating central nervous disorders, are prepared. A solution of N-(2-aminoethyl)pyrrolidine in THF was added to a solution of acid chloride II in THF with stirring at 0° and kept overnight at room temperature to give amide III, which showed 80% inhibition against 5HT3 receptor at 1 mg/kg i.v. in rats. Also prepared and tested were 31 addnl. I. Granular, syrup, and injection formulations were given.

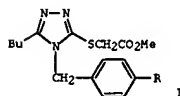
MSTR 1



L7 ANSWER 90 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 115:29337 MARPAT
 TITLE: Preparation and formulation of substituted triazoles as angiotensin II antagonists
 INVENTOR(S): Ashton, Wallace T.; Macross, Malcolm; Chang, Linda L.; Chakravarty, Prasun K.; Cantone, Christine L.; Greenlee, William J.; Patchett, Arthur A.; Walsh, Thomas F.
 PATENT ASSIGNEE(S): Merck and Co., Inc., USA
 SOURCE: Eur. Pat. Appl., 98 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 409332	A2	19910123	EP 1990-201908	19900713
EP 409332	A3	19910807		
R: CH, DE, FR, GB, IT, LI, NL				
CA 2021255	AA	19910120	CA 1990-2021255	19900716
JP 03128365	A2	19910531	JP 1990-189595	19900719
US 5336778	A	19940809	US 1992-902353	19920622
PRIORITY APPLN. INFO.:			US 1989-382138	19890719
			US 1990-503352	19900402

GI



AB Triazole derivs., useful as angiotensin II antagonists in treating high blood pressure and congestive heart failure, are prepared. Reduction of nitro compound I (R = NO2) (preparation given) with SnCl2.2H2O in HCl gave 25% amine I (R = NH2), which was treated with phthalic anhydride in THF at room temperature to give 77% amide I (R = 2-carboxybenzamido). Also prepared were 71 addnl. triazole derivs. Capsule, tablet, injection, etc., formulations were given. Receptor assay using rabbit aorta membrane and bovine adrenal cortex showed IC50 < 50 µM.

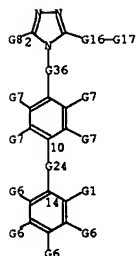
MSTR 1A

L7 ANSWER 89 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 G1 = alkyl<(1-6)>
 G2 = C(O)
 G8 = S1



GGA = 83 <BD (ALL) SE, RC (1)>
 DER: and pharmacologically acceptable acid addition salts, and quaternary ammonium and N-oxide derivatives
 MPL: claims

L7 ANSWER 90 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



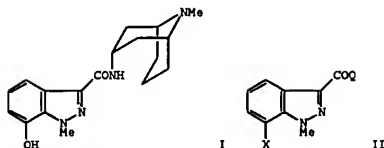
DER: and pharmaceutically acceptable salts
 MPL: claim 1
 NTE: substitution is restricted

10/802,292

L7 ANSWER 91 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 114:228912 MARPAT
 TITLE: Preparation of 9-azabicyclo[3.3.1]nonane derivatives
 as 5-HT₃ receptor antagonists
 INVENTOR(S): Marr, Helen Elizabeth; Haddock, Rodney Eric; Ramsay,
 Thomas Weir
 PATENT ASSIGNEE(S): Beecham Group PLC, UK
 SOURCE: PCT Int. Appl., 23 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9101316	A1	19910207	WO 1990-GB1110	19900719
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
PRIORITY APPLN. INFO.:			GB 1989-16682	19890721

GI



AB 7-Hydroxy-1-methyl-N-(9-methyl-9-azabicyclo[3.3.1]non-3-endo-yl)-(1H)-indazole-3-carboxamide (I) was prepared via condensation of indazole derivative II (Q = Cl, Br, C1-4 alkoxy, phenoxy, etc., X = OH or protected OH) with 3-endo-amino-9-methyl-9-azabicyclo[3.3.1]nonane (III). Thus, 1.0 g II (Q = OH, X = OCH₂Ph, preparation given) was dissolved in CH₂Cl₂ and 0.123 mL SOCl₂ were added and the mixture refluxed 2 h under N₂, then cooled to room temperature. Then, a solution of 720 mg III in CH₂Cl₂ was added slowly keeping the temperature below 20°. The resulting suspension was stirred 18 h at room temperature, followed by work up and subsequent deprotection to afford 1·HCl. 1·HCl had ED₅₀ of 0.52 ± 0.13 µg/kg against 5-HT induced von Bezold-Jarisch reflex in rats.

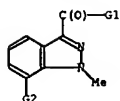
MSTR 1

L7 ANSWER 92 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 113:126599 MARPAT
 TITLE: Manufacture and use of benzimidazoles and benzotriazoles for the treatment of epithelial disorders
 INVENTOR(S): Van Wauwe, Jean P. F.; Raeymaekers, Alfons H. M.
 PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
 SOURCE: Eur. Pat. Appl., 24 pp.
 CODEN: EPXXD^W
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 371559	A2	19900606	EP 1989-203001	19891127
EP 371559	A3	19920408		
EP 371559	B1	19941012		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5157046	A	19921020	US 1989-434962	19891113
CA 2002859	AA	19900529	CA 1989-2002859	19891114
CA 2002859	C	19981229		
EP 609963	A1	19940810	EP 1994-200665	19891127
EP 609963	B1	20020306		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
ES 2065369	T3	19950216	ES 1989-203001	19891127
AT 213941	E	20020315	AT 1994-200665	19891127
ES 2173902	T3	20021101	ES 1994-200665	19891127
DK 8905995	A	19900530	DK 1989-5995	19891128
DK 175793	B1	20050221		
AU 8945648	A1	19900607	AU 1989-45648	19891128
AU 623434	B2	19920514		
ZA 8909074	A	19910731	ZA 1989-9074	19891128
IL 92487	A1	19941229	IL 1989-92487	19891128
JP 03020273	A2	19910129	JP 1989-307792	19891129
JP 2752200	B2	19980518		
AU 9210716	A1	19920319	AU 1992-10716	19920131
AU 630579	B2	19921029		
US 5342957	A	19940830	US 1992-927571	19920810
US 5420147	A	19950530	US 1994-233491	19940426
US 5500435	A	19960319	US 1995-409369	19950323
JP 10095782	A2	19980414	JP 1997-137444	19970513
JP 2912596	B2	19990628		
DK 175785	B1	20050221	DK 2004-1449	20040923
PRIORITY APPLN. INFO.:				
			US 1988-277152	19881129
			US 1989-434962	19891113
			EP 1989-203001	19891127
			DK 1989-5995	19891128
			JP 1989-307792	19891129
			US 1992-927571	19920810
			US 1994-233491	19940426

GI For diagram(s), see printed CA Issue.
 AB Derivs. of benzimidazoles (I) and benzotriazoles (II) are manufactured and prepared in compns. as adjuncts of retinoids in treating epithelial disorders (acne, psoriasis, carcinoma, etc.) which suppress the metabolism of endogenous or exogenously administered retinoids. The compds. can be synthesized by several processes which include a reaction of an intermediate of formula I with a reagent of formula IV in a suitable solvent (ether, 1,4-dioxane, THF, etc.). The substituted groups of I-IV

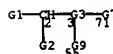
L7 ANSWER 91 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



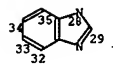
G1 = imidazolyl
 DER: and pharmaceutically acceptable salt
 MPL: claim 4

L7 ANSWER 92 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 are defined as: A1A2A3A4 = CH:NCH:CH, CH:NCH:N, CH:NN:CH; R = H, alkyl, R1 = H, cycloalkyl, etc.; R2 = H, alkoxy, etc.; A = CR3:N or C(X)NR4; R3 = H, halo, etc.; R4 = H, alkyl, etc.; X = O, S; R5 = H, alkenyl, etc.; R6 = H, (ar)alkyl, etc.; R8 = H, NH₂, halo, etc. Thus, 5-[(1H-imidazol-1-yl)phenylmethyl]-1-methyl-1H-benzimidazole was prepd. from 1-methyl-α-phenyl-1H-benzimidazole-5-methanol and 1,1'-carbonylbis(1H-imidazole). Vaginal keratinization inhibition by the synthesized compd. in the presence of a small dose of retinoic acid was demonstrated on ovariectomized rats injected with sesame oil contg. estradiol undecylate. The synthesized benzimidazoles were also prepd. as oral drops, soln., capsules, tablets, etc.

MSTR 1A



G3 = 35-2 28-71 29-55 / 34-2 28-71 29-55 /
 33-2 28-71 29-55 / 32-2 28-71 29-55



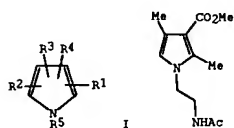
G12 = furyl (SO (1-) X)
 G20 = C(O)
 DER: and pharmaceutically acceptable acid addition salt
 MPL: claim 1
 STE: or stereochemical isomers

10/802,292

L7 ANSWER 93 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 113:6150 MARPAT
 TITLE: Preparation of aminoalkylpyrroles as CNS agents
 INVENTOR(S): Zoller, Gerhard; Bayerle, Rudi; Schindler, Ursula
 PATENT ASSIGNEE(S): Cassella A.-G., Fed. Rep. Ger.
 SOURCE: Ger. Offen., 17 pp.
 CODEN: GWXGEX
 DOCUMENT TYPE: Patent
 LANGUAGE: German
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3820190	A1	19891221	DE 1988-3820190	19880614
US 4966901	A	19901030	US 1989-355993	19890523
DK 8902616	A	19900115	DK 1989-2616	19890529
EP 346683	A1	19891220	EP 1989-109808	19890531
ZA 8904422	A	19900228	ZA 1989-4422	19890612
JP 02036167	A2	19900206	JP 1989-148536	19890613
HU 50762	A2	19900328	HU 1989-3065	19890613
HU 206675	B	19921228		

PRIORITY APPLN. INFO.: DE 1988-3820190 19880614
 OTHER SOURCE(S): CASREACT 113:6150
 GI



AB The title compds. [I; R1, R2 = H, alkyl; R3 = H, alkyl, (modified) carboxylate; R4 = (modified) carboxylate, NO2, alkylsulfinyl, alkylsulfonyl, (substituted) phenylsulfinyl, phenylsulfonyl, PhCO, alkylcarbonyl, F3CCO, (NC)2CH, heterocycliccarbonyl; R5 = aminoalkyl, acylaminoalkyl], were prepared as nootropics (no data). Thus, MeCOCH2CO2Me was added slowly to AcNHCH2CH2NH2. CH2CH2OMe was added and the mixture was refluxed 20 h to give 44% pyrrolecarboxylate II.

MSTR 1A

L7 ANSWER 93 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)



G5 = 93

G5(O)G16

G6 = 19 / 93

G5(O)G18 G5(O)G16

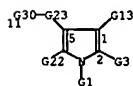
G18 = imidazolyl
 DER: or pharmaceutically acceptable salts or acid addition salts
 MPL: claim 1

L7 ANSWER 94 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 111:115023 MARPAT
 TITLE: Pyrrole derivatives as cardiotonics, process for their preparation and pharmaceutical compositions containing them
 INVENTOR(S): Dixon, John; Bakter, Andrew John; Gilby; Manners, Carol
 PATENT ASSIGNEE(S): Fisons PLC, UK
 SOURCE: Eur. Pat. Appl., 69 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 300688	A1	19890125	EP 1988-306464	19880714
DK 8804049	A	19890122	DK 1988-4049	19880720
JP 01061455	A2	19890308	JP 1988-179286	19880720
			GB 1987-17193	19870721
			GB 1987-30116	19871224

PRIORITY APPLN. INFO.:
 GI For diagram(s), see printed CA issue.
 AB Title compds. I [R1 = R11, NHCO2R11 wherein R11 = H, C1-6 alkyl; R2, R5 = OH, halo, NO2, etc.; G = (CH2)xMy in which W = CO, SOq, etc.; q = 0-2; x = 0-3; y = 0 or 1 (or 2 provided W = CO); up to 2 of the methylene segments in the chain (CH2)x are optionally replaced by NH and one segment is optionally replaced by O, etc.; the chain is optionally unsatd. and optionally substituted by C1-6 alkyl, alkoxy, etc.; A = (substituted) 5- or 6-membered ring or a bicyclic or tricyclic fused ring system; R3 = H, NO2, CN, halo, etc.; several provisos are given], useful as cardiotonics (no data), were prepared. A mixture of 2-((4-nitrophenyl)thio)benzoyl chloride, Me 2,5-dimethyl-1H-pyrrole-3-carboxylate, and AlCl3 in CH2Cl2 was stirred at room temperature for 16 h to give Me 2,5-dimethyl-4-(2-((4-nitrophenyl)thio)benzoyl)-1H-pyrrole-3-carboxylate.

MSTR 1A



G3 = Ak-EC (1-6) C, BD (0-) D (0) T> (SO (1-) G4)
 G23 = C(0)
 G30 = 161



DER: or pharmaceutically acceptable derivatives
 MPL: claim 1

L7 ANSWER 94 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 NTE: Ak group in G23 and G25 may be optionally interrupted
 NTE: substitution is restricted

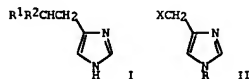
10/802,292

L7 ANSWER 95 OF 95 MARPAT COPYRIGHT 2005 ACS on STN
 ACCESSION NUMBER: 111:78006 MARPAT
 TITLE: Preparation of (diarylethyl)imidazole derivatives as
 antidepressants
 INVENTOR(S): Cordi, Alex A.; Gorrisen, Hugo J.
 PATENT ASSIGNEE(S): G.D. Searle and Co., USA
 SOURCE: Eur. Pat. Appl., 36 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 304910	A1	19890301	EP 1988-113852	19880825
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE				
US 4882343	A	19891121	US 1987-90890	19870828
JP 01071871	A2	19890316	JP 1988-210908	19880826
PRIORITY APPLM. INFO.: US 1987-90890 19870828				
OTHER SOURCE(S): CASREACT 111:78006				

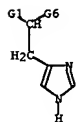
GI

L7 ANSWER 95 OF 95 MARPAT COPYRIGHT 2005 ACS on STN (Continued)
 DER: and pharmaceutically acceptable salts
 MPL: claim 1
 STE: and corresponding optically pure isomers and racemic or non-racemic mixtures



AB The title compds. [I; R1,R2 = (substituted) Ph, heteroaryl], useful as α 2-adrenergic receptor blockers and antidepressants, are prepared. Tritylation of hydroxymethyl derivative II (R = H, X = OH) followed by chlorination gave III (R = trityl, X = Cl), which was treated with a solution of 4-benzylpyridine, Na, and a few crystals of Fe(NO3)3 in liquid NH3 under N at -70° to give IV (R = trityl, X = α -4-pyridylbenzyl) (III). Refluxing III in 90% HOAc gave I (R1 = Ph, R2 = 4-pyridyl), which showed 91% inhibition of α 2-receptor binding at 10⁻⁷ M, vs. 89% of a reference compound. An injection formulation was prepared from 5 mg I and 8 mg NaCl in 1 mL purified H2O.

MSR 1



10/802,292

=> d his

(FILE 'HOME' ENTERED AT 11:15:20 ON 26 MAY 2005)

FILE 'REGISTRY' ENTERED AT 11:15:25 ON 26 MAY 2005

L1 STRUCTURE UPLOADED

L2 1 S L1 SAM

L3 70 S L1 FULL

FILE 'CA' ENTERED AT 11:15:52 ON 26 MAY 2005

L4 5 S L3

FILE 'MARPAT' ENTERED AT 11:16:18 ON 26 MAY 2005

L5 155 S L1 FULL

L6 153 S L5/COM

L7 95 S L6 AND PHARM?

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---Logging off of STN---

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Executing the logoff script...

=> LOG Y

STN INTERNATIONAL LOGOFF AT 11:19:50 ON 26 MAY 2005